STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 198150

TO: Shailendra Kumar **Location: 5c03 / 5c18** **Tuesday, August 15, 2006** **Art Unit: 1621** **Phone: 571-272-0640** **Serial Number: 10 / 525553**	**From: Jan Delaval** **Location: Biotech-Chem Library** **Remsen 1a51** **Phone: 571-272-2504** **jan.delaval@uspto.gov**

Search Notes

FOR OFFICIAL USE ONLY

8-517

ACCESS DB # 198150

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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: S. Kumar Examiner #: 69594 Date: 8/10/06

Art Unit: 1621 Phone Number: 2-0640 Serial Number: 10/585553

Location (Bldg/Room#): REM (Mailbox #): 5C18 Results Format Preferred (circle): PAPER DISK

5C03

****

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

MEY

Title of Invention: Aryl & heteroaryl propene amides, derivatives, ...

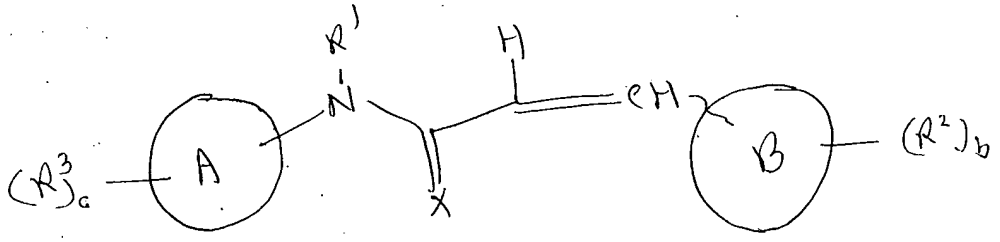
Inventors (please provide full names): M.V. Ramanna Reddy et al.

Earliest Priority Date: 8/29/02

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only ***Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.***

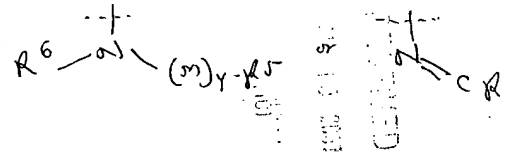


A & B are aryl and heteroaryl provided that A is not pyridyl, quinazolyl or naphthyridyl

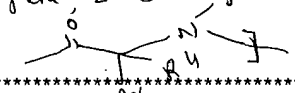
X is O or S

R1 is H, SO2-alkyl, C(=O) R4 etc.

R2 is OR4, Hal, CN etc.

R3 is Hal, alkyl, CN etc. or 

M is alkylene, Z etc.

Z is 

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STAFF USE ONLY

Searcher: _____

Searcher Phone #: 22504

Searcher Location: _____

Date Searcher Picked Up: 8/15/06

Date Completed: 8/15/06

Searcher Prep & Review Time: 60

Online Time: ~65

Type of Search

_____ NA Sequence (#)

_____ AA Sequence (#)

✓ Structure (#)

_____ Bibliographic

_____ Litigation

_____ Fulltext

_____ Other

Vendors and cost where applicable

✓ STN _____ Dialog

_____ Questel/Orbit _____ Lexis/Nexis

_____ Westlaw _____ WWW/Internet

____ In-house sequence systems

____ Commercial ____ Oligomer ____ Score/Length

____ Interference ____ SPDI ____ Encode/Transl

_____ Other (specify)

```
=> fil reg
FILE 'REGISTRY' ENTERED AT 10:37:50 ON 15 AUG 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   14 AUG 2006  HIGHEST RN 901253-54-1
DICTIONARY FILE UPDATES:  14 AUG 2006  HIGHEST RN 901253-54-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> d sta que l23
L9                STR
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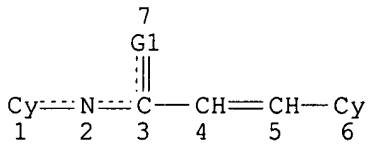


```
VAR G1=O/S
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   7

STEREO ATTRIBUTES: NONE
L11         45239 SEA FILE=REGISTRY SSS FUL L9
L12               STR
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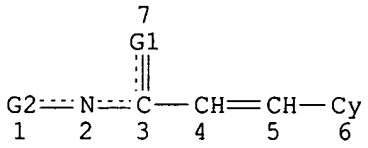
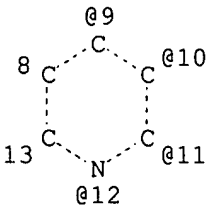
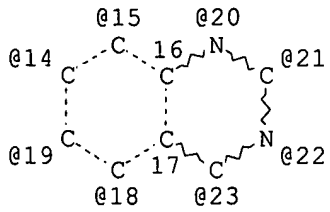
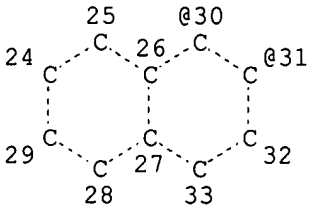




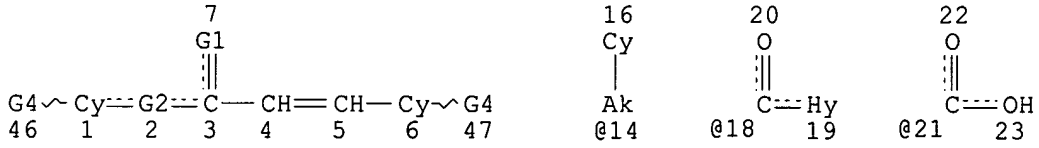


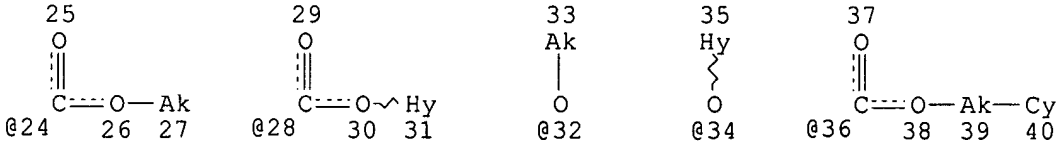


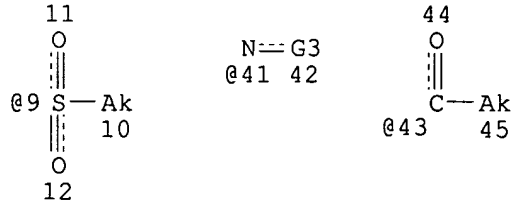
VAR G1=O/S
VAR G2=12/11/10/9/30/31/15/14/19/18/23/22/21/20
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 8 14 24
NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE
L14 1310 SEA FILE=REGISTRY SUB=L11 SSS FUL L12
L15 43929 SEA FILE=REGISTRY ABB=ON PLU=ON L11 NOT L14
L18 STR







VAR G1=O/S
VAR G2=NH/41
VAR G3=9/AK/CY/14/CHO/43/18/21/24/28/OH/32/34/36
VAR G4=A/CY

```
NODE ATTRIBUTES:
CONNECT IS M1  RC AT   1
CONNECT IS M1  RC AT   6
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  43

STEREO ATTRIBUTES: NONE
L20       31351 SEA FILE=REGISTRY SUB=L15 SSS FUL L18
L21             STR
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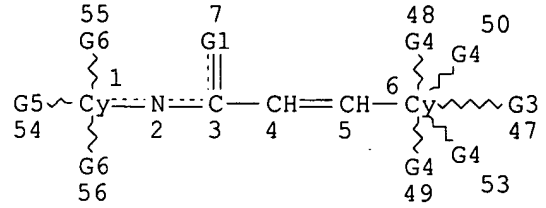


```
VAR G1=O/S
VAR G3=O/X/C/S/N/P
VAR G4=H/O/X/C/S/N/P
VAR G5=X/C/O/N/S/P
VAR G6=H/X/C/O/N/S/P
NODE ATTRIBUTES:
CONNECT IS M1  RC AT   1
CONNECT IS M1  RC AT   6
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  15

STEREO ATTRIBUTES: NONE
L23       24825 SEA FILE=REGISTRY SUB=L20 SSS FUL L21

100.0% PROCESSED   31351 ITERATIONS                      24825 ANSWERS
SEARCH TIME: 00.00.02


=> d his

     (FILE 'HOME' ENTERED AT 08:43:37 ON 15 AUG 2006)
               SET COST OFF

     FILE 'HCAPLUS' ENTERED AT 08:43:49 ON 15 AUG 2006
L1             1 S US20060167317/PN OR (US2005-525553# OR WO2003-US26954 OR US20
                 E REDDY/AU
                 E REDDY M/AU
L2            34 S E3
                 E REDDY MV/AU
                 E REDDY M V/AU
L3           151 S E3,E8-E10
                 E REDDY E/AU
L4           213 S E12,E16-E18
```

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                E PREMKUMAR/AU
L5           24 S E16,E41,E44
                E RAMANA/AU
                E RAMANA M/AU
L6           57 S E7,E8
                SEL RN L1

     FILE 'REGISTRY' ENTERED AT 08:46:22 ON 15 AUG 2006
L7           82 S E1-E82
L8           68 S L7 AND NR>=2
L9              STR
L10          50 S L9
L11       45239 S L9 FUL
                SAV TEMP L11 KUMAR525/A
L12             STR L9
L13          50 S L12 SAM SUB=L11
L14        1310 S L12 FUL SUB=L11
                SAV L14 KUMAR525A/A
L15       43929 S L11 NOT L14
L16             STR L9
L17          50 S L16 SAM SUB=L15
L18             STR L16
L19          50 S L18 SAM SUB=L15
L20       31351 S L18 FUL SUB=L15
                SAV TEMP L20 KUMAR525B/A
L21             STR L18
L22          50 S L21 SAM SUB=L20
L23       24825 S L21 FUL SUB=L20
                SAV TEMP L23 KUMAR525C/A
L24          63 S L7 AND L11
L25       24762 S L23 NOT L24

     FILE 'HCAPLUS' ENTERED AT 09:16:06 ON 15 AUG 2006
L26           2 S L24
L27           2 S L26 AND L1-L6
                E CELL PROLIFERATION/CT
L28       85399 S E3+OLD,NT
                E HEMANGIOMATOSIS/CT
                E HEMANGIOMA/CT
                E E3+ALL
L29         704 S E2
L30          83 S E6
L31         445 S E10,E11
L32           2 S E16-E18
L33          41 S E20-E22
                E HEMANGIOMATOSIS
L34          19 S E3,E4
                E MULTIPLE SCLEROSIS/CT
L35       11903 S E3+OLD,NT
                E CHRONIC PROGRESSIVE MYELODEGENERATIVE DISEASE/CT
                E E2+ALL
                E E2+ALL
                E MYELODEGENERATIVE DISEASE/CT
L36           6 S MYELODEGENER?
                E HEMATOPOIETIC PRECURSOR CELL/CT
L37           1 S E3+OLD,NT (L) CHRONIC PROGRESSIVE MYELODEGENERATIVE DISEASE
L38        5040 S E3+OLD,NT (L) MYELO?
                E NEUROFIBROMATOSIS/CT
                E E3+ALL
L39         375 S E2
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                E GANGLIONEUROMATOSIS/CT
                E GANGLIONEUROMATOSIS
L40          14 S E2-E4
                E KELOID/CT
L41         719 S E3+OLD,NT OR E4
                E PAGET/CT
                E E9+ALL
L42        1058 S BONE, DISEASE+OLD,NT/CT (L) PAGET?
                E FIBROCYSTIC DISEASE/CT
                E E3+ALL
L43        7414 S E1 OR E2+OLD,NT
                E SARCOIDOSIS/CT
L44        1619 S E3+OLD,NT
                E PERONIES/CT
                E FIBROSIS/CT
L45          10 S E3+OLD,NT (L) (PERON? OR DUPUTREN?)
                E CIRRHOSIS/CT
L46       12667 S E3+OLD,NT
                E ATHEROSCLEROSIS/CT
L47       36813 S E3+OLD,NT
                E VASCULAR RESTENOSIS/CT
                E E3+ALL
L48        5448 S E2
L49        5465 S ARTERY, DISEASE+OLD,NT/CT (L) RESTENOSIS
L50      209990 S ?PROFLIFERAT? OR ?HEMANGIOMAT? OR ?MULTIPLE?(L)?SCLERO? OR ?M
                E OVARIAN CANCER/CT
                E E3+ALL
L51       17101 S E2+OLD,NT
                E BREAT CANCER/CT
                E BREAST CANCER/CT
                E E3+ALL
L52       51619 S E2+OLD,NT
                E PROSTATE CANCER/CT
                E E3+ALL
L53       22292 S E2+OLD,NT
                E LUNG CANCER/CT
                E E3+ALL
L54       34111 S E2+OLD,NT
                E RENAL CANCER/CT
                E E3+ALL
L55       10861 S E2+OLD,NT
                E COLORECTAL CANCER/CT
                E E3+ALL
L56       14946 S E2+OLD,NT
                E BRAIN CANCER/CT
                E E3+ALL
L57        7633 S E2+OLD,NT
                E LEUKEMIA/CT
L58       36176 S E3+OLD,NT
                E APOPTOSIS/CT
                E BONE MARROW/CT
L59       32778 S E3+OLD,NT
                E E36+ALL
L60         103 S E2 OR E3
L61         518 S E1 OR E2+OLD,NT OR E6+OLD,NT
                E IONIZING RADIATION/CT
L62      167458 S E3+OLD,NT

     FILE 'REGISTRY' ENTERED AT 09:30:39 ON 15 AUG 2006
                E TOPOISOMERASE/CN
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L63           1 S E3
                E TOPOISOMERASE
L64        1640 S E3

     FILE 'HCAPLUS' ENTERED AT 09:30:57 ON 15 AUG 2006
L65        2819 S L63
L66        9353 S L64
L67      842019 S ?NEOPLAS? OR ?CANCER? OR ?MALIGNAN? OR ?CARCIN? OR ?TUMOR?
                E APOPTOSIS/CT
L68       92456 S E3+OLD,NT
                E RADIOPROTECT/CT
L69       14855 S E5+OLD,NT OR E9
L70       21484 S E37+OLD,NT
                E MITOSIS/CT
L71       17104 S E3+OLD,NT
                E BONE MARROW/CT
L72       69225 S E3+OLD,NT OR E50+OLD,NT
                E ANTIATHER/CT
                E E5+ALL
L73        7973 S E2
                E ANTIARTERIOS/CT
L74       10269 S E6
                E E6+ALL
                E ANTITUMOR/CT
L75      222411 S E6+OLD
L76           2 S L27 AND L28-L62,L65-L75
L77        1890 S L25
L78         445 S L77 AND L28-L62,L65-L75
L79        1561 S L77 AND (PY<=2002 OR PRY<=2002 OR AY<=2002)
L80         606 S L77 (L) (THU OR PAC OR PKT OR DMA)/RL AND L79
L81         228 S L80 AND L78
L82         403 S L78 AND (PHARMACEUT? OR PHARMACOL?)/SC,SX
L83         410 S L81,L82
L84         280 S L83 AND L79
L85         117 S L84 NOT P/DT

     FILE 'REGISTRY' ENTERED AT 09:40:35 ON 15 AUG 2006
L86           1 S 53902-12-8
L87       24761 S L25 NOT L86

     FILE 'HCAPLUS' ENTERED AT 09:42:43 ON 15 AUG 2006
L88         161 S L87 AND L84
L89          32 S L88 NOT P/DT
L90          26 S L89 AND L67
L91         129 S L88 NOT L89
L92          87 S L91 AND L67
                SEL HIT RN L90

     FILE 'REGISTRY' ENTERED AT 09:44:15 ON 15 AUG 2006
L93          61 S E1-E61
L94          56 S L93 NOT BENZOIC ACID
L95           3 S L94 AND (C17H16CLNO3 OR C14H15N3O2)

     FILE 'HCAPLUS' ENTERED AT 09:49:32 ON 15 AUG 2006
L96           2 S L95
L97           2 S L96 AND (PY<=2002 OR PRY<=2002 OR AY<=2002)
                SEL HIT RN L91
                DEL SEL

     FILE 'REGISTRY' ENTERED AT 10:30:42 ON 15 AUG 2006
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     FILE 'HCAPLUS' ENTERED AT 10:30:42 ON 15 AUG 2006
L98             TRA L91 1- RN :    32488 TERMS

     FILE 'REGISTRY' ENTERED AT 10:31:01 ON 15 AUG 2006
L99         32488 SEA L98
L100         1110 S L25 AND L99

     FILE 'HCAPLUS' ENTERED AT 10:37:18 ON 15 AUG 2006
L101           87 S L100 AND L92

     FILE 'REGISTRY' ENTERED AT 10:37:50 ON 15 AUG 2006

=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 10:38:06 ON 15 AUG 2006
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FILE LAST UPDATED: 14 Aug 2006  (20060814/ED)

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 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> d 176 bib abs hitrn fhitstr retable tot

L76  ANSWER 1 OF 2  HCAPLUS  COPYRIGHT 2006 ACS on STN
AN   2005:1049789  HCAPLUS
DN   143:346909
TI   Preparation of substituted phenoxy- and phenylthio- derivatives for
     treating proliferative disorders and as radioprotectants and
     chemoprotectants
IN   Reddy, E. Premkumar; Reddy, M. V. Ramana; Bell,
     Stanley C.
PA   Temple University-of the Commonwealth System of Higher Education, USA;
     Onconova Therapeutics Inc.
SO   PCT Int. Appl., 179 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
     ---------------     ----   --------    --------------------    --------
PI   WO 2005089269        A2    20050929    WO 2005-US8429          20050315
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
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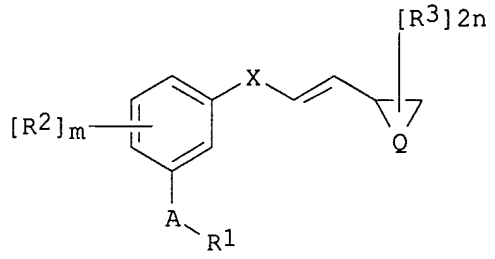
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

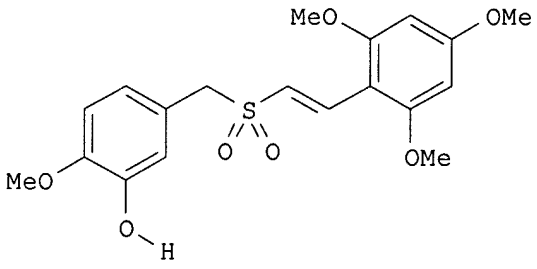
PRAI US 2004-554008P P 20040316

OS MARPAT 143:346909

GI



I



II

AB Title compds. I [A = S, O; R1 = H, haloalkyl, (un)substituted hetero/aryl, etc.; Q = hetero/aryl; R2, R3 = independently halo, hydrocarbyl, NO2, CN, OH and derivs., P(:O)(OH)2 and derivs., etc.; X = -NRx-Z-, -CH(Rx)Y-; Y = SO, SO2; Z = CO, SO2; Rx = H, alkyl, -CO-alkyl; with provisos; and their geometrical isomers] were prepared as antiproliferative agents including, for example, **anticancer** agents and as radioprotective and chemoprotective agents. For example, reacting 2-[(3-hydroxy-4-methoxybenzyl)sulfonyl]acetic acid with 2,4,6-Trimethoxybenzaldehyde in the presence of PhCO2H/piperidine/toluene for 2-3 h at reflux gave II in 62.5% yield.. I displayed antiproliferative activity; for II GI50 values = 0.004 μM, 0.001 μM, and 0.005 μM towards Sk-OV-3, RF-48, and CEM **tumor** cell lines, resp.

IT **684275-42-1P**, (E)-N-(3-Hydroxy-4-methoxyphenyl)-3-(2,4,6-trimethoxyphenyl)-2-propenamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted phenoxy- and phenylthio- derivs. for treating proliferative disorders and as radioprotectants and chemoprotectants)

IT **80449-01-0**, Topoisomerase

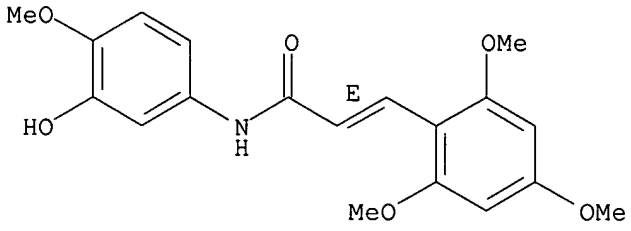
RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors; use of substituted phenoxy- and phenylthio- derivs. for protection against cytotoxic side effects of the administration of a topoisomerase inhibitor)

IT **684275-42-1P**, (E)-N-(3-Hydroxy-4-methoxyphenyl)-3-(2,4,6-trimethoxyphenyl)-2-propenamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted phenoxy- and phenylthio- derivs. for treating proliferative disorders and as radioprotectants and chemoprotectants)

RN 684275-42-1 HCAPLUS

CN 2-Propenamide, N-(3-hydroxy-4-methoxyphenyl)-3-(2,4,6-trimethoxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L76 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:370876 HCAPLUS

DN 140:374987

TI Preparation of aryl and heteroaryl propene amides as antiproliferative agents

IN **Reddy, M. V. Ramana; Reddy, E. Premkumar**

PA Temple University - of the Commonwealth System of Higher Education, USA

SO PCT Int. Appl., 165 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004037751	A2	20040506	WO 2003-US26954	20030828 <--
	WO 2004037751	A3	20040826		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2497060	AA	20040506	CA 2003-2497060	20030828 <--
	AU 2003298567	A1	20040513	AU 2003-298567	20030828 <--
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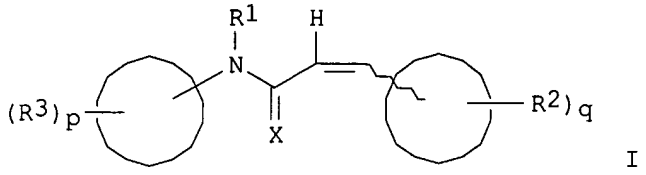
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

	JP 2006512306	T2	20060413	JP 2004-546715	20030828 <--
	US 2006167317	A1	20060727	US 2005-525553	20050224 <--
PRAI	US 2002-406766P	P	20020829 <--		
	WO 2003-US26954	W	20030828 <--		

OS MARPAT 140:374987

GI



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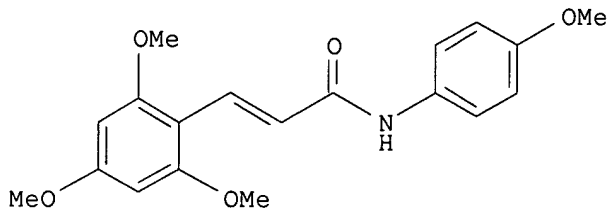

II

AB Title compds. I [A, B = (hetero)aryl; X = O, S; R1 = sulfonylalkyl, acyl, carboxy, etc.; R2 = alkoxy, halo, CN, carboxy, carboxamido, etc.; R3 = halo, alkyl, alkoxy, CN, etc.; p = 1-3; q = 1-5] are prepared For instance, 4-methoxyphenylamino-3-oxopropanoic acid is reacted with 2,4,6-trimethoxybenzaldehyde to give II. Representative examples of activities of compds. I in cell lines (e.g., BT20, DU145) are reported. I are useful as antiproliferative agents, radioprotective agents and cytoprotective agents, including, for example, **anticancer** agents.

IT **80449-01-0**, Topoisomerase
RL: ADV (Adverse effect, including toxicity); BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitor, protection from; preparation of aryl and heteroaryl propene amides as antiproliferative agents)

IT **684275-33-0P**, (E)-N-(4-Methoxy-3-nitrophenyl)-3-(3,4,5-trimethoxyphenyl)-2-propenamide **684275-35-2P**, (E)-N-(4-Methoxy-3-nitrophenyl)-3-(2,4,6-trimethoxyphenyl)-2-propenamide **684275-37-4P**, (E)-N-(4-Methoxy-3-aminophenyl)-3-(2,4,6-trimethoxyphenyl)-2-propenamide **684275-39-6P**, (E)-N-(4-Methoxy-3-nitrophenyl)-3-(3-fluoro-4-nitrophenyl)-2-propenamide **684275-45-4P**, (E)-N-(4-Bromophenyl)-3-(3-cyano-4-fluorophenyl)-2-propenamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aryl and heteroaryl propene amides as antiproliferative agents)

IT **684275-31-8P**, (E)-N-(4-Methoxyphenyl)-3-(2,4,6-trimethoxyphenyl)-2-propenamide **684275-32-9P**, (E)-N-(4-Methoxyphenyl)-3-(2,6-dimethoxyphenyl)-2-propenamide **684275-34-1P**, (E)-N-(4-Methoxy-3-aminophenyl)-3-(3,4,5-trimethoxyphenyl)-2-propenamide **684275-38-5P**, (E)-N-(4-Methoxy-3-nitrophenyl)-3-(2,3,4,5,6-pentafluorophenyl)-2-propenamide **684275-40-9P**, (E)-N-(4-Methoxy-3-aminophenyl)-3-(3-fluoro-4-aminophenyl)-2-propenamide **684275-41-0P 684275-42-1P**, (E)-N-(3-Hydroxy-4-methoxyphenyl)-3-(2,4,6-trimethoxyphenyl)-2-propenamide **684275-44-3P**, (E)-N-(4-Bromophenyl)-3-(3-methoxy-4-fluorophenyl)-2-propenamide **684275-46-5P**, (E)-N-(4-Bromophenyl)-3-(3-carboxy-4-fluorophenyl)-2-propenamide **684275-47-6P**, (E)-N-(4-Bromophenyl)-3-(2,4-difluorophenyl)-2-propenamide **684275-48-7P**, 2-[[[5-[[(2E)-3-(2,4,6-Trimethoxyphenyl)prop-2-enoyl]amino]-2-methoxyphenyl]amino]sulfonyl]acetic acid **684275-49-8P**, 2-[N-[5-[[(2E)-3-(2,4,6-Trimethoxyphenyl)prop-2-enoyl]amino]-2-methoxyphenyl]carbamoyl]acetic acid **684275-50-1P**, (2E)-N-[3-[(Amidino)amino]-4-methoxyphenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-51-2P**, 2-[[5-[[(2E)-3-(2,4,6-Trimethoxyphenyl)prop-2-enoyl]amino]-2-methoxyphenyl]amino]acetic acid **684275-52-3P**, (2E)-N-[3-[[(3,5-Dinitrophenyl)carbonyl]amino]-4-methoxyphenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-53-4P**, (2E)-N-[3-[[(3,5-Diaminophenyl)carbonyl]amino]-4-methoxyphenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-54-5P**, (2E)-N-[3-[(2-Chloroacetyl)amino]-4-methoxyphenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-55-6P**, (2E)-N-[4-Methoxy-3-[[2-(4-methylpiperazinyl)acetyl]amino]phenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-56-7P**, (2E)-N-[4-Methoxy-3-[(phenylcarbonyl)amino]phenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-57-8P**, (2E)-N-[4-Methoxy-3-[[(4-nitrophenyl)carbonyl]amino]phenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-58-9P**, (2E)-N-[3-[[(4-Aminophenyl)carbonyl]amino]-4-methoxyphenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-59-0P 684275-60-3P 684275-61-4P 684275-62-5P 684275-63-6P 684275-64-7P**, (2E)-N-[4-Methoxy-3-(methylamino)phenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-65-8P**, (2E)-N-[3-(Acetylamino)-4-methoxyphenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-66-9P**, (2E)-N-[3-[[(2,4-Dinitrophenyl)sulfonyl]amino]-4-methoxyphenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-67-0P**, (2E)-N-[3-[[(2,4-Diaminophenyl)sulfonyl]amino]-4-methoxyphenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-68-1P**, (2E)-N-[3-[[2-(Dimethylamino)acetyl]amino]-4-methoxyphenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-69-2P**, 2-[[5-[[(2E)-3-(2,4,6-Trimethoxyphenyl)prop-2-enoyl]amino]-2-methoxyphenyl]amino]propanoic acid **684275-70-5P**, (2E)-N-[4-Methoxy-3-[[[4-(4-methylpiperazinyl)phenyl]carbonyl]amino]phenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-71-6P**, (2E)-N-[3-[(2-Hydroxyacetyl)amino]-4-methoxyphenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-72-7P**, (2E)-N-[4-Methoxy-3-[(2-pyridylacetyl)amino]phenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-73-8P**, [N-[5-[[(2E)-3-(2,4,6-Trimethoxyphenyl)prop-2-enoyl]amino]-2-methoxyphenyl]carbamoyl]methyl acetate **684275-74-9P**, (2E)-N-[3-[(2-Hydroxypropanoyl)amino]-4-methoxyphenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-75-0P**, (2E)-N-[3-[(2-Hydroxy-2-methylpropanoyl)amino]-4-methoxyphenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-76-1P**, 1-[N-[5-[[(2E)-3-(2,4,6-Trimethoxyphenyl)prop-2-enoyl]amino]-2-

methoxyphenyl]carbamoyl]isopropyl acetate **684275-77-2P**, (2E)-N-[4-Methoxy-3-[[(trifluoromethyl)sulfonyl]amino]phenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-78-3P**, 3-[N-[5-[[(2E)-3-(2,4,6-Trimethoxyphenyl)prop-2-enoyl]amino]-2-methoxyphenyl]carbamoyl]propanoic acid **684275-79-4P**, 3-[N-[5-[[(2E)-3-(2,4,6-Trimethoxyphenyl)prop-2-enoyl]amino]-2-methoxyphenyl]carbamoyl]propanoyl chloride **684275-80-7P**, 3-[[[[N-[5-[[(2E)-3-(2,4,6-Trimethoxyphenyl)prop-2-enoyl]amino]-2-methoxyphenyl]carbamoyl]methyl]oxy]carbonyl]propanoic acid **684275-81-8P**, 4-[N-[5-[[(2E)-3-(2,4,6-Trimethoxyphenyl)prop-2-enoyl]amino]-2-methoxyphenyl]carbamoyl]butanoic acid **684275-82-9P**, (2E)-N-[4-Methoxy-3-[[2-(phosphonooxy)acetyl]amino]phenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide disodium salt **684275-83-0P**, 4-[[5-[[(2E)-3-(2,4,6-Trimethoxyphenyl)prop-2-enoyl]amino]-2-methoxyphenyl]amino]butanoic acid **684275-84-1P**, 3-[[5-[[(2E)-3-(2,4,6-Trimethoxyphenyl)prop-2-enoyl]amino]-2-methoxyphenyl]amino]propanoic acid **684275-85-2P**, (2E)-N-[4-Methoxy-3-[(methoxycarbonyl)amino]phenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-86-3P**, (2E)-N-[4-Methoxy-3-[[(4-methoxyphenyl)sulfonyl]amino]phenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-87-4P**, 2-[N-[5-[[(2E)-3-(2,4,6-Trimethoxyphenyl)prop-2-enoyl]amino]-2-methoxyphenyl]carbamoyl]ethyl acetate **684275-88-5P**, Methyl 3-[N-[5-[[(2E)-3-(2,4,6-trimethoxyphenyl)prop-2-enoyl]amino]-2-methoxyphenyl]carbamoyl]propanoate **684275-89-6P**, Ethyl 2-[N-[5-[[(2E)-3-(2,4,6-trimethoxyphenyl)prop-2-enoyl]amino]-2-methoxyphenyl]carbamoyl]acetate **684275-90-9P**, (2E)-N-[4-Methoxy-3-[(2,2,3,3,3-pentafluoropropanoyl)amino]phenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-91-0P**, Methyl 2-[N-[5-[[(2E)-3-(2,4,6-trimethoxyphenyl)prop-2-enoyl]amino]-2-methoxyphenyl]carbamoyl]-2,2-difluoroacetate **684275-92-1P**, 3-[N-[5-[[(2E)-3-(2,4,6-Trimethoxyphenyl)prop-2-enoyl]amino]-2-methoxyphenyl]carbamoyl]-2,2,3,3-tetrafluoropropanoic acid **684275-93-2P**, (2E)-N-[3-[(2-Aminoacetyl)amino]-4-methoxyphenyl]-3-(2,4,6-trimethoxyphenyl)prop-2-enamide **684275-94-3P** **684275-95-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl and heteroaryl propene amides as antiproliferative agents)

IT **80449-01-0**, Topoisomerase
RL: ADV (Adverse effect, including toxicity); BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitor, protection from; preparation of aryl and heteroaryl propene amides as antiproliferative agents)

RN 80449-01-0 HCAPLUS
CN Isomerase, deoxyribonucleate topo- (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

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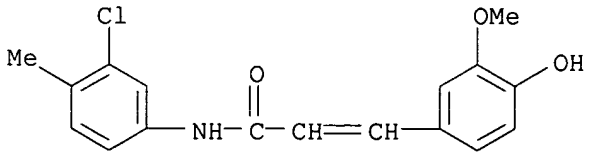
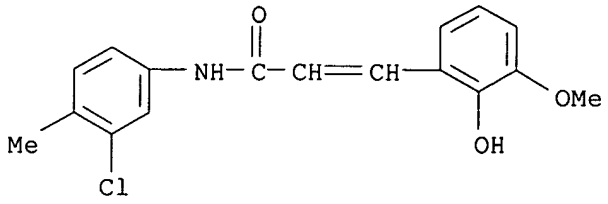
L97 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1997:612317 HCAPLUS
DN 127:314397
TI Short Communication: A cell and mechanism-based approach for the selection of EGF receptor inhibitors
AU Lanzi, Cinzia; Pensa, Tiziana; Cassinis, Marco; Corti, Cecilia; Gambetta,

Romolo Achille; Pratesi, Graziella; Menta, Ernesto; Ardini, Elena; Zunino, Franco
CS Divisions Experimental Oncology B and 1E, Istituto Nazionale Studio Cura Tumori, Milan, I-20133, Italy
SO Anti-Cancer Drug Design (**1997**), 12(6), 515-524
CODEN: ACDDEA; ISSN: 0266-9536
PB Oxford University Press
DT Journal
LA English
AB A series of 45 compds. was examined for their ability to inhibit EGF receptor tyrosine kinase activity using a two-step screening system: first, compds. were assayed in colorimetric antiproliferative tests against three human carcinoma cell lines expressing different levels of members of the EGF receptor family and then inhibitors of EGF receptor autophosphorylation were identified by a kinase assay using A431 cell membranes. Some potent antiproliferative agents were identified, particularly in the coumarins and BMN derivs. However, from the biochem. assay, it is evident that mechanisms of growth inhibition other than EGF receptor blocking should be operative. A tentative structure-activity relationship for the antiproliferative effects of coumarins suggests that either the F substitution on the aromatic ring or a reduction of the carbonyl group in position 2 (BBR1611, 1613, 1713, and 3223) confers antiproliferative activity. In the BMN derivs., it is worth noting the high selectivity of compds. BBR3330 and BBR3338 against the A431 cells, which seems to indicate the presence of a specific target for this cell line. Seven compds., selected by the antiproliferative assays, showed inhibitory activity of EGF receptor autophosphorylation in the kinase assay. They included, as expected, the six tyrphostin-like active compds. (tyrphostin 25, RG13022, RG14620, tyrphostin 47, tyrphostin 48 and BBR3335) and the cinnamilamide BBR3225, a tyrosine kinase inhibitor.
IT **197577-03-0**, BBR 3225 **197577-04-1**, BBR 3226
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(cell and mechanism-based approach for screening of EGF receptor inhibitors)
RN 197577-03-0 HCAPLUS
CN 2-Propenamide, N-(3-chloro-4-methylphenyl)-3-(4-hydroxy-3-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 197577-04-1 HCAPLUS
CN 2-Propenamide, N-(3-chloro-4-methylphenyl)-3-(2-hydroxy-3-methoxyphenyl)- (9CI) (CA INDEX NAME)



RETABLE

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Buchdunger, E	1995	92	2558	Proceedings of the N	HCAPLUS
Cross, M	1991	64	271	Cell	HCAPLUS
Dassonville, O	1993	11	1873	Journal of Clinical	MEDLINE
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Pfeiffer, D	1989	33	146	Gynecological Oncolo	MEDLINE
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Skehan, P	1990	82	1107	Journal of the Natio	HCAPLUS
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Slamon, D	1989	244	707	Science	MEDLINE
Sozzi, G	1991	51	400	Cancer Research	MEDLINE
van de Vijver, M	1991	266	7503	Journal of Biologica	HCAPLUS
van der Geer, P	1994	10	251	Annual Reviews on Ce	HCAPLUS
Yoneda, T	1991	51	4430	Cancer Research	HCAPLUS

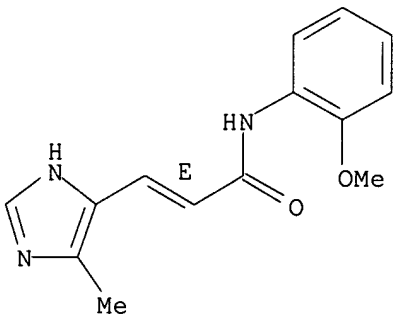
L97 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1996:325169 HCAPLUS
DN 125:195497
TI Novel 5-hydroxytryptamine (5-HT3) receptor antagonists. I. Synthesis and structure-activity relationships of conformationally restricted fused imidazole derivatives
AU Ohta, Mitsuaki; Suzuki, Takeshi; Koide, Tokuo; Matsuhisa, Akira; Furuya, Toshio; Miyata, Keiji; Yanagisawa, Isao
CS Neuroscience/Gastrointestinal Research Laboratories, Yamanouchi Pharmaceutical co., Ltd., Tsukuba, 305, Japan
SO Chemical & Pharmaceutical Bulletin (**1996**), 44(5), 991-999
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
AB Conformational restricted fused imidazoles 2-MeOC6H4NHCOR [R =

4,5,6,7-tetrahydro-1H-benzimidazol-5-yl (I), 5,6,7,8-tetrahydroimidazo[1,2-a]pyridin-7-yl, 4,5,6,7-tetrahydro-2-methyl-1H-benzimidazol-5-yl, 2-(2-methyl-1H-imidazol-1-yl)ethyl, etc.] were prepared Their activities were then evaluated as a 5-hydroxytryptamine (5-HT3) receptor antagonist which may be useful for the treatment of irritable bowel syndrome (IBS) as well as for nausea and vomiting associated with cancer chemotherapy. The most potent compound was I with an ID50 value of 0.32 μg/kg on the von Bezold-Jarisch reflex in rats and an IC50 value of 0.43 μM on the isolated colonic contraction in guinea pig, approx. 10 and 2 times more potent than ondansetron , resp. The structure-activity relationships (SAR) study suggested that the high potency of I may be attributed to the suitable position and direction of the N-C-N centroid in the conformationally restricted imidazole ring against the planar (2-methoxyphenyl)aminocarbonyl part in the binding of I to the receptor.

IT **180718-20-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydroxytryptamine receptor antagonist activity of fused imidazoles and structure activity relationships)

RN 180718-20-1 HCAPLUS
CN 2-Propenamide, N-(2-methoxyphenyl)-3-(5-methyl-1H-imidazol-4-yl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



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L104 64 S L102,L103

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L104 ANSWER 1 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:579598 HCAPLUS
DN 145:62916
TI Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
IN Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Labroli, Marc; Keertikar, Kartik M.
PA Schering Corporation, USA
SO U.S. Pat. Appl. Publ., 1068 pp., Cont.-in-part of U.S. Ser. No. 776,988.
CODEN: USXXCO

DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2006128725	A1	20060615	US 2005-245401	20051006 <--
	US 2004209878	A1	20041021	US 2004-776988	20040211 <--
PRAI	US 2002-408027P	P	20020904	<--	
	US 2002-421959P	P	20021029	<--	
	US 2003-654546	A2	20030903	<--	
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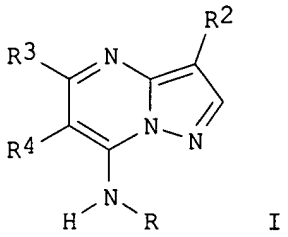

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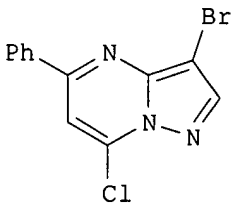
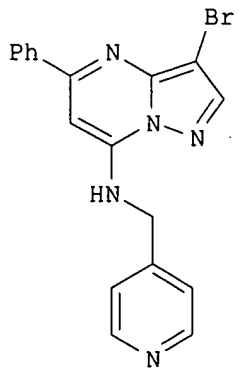


II



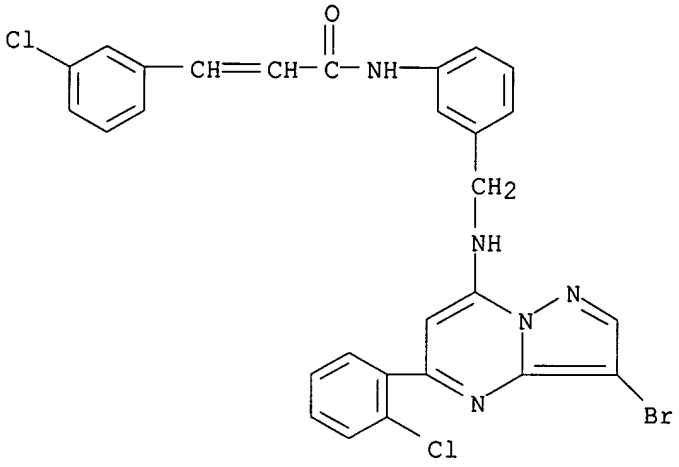
III

AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as **cancer**, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed.

IT **677787-68-7P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

IT **677787-68-7P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation);

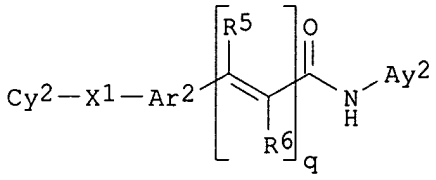
THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)
RN 677787-68-7 HCAPLUS
CN 2-Propenamide, N-[3-[[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]methyl]phenyl]-3-(3-chlorophenyl)- (9CI) (CA INDEX NAME)



L104 ANSWER 2 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1346218 HCAPLUS
DN 144:88321
TI Preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase
IN Delorme, Daniel; Woo, Soon Hyung; Vaisburg, Arkadii; Moradei, Oscar; Leit, Silvana; Raeppel, Stephane; Frechette, Sylvie; Bouchain, Giliane
PA Methylgene, Inc., Can.
SO U.S. Pat. Appl. Publ., 324 pp., Cont.-in-part of U.S. Ser. No. 358,556.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005288282	A1	20051229	US 2005-91025	20050325 <--
	US 2004106599	A1	20040603	US 2002-242304	20020912 <--
	US 2004142953	A1	20040722	US 2003-358556	20030204 <--
	US 6897220	B2	20050524		
	JP 2005255683	A2	20050922	JP 2005-80310	20050318 <--
PRAI	US 2001-322402P	P	20010914 <--		
	US 2002-391728P	P	20020626 <--		
	US 2002-242304	A2	20020912 <--		
	US 2003-358556	A2	20030204 <--		
	JP 2003-528544	A3	20020912 <--		

OS MARPAT 144:88321
GI



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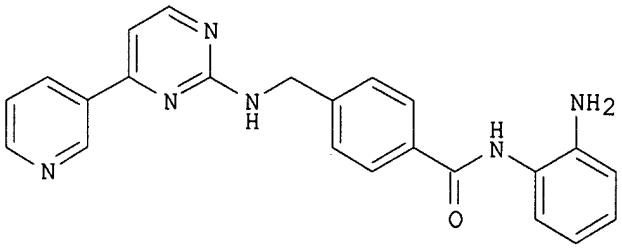

II

AB The invention provides compds. and methods for inhibiting histone deacetylase enzymic activity. Such compds. include carboxamides I [Cy2 = (un)substituted cycloalkyl, aryl, heteroaryl, heterocyclyl (each of which is optionally fused to one or two aryl or heteroaryl rings, or to one or two (un)saturated cycloalkyl or heterocyclic rings); X1 = a bond, M1L2M1, L2M2L2 (wherein L2 = a bond, alkylene, alkenylene, alkynylene; M1 = O, S, SO, NHCO, etc.; M2 = M1, heteroarylene, heterocyclylene); Ar2 = (un)substituted (hetero)arylene; R5, R6 = H, alkyl, aryl, aralkyl; q = 0-1; Ay2 = (un)substituted 5-6 membered cycloalkkyl, heterocyclyl or heteroaryl substituted with an amino or hydroxy moiety; with provisos] which were prepared and claimed. E.g., a multi-step synthesis of II, starting from Me 4-(aminomethyl)benzoate.HCl, was given. The invention also provides compns. and methods for treating cell proliferative diseases and conditions. **Antineoplastic** effects of some I are illustrated for colorectal, pulmonary and pancreatic **neoplasms**; also the combined **antineoplastic** effect of histone deacetylase inhibitors and histone deacetylase antisense oligonucleotides on **tumor** cells in vivo was demonstrated. Although the methods of preparation are not claimed, hundreds of example prepns. are included.

IT **503039-06-3P 503039-09-6P 503039-10-9P**
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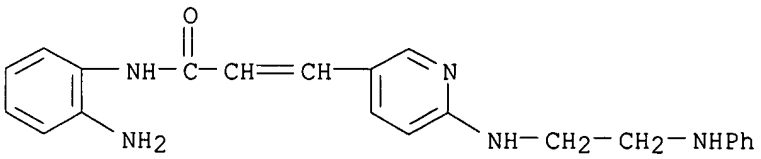
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase for treating cell proliferative disorders)

IT **503039-13-2P 503039-16-5P 503039-30-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase for treating cell proliferative disorders)

IT **503039-06-3P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase for treating cell proliferative disorders)

RN 503039-06-3 HCAPLUS
CN 2-Propenamide, N-(2-aminophenyl)-3-[6-[[2-(phenylamino)ethyl]amino]-3-pyridinyl]- (9CI) (CA INDEX NAME)

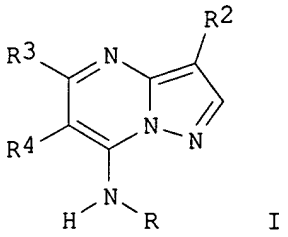


L104 ANSWER 3 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:980998 HCAPLUS
DN 141:379942
TI Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
IN Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh

PA Schering Corporation, USA; Pharmacopeia, Inc.
SO U.S. Pat. Appl. Publ., 1044 pp., Cont.-in-part of U.S. Ser. No. 654,546.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004209878	A1	20041021	US 2004-776988	20040211 <--
	US 2004209878	A1	20041021	US 2004-776988	20040211 <--
PRAI	US 2002-408027P	P	20020904 <--		
	US 2002-421959P	P	20021029 <--		
	US 2003-654546	A2	20030903 <--		
	US 2004-776988	A	20040211 <--		

GI



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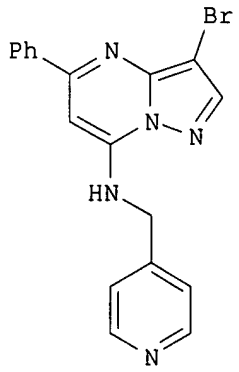
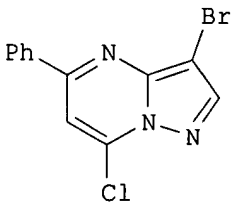


III



II

AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as **cancer**, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a Part II of I-III series.

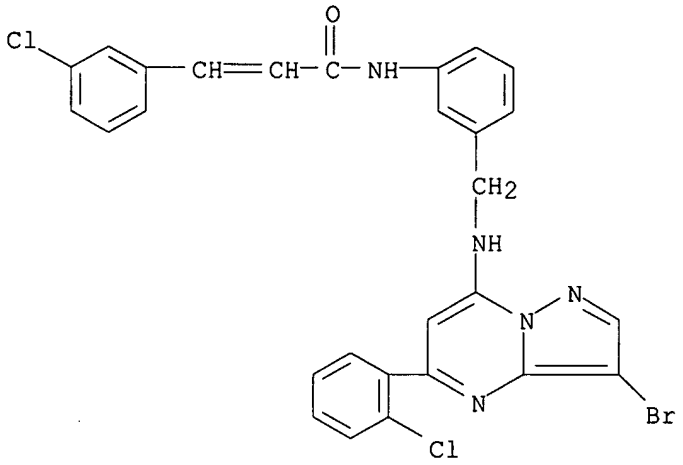
IT **677787-68-7P**
RL: CPN (Combinatorial preparation); **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

IT **677787-68-7P**
RL: CPN (Combinatorial preparation); **PAC (Pharmacological activity); THU (Therapeutic use)**; BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 677787-68-7 HCAPLUS

CN 2-Propenamide, N-[3-[[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]methyl]phenyl]-3-(3-chlorophenyl)- (9CI) (CA INDEX NAME)



L104 ANSWER 4 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:589250 HCAPLUS

DN 141:140470

TI Preparation of aminophenylbenzamides as inhibitors of histone deacetylase

IN Delorme, Daniel; Zhou, Zhihong

PA Methylgene, Inc., Can.

SO U.S. Pat. Appl. Publ., 318 pp., Cont.-in-part of U.S. Ser. No. 242,304.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004142953	A1	20040722	US 2003-358556	20030204 <--
	US 6897220	B2	20050524		
	US 2004106599	A1	20040603	US 2002-242304	20020912 <--
	AU 2004210016	A1	20040819	AU 2004-210016	20040204 <--
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	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1590340	A1	20051102	EP 2004-707852	20040204 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

	CN 1723207	A	20060118	CN 2004-80001769	20040204 <--
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	JP 2006514998	T2	20060518	JP 2005-518241	20040204 <--
	US 2006058298	A1	20060316	US 2005-81095	20050315 <--
	JP 2005255683	A2	20050922	JP 2005-80310	20050318 <--
	US 2005288282	A1	20051229	US 2005-91025	20050325 <--
PRAI	US 2001-322402P	P	20010914 <--		
	US 2002-391728P	P	20020626 <--		
	US 2002-242304	A2	20020912 <--		
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OS MARPAT 141:140470

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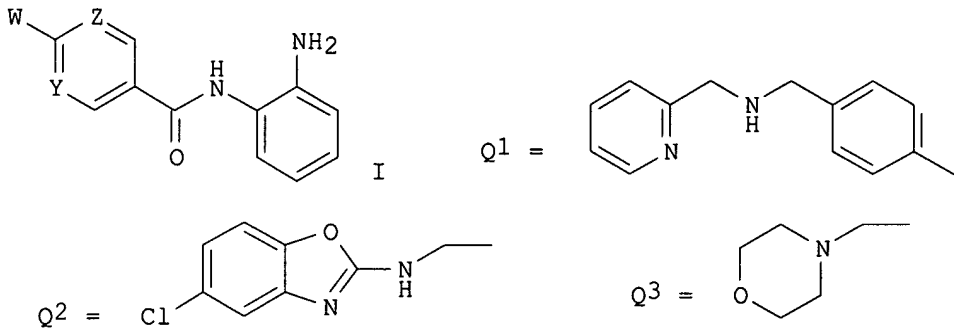


AB Title compds. e.g. (I; Y, Z = N, CH; W = Q1, Q2, Q3, etc.), were prepared Thus, 4-[[(4-Amino-6-(2-indanylamino)-[1,3,5]triazin-2-yl)amino]methyl]benzoic acid (preparation given) in DMF was stirred with Et3N, BOP, and 1,2-phenylenediamine to give 63% 4-[[(4-Amino-6-(2-indanylamino)-[1,3,5]triazin-2-yl)amino]methyl]-N-(2-aminophenyl)benzamide. The latter inhibited human histone deacetylase HDAC-1 with IC50 = 0.4 μM.

IT **503039-06-3P**, N-(2-Aminophenyl)-3-[6-[(2-phenylaminoethyl)amino]pyridin-3-yl]acrylamide **503039-09-6P**, N-(2-Aminophenyl)-3-[6-[(4-methoxybenzyl)amino]pyridin-2-yl]acrylamide **503039-10-9P**, [4-[2-(2-Aminophenylcarbamoyl)vinyl]benzyl]carbamic acid pyridin-3-ylmethyl ester **503039-12-1P**, N-(2-Aminophenyl)-3-[4-[[(3,4,5-trimethoxybenzyl)amino]methyl]phenyl]acrylamide **503039-15-4P**, N-(2-Aminophenyl)-3-[4-[[methyl(3,4,5-trimethoxybenzyl)amino]methyl]phenyl]acrylamide **503039-17-6P**, N-(2-Aminophenyl)-3-[4-[(4-methoxybenzyl)amino]phenyl]acrylamide **503039-19-8P**, N-(2-Aminophenyl)-3-(4-styrylaminophenyl)acrylamide **503039-22-3P**, N-(2-Aminophenyl)-3-[6-[[2-(4-oxo-4H-quinazolin-3-yl)ethyl]amino]pyridin-3-yl]acrylamide **503039-24-5P**, N-(2-Aminophenyl)-3-[6-[[2-(4-benzyl-2,6-dioxopiperazin-1-yl)ethyl]amino]pyridin-3-yl]acrylamide **503039-26-7P**, (E)-4-[[[4-Amino-6-(2-indanylamino)-[1,3,5]triazin-2-yl]amino]methyl]-N-(2-aminophenyl)cinnamide **503039-34-7P**, N-(2-Aminophenyl)-3-[2-[(4-methoxybenzyl)amino]quinolin-6-yl]acrylamide **503040-82-2P**, N-(2-Aminophenyl)-3-[4-[(3,4,5-trimethoxyphenyl)amino]phenyl]acrylamide **503040-85-5P**, N-(2-Aminophenyl)-3-[3-methoxy-4-[[(3,4,5-trimethoxyphenyl)amino]methyl]phenyl]acrylamide **503041-30-3P**, N-(2-Aminophenyl)-3-(4-((((pyridin-3-yl)methoxy)carbonyl)amino)phenyl)acrylamide **503041-32-5P**, N-(2-Aminophenyl)-3-(4-((3-phenyl-2-propenyl)amino)phenyl)acrylamide **503041-33-6P**,

N-(2-Aminophenyl)-3-(4-((4-methoxybenzoyl)amino)phenyl)acrylamide **503041-34-7P**, N-(2-Aminophenyl)-3-(6-(((4-methoxyphenyl)methyl)amino)pyridin-3-yl)acrylamide **503041-35-8P**, N-(2-Aminophenyl)-3-(6-(((pyridin-3-yl)methyl)amino)pyridin-3-yl)acrylamide **503041-36-9P**, N-(2-Aminophenyl)-3-(6-(((pyridin-4-yl)methyl)amino)pyridin-3-yl)acrylamide **503041-37-0P**, N-(2-Aminophenyl)-3-(6-(((4-fluorophenyl)methyl)amino)pyridin-3-yl)acrylamide **503041-38-1P**, N-(2-Aminophenyl)-3-(6-(benzylamino)pyridin-3-yl)acrylamide **503041-39-2P**, N-(2-Aminophenyl)-3-(6-((3-phenylpropyl)amino)pyridin-3-yl)acrylamide **503041-40-5P**, N-(2-Aminophenyl)-3-(6-((2-(4-methoxyphenyl)ethyl)amino)pyridin-3-yl)acrylamide **503041-41-6P**, N-(2-Aminophenyl)-3-(6-(((4-(dimethylamino)phenyl)methyl)amino)pyridin-3-yl)acrylamide **503041-42-7P**, N-(2-Aminophenyl)-3-(6-((3-(imidazol-1-yl)propyl)amino)pyridin-3-yl)acrylamide **503041-43-8P**, N-(2-Aminophenyl)-3-(6-(((3-(trifluoromethoxy)phenyl)methyl)amino)pyridin-3-yl)acrylamide **503041-44-9P**, N-(2-Aminophenyl)-3-(6-(((4-(trifluoromethoxy)phenyl)methyl)amino)pyridin-3-yl)acrylamide **503041-45-0P**, N-(2-Aminophenyl)-3-(6-(((3,5-difluorophenyl)methyl)amino)pyridin-3-yl)acrylamide **503041-46-1P**, N-(2-Aminophenyl)-3-(6-(((3-(trifluoromethyl)phenyl)methyl)amino)pyridin-3-yl)acrylamide **503041-47-2P**, N-(2-Aminophenyl)-3-(6-(((3-(aminomethyl)phenyl)methyl)amino)pyridin-3-yl)acrylamide **503041-48-3P**, N-(2-Aminophenyl)-3-(4-(2-((((pyridin-3-yl)methoxy)carbonyl)amino)ethyl)phenyl)acrylamide **503041-49-4P**, N-(2-Aminophenyl)-3-(4-(((3,4,5-trimethoxyphenyl)amino)methyl)phenyl)acrylamide **503041-50-7P**, N-(2-Aminophenyl)-3-(4-(((methyl)(3,4,5-trimethoxyphenyl)amino)methyl)phenyl)acrylamide **503041-51-8P**, N-(2-Aminophenyl)-3-(4-(((6-methoxypyridin-3-yl)amino)methyl)phenyl)acrylamide **503041-52-9P**, N-(2-Aminophenyl)-3-(4-(((quinolin-2-yl)thio)methyl)phenyl)acrylamide **503041-53-0P**, N-(2-Aminophenyl)-3-(4-(((pyridin-3-yl)methyl)amino)phenyl)acrylamide **503041-54-1P**, N-(2-Aminophenyl)-3-(6-((3-phenyl-2-propenyl)amino)pyridin-3-yl)acrylamide **503041-55-2P**, N-(2-Aminophenyl)-3-(2-(((4-nitrophenyl)methyl)amino)pyrimidin-5-yl)acrylamide **503041-56-3P**, N-(2-Aminophenyl)-3-(6-((4-methoxybenzoyl)amino)pyridin-3-yl)acrylamide **503041-57-4P**, N-(2-Aminophenyl)-3-(2-(((4-aminophenyl)methyl)amino)pyrimidin-5-yl)acrylamide **503041-58-5P**, N-(2-Aminophenyl)-3-(6-(((3,4,5-trimethoxyphenyl)methyl)amino)pyridin-3-yl)acrylamide **503041-59-6P**, N-(2-Aminophenyl)-3-(6-(((4-methylphenyl)methyl)amino)pyridin-3-yl)acrylamide **503041-60-9P**, N-(2-Aminophenyl)-3-(2-(((4-methoxyphenyl)methyl)amino)pyrimidin-5-yl)acrylamide **503041-62-1P*****, **N-(2-Aminophenyl)-3-(4-(((4,6-dimethoxypyrimidin-2-yl)amino)methyl)phenyl)acrylamide ***503041-63-2P**, N-(2-Aminophenyl)-3-(4-(((4-chloro-6-methoxypyrimidin-2-yl)amino)methyl)phenyl)acrylamide **503041-64-3P**, N-(2-Aminophenyl)-3-(4-(((3,5-dimethoxyphenyl)amino)methyl)phenyl)acrylamide **503041-65-4P**, N-(2-Aminophenyl)-3-(4-(((3,5-dinitrophenyl)amino)methyl)phenyl)acrylamide **503041-66-5P**, N-(2-Aminophenyl)-3-(4-(((3-(trifluoromethoxy)phenyl)methyl)amino)phenyl)acrylamide **503041-67-6P**, N-(2-Aminophenyl)-3-(4-((3,4,5-trimethoxyphenoxy)methyl)phenyl)acrylamide **503041-69-8P**, N-(2-Aminophenyl)-3-(4-((((indol-2-yl)methyl)(3,4,5-trimethoxyphenyl)amino)methyl)phenyl)acrylamide **503041-71-2P**, N-(2-Aminophenyl)-3-(4-(((3,4,5-trimethoxyphenyl)thio)methyl)phenyl)acrylamide **503041-75-6P**, N-(2-Aminophenyl)-3-(4-(((6-acetylbenzodioxol-5-yl)amino)methyl)phenyl)acrylamide **503041-78-9P**, N-(2-Aminophenyl)-3-(4-(((6-methoxybenzothiazol-2-yl)amino)methyl)phenyl)acrylamide **503041-79-0P**,

N-(2-Aminophenyl)-3-(4-(((4-morpholinophenyl)amino)methyl)phenyl)acrylamid
e **503041-81-4P**, N-(2-Aminophenyl)-3-(4-(((4-
(trifluoromethoxy)phenyl)amino)methyl)phenyl)acrylamide
503041-83-6P, N-(2-Aminophenyl)-3-(4-(((benzodioxol-5-
yl)amino)methyl)phenyl)acrylamide **503041-84-7P**,
N-(2-Aminophenyl)-3-(4-(((3-(trifluoromethoxy)phenyl)amino)methyl)phenyl)a
crylamide **503041-85-8P**, N-(2-Aminophenyl)-3-(4-(((3-
methoxyphenyl)amino)methyl)phenyl)acrylamide **503041-86-9P**,
N-(2-Aminophenyl)-3-(4-(((2-methoxyphenyl)amino)methyl)phenyl)acrylamide
503041-87-0P, N-(2-Aminophenyl)-3-(4-((phenylamino)methyl)phenyl)a
crylamide **503041-88-1P**, N-(2-Aminophenyl)-3-(4-(((4-
isopropylphenyl)amino)methyl)phenyl)acrylamide **503041-89-2P**,
N-(2-Aminophenyl)-3-(4-(((1,1'-biphenyl-4-yl)amino)methyl)phenyl)acrylamid
e **503041-90-5P**, N-(2-Aminophenyl)-3-(6-(((3,4,5-
trimethoxyphenyl)amino)methyl)pyridin-3-yl)acrylamide **503041-91-6P**
, N-(2-Aminophenyl)-3-(4-(((1-(3-benzyl-7-chloro-3,4-dihydro-4-
oxoquinazolin-2-yl)ethyl)amino)methyl)phenyl)acrylamide
503041-92-7P, N-(2-Aminophenyl)-3-(4-bromophenyl)acrylamide
503041-93-8P, N-(2-Aminophenyl)-3-(4-(((2,4,5-
trimethoxyphenyl)methyl)amino)phenyl)acrylamide **503041-94-9P**,
N-(2-Aminophenyl)-3-(4-(1-((3,4,5-trimethoxyphenyl)amino)ethyl)phenyl)acry
lamide **503041-96-1P**, N-(2-Aminophenyl)-3-(4-(((2-
aminophenyl)amino)carbonyl)phenyl)acrylamide **503041-97-2P**,
N-(2-Aminophenyl)-3-(6-((2-((pyrimidin-2-yl)amino)ethyl)amino)pyridin-3-
yl)acrylamide **503041-98-3P**, N-(2-Aminophenyl)-3-(6-((2-((thiazol-
2-yl)amino)ethyl)amino)pyridin-3-yl)acrylamide **503041-99-4P**,
N-(2-Aminophenyl)-3-(4-(((2-(morpholino)ethyl)(3,4,5-
trimethoxyphenyl)amino)methyl)phenyl)acrylamide **503042-00-0P**,
N-(2-Aminophenyl)-3-(6-(((3-hydroxyphenyl)methyl)amino)pyridin-3-
yl)acrylamide **503042-01-1P**, N-(2-Aminophenyl)-3-(6-(((3-(2,2,2-
trifluoroethoxy)phenyl)methyl)amino)pyridin-3-yl)acrylamide
503042-02-2P, N-(2-Aminophenyl)-3-(4-(((4-(4-methylpiperazino)-3-
(trifluoromethyl)phenyl)amino)methyl)phenyl)acrylamide
503042-03-3P, N-(2-Aminophenyl)-3-(4-(((3-fluoro-4-(4-
methylpiperazino)phenyl)amino)methyl)phenyl)acrylamide
503042-04-4P, N-(2-Aminophenyl)-3-(4-(((3-
hydroxyphenyl)amino)methyl)phenyl)acrylamide **503042-05-5P**,
N-(2-Aminophenyl)-3-(4-(((4-(trifluoromethyl)pyrimidin-2-
yl)amino)methyl)phenyl)acrylamide **503042-06-6P**,
N-(2-Aminophenyl)-3-(4-(((3-(hydroxymethyl)phenyl)amino)methyl)phenyl)acry
lamide **503042-07-7P**, N-(2-Aminophenyl)-3-(4-(((4-((pyridin-4-
yl)methyl)phenyl)amino)methyl)phenyl)acrylamide **503042-08-8P**,
N-(2-Aminophenyl)-3-(4-(((3-cyanophenyl)amino)methyl)phenyl)acrylamide
503042-09-9P, N-(2-Aminophenyl)-3-(4-(((3-
((acetylamino)methyl)phenyl)amino)methyl)phenyl)acrylamide
503042-10-2P, N-(2-Aminophenyl)-3-(4-(((4-nitro-3-
(trifluoromethyl)phenyl)amino)methyl)phenyl)acrylamide
503042-11-3P, N-(2-Aminophenyl)-3-(4-(((3,5-
dichlorophenyl)amino)methyl)phenyl)acrylamide **503042-12-4P**,
N-(2-Aminophenyl)-3-(4-((E)-2-(3,4,5-trimethoxyphenyl)ethenyl)phenyl)acryl
amide **503042-13-5P**, N-(2-Aminophenyl)-3-(4-((Z)-2-(3,4,5-
trimethoxyphenyl)ethenyl)phenyl)acrylamide **503042-14-6P**,
N-(2-Aminophenyl)-3-(4-(((3-(aminosulfonyl)phenyl)amino)methyl)phenyl)acry
lamide **503042-15-7P**, N-(2-Aminophenyl)-3-(4-(((3-(((3-
(morpholino)propyl)amino)sulfonyl)phenyl)amino)methyl)phenyl)acrylamide
503042-16-8P, N-(2-Aminophenyl)-3-(4-(2-(3,4,5-
trimethoxyphenyl)ethyl)phenyl)acrylamide **503042-17-9P**,
N-(2-Aminophenyl)-3-(4-(((4-methoxyphenyl)amino)methyl)phenyl)acrylamide
503042-20-4P, N-(2-Aminophenyl)-3-(4-(((3,4-
dimethoxyphenyl)amino)methyl)phenyl)acrylamide **503042-21-5P**,

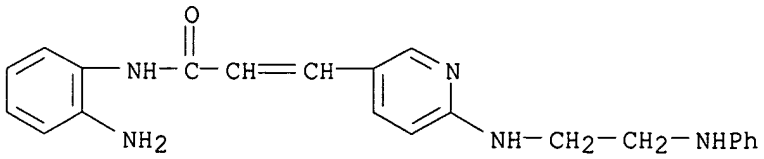
N-(2-Aminophenyl)-3-(4-(((3-(tetrazol-5-yl)phenyl)amino)methyl)phenyl)acry
lamide **503042-22-6P**, N-(2-Aminophenyl)-3-(4-(((4-((tetrazol-5-
yl)methyl)phenyl)amino)methyl)phenyl)acrylamide **503042-23-7P**,
N-(2-Aminophenyl)-3-(4-(((4-bromophenyl)amino)methyl)phenyl)acrylamide
503042-24-8P, N-(2-Aminophenyl)-3-(4-(((3-
bromophenyl)amino)methyl)phenyl)acrylamide **503042-25-9P**,
N-(2-Aminophenyl)-3-(4-(((4-iodophenyl)amino)methyl)phenyl)acrylamide
503042-26-0P, N-(2-Aminophenyl)-3-(4-(((3-
iodophenyl)amino)methyl)phenyl)acrylamide **503042-27-1P**,
N-(2-Aminophenyl)-3-(4-(((3-(2-hydroxyethoxy)phenyl)amino)methyl)phenyl)ac
rylamide **503042-28-2P**, N-(2-Aminophenyl)-3-(4-(((4-
nitrophenyl)amino)methyl)phenyl)acrylamide **503042-29-3P**,
N-(2-Aminophenyl)-3-(4-(((3-nitrophenyl)amino)methyl)phenyl)acrylamide
503042-30-6P, N-(2-Aminophenyl)-3-(4-(((4-
chlorophenyl)amino)methyl)phenyl)acrylamide **503042-31-7P**,
N-(2-Aminophenyl)-3-(4-(((3-chlorophenyl)amino)methyl)phenyl)acrylamide
503042-32-8P, N-(2-Aminophenyl)-3-(4-(((4-
fluorophenyl)amino)methyl)phenyl)acrylamide **503042-33-9P**,
N-(2-Aminophenyl)-3-(4-(((3-(methylthio)phenyl)amino)methyl)phenyl)acrylam
ide **503042-34-0P**, N-(2-Aminophenyl)-3-(4-(((4-
(methylthio)phenyl)amino)methyl)phenyl)acrylamide **503042-35-1P**,
N-(2-Aminophenyl)-3-(4-(((5-bromopyridin-2-yl)amino)methyl)phenyl)acrylami
de **503042-36-2P**, N-(2-Aminophenyl)-3-(4-(((naphth-1-
yl)amino)methyl)phenyl)acrylamide **503042-37-3P**,
N-(2-Aminophenyl)-3-(4-(((3-fluorophenyl)amino)methyl)phenyl)acrylamide
503042-38-4P, N-(2-Aminophenyl)-3-[3,5-dimethoxy-4-[[(3,4,5-
trimethoxyphenyl)amino]methyl]phenyl]acrylamide **503042-39-5P**,
N-(2-Amino-3-hydroxyphenyl)-3-[4-[[(3,4,5-trimethoxyphenyl)amino]methyl]ph
enyl]acrylamide **503042-40-8P**, N-(2-Aminophenyl)-3-(4-(((2,3,4-
trimethoxyphenyl)amino)methyl)phenyl)acrylamide **503042-41-9P**,
N-(2-Aminophenyl)-3-(4-(((4-methoxy-3-(((3,4,5-
trimethoxyphenyl)amino)methyl)phenyl)amino)methyl)phenyl)acrylamide
503042-43-1P, N-(2,3-Diaminophenyl)-3-[4-[[(3,4,5-
trimethoxyphenyl)amino]methyl]phenyl]acrylamide **503042-44-2P**,
N-(2-Aminophenyl)-3-(4-(((3-fluoro-4-(methylthio)phenyl)amino)methyl)pheny
l)acrylamide **503042-45-3P**, N-(2-Aminophenyl)-3-(4-(((4-
(methylthio)-3-(trifluoromethyl)phenyl)amino)methyl)phenyl)acrylamide
503042-46-4P, N-(2-Aminophenyl)-3-[3-nitro-4-[[(3,4,5-
trimethoxyphenyl)amino]methyl]phenyl]acrylamide **503042-47-5P**,
N-(2,3-Diaminophenyl)-3-[3-amino-4-[[(3,4,5-trimethoxyphenyl)amino]methyl]
phenyl]acrylamide **503042-48-6P**, N-(4-Aminothiophen-3-yl)-3-[4-
[[(3,4,5-trimethoxyphenyl)amino]methyl]phenyl]acrylamide
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(drug candidate; preparation of aminophenylbenzamides as inhibitors of
histone deacetylase for treating cell proliferative disorders)

IT **503039-13-2P**, N-(2-Nitrophenyl)-3-[4-[[(3,4,5-
trimethoxybenzyl)amino]methyl]phenyl]acrylamide **503039-16-5P**,
3-[4-[[Methyl(3,4,5-trimethoxybenzyl)amino]methyl]phenyl]-N-(2-
nitrophenyl)acrylamide **503039-30-3P**, (E)-4-[[[4-Amino-6-(2-
indanylamino)-[1,3,5]triazin-2-yl]amino]methyl]-N-[2-(N-(tert-
butoxycarbonylamino)phenyl]cinnamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of aminophenylbenzamides as inhibitors of histone deacetylase
for treating cell proliferative disorders)

IT **503039-06-3P**, N-(2-Aminophenyl)-3-[6-[(2-
phenylaminoethyl)amino]pyridin-3-yl]acrylamide
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aminophenylbenzamides as inhibitors of histone deacetylase for treating cell proliferative disorders)

RN 503039-06-3 HCAPLUS
CN 2-Propenamide, N-(2-aminophenyl)-3-[6-[[2-(phenylamino)ethyl]amino]-3-pyridinyl]- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
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Anon	2000			WO 0003704	HCAPLUS
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L104 ANSWER 5 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:467870 HCAPLUS
DN 141:38625
TI Preparation of Chk-, pdk- and akt-inhibitory pyrimidines
IN Bryant, Judi; Kochanny, Monica; Yuan, Shendong; Khim, Seock-Kuy; Buckman, Brad; Arnaiz, Damian; Boemer, Ulf; Briem, Hans; Esperling, Peter; Huwe, Christoph; Kuhnke, Joachim; Schaefer, Martina; Wortmann, Lars; Kosemund, Dirk; Eckle, Emil; Feldman, Richard; Phillips, Gary
PA Schering Aktiengesellschaft, Germany
SO PCT Int. Appl., 293 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004048343	A1	20040610	WO 2003-EP13443	20031128 <--
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	AU 2003288198	A1	20040618	AU 2003-288198	20031128 <--
	US 2004186118	A1	20040923	US 2003-722591	20031128 <--
	EP 1565446	A1	20050824	EP 2003-780086	20031128 <--
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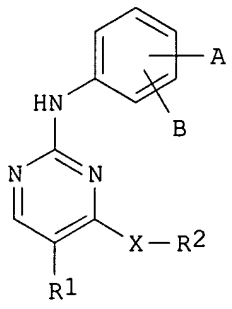
	BR 2003016680	A	20051018	BR 2003-16680	20031128 <--
	CN 1717396	A	20060104	CN 2003-80104544	20031128 <--
	JP 2006508997	T2	20060316	JP 2004-554522	20031128 <--
	NO 2005003144	A	20050627	NO 2005-3144	20050627 <--
PRAI	EP 2002-26607	A	20021128 <--		
	WO 2003-EP13443	W	20031128		

OS MARPAT 141:38625
GI



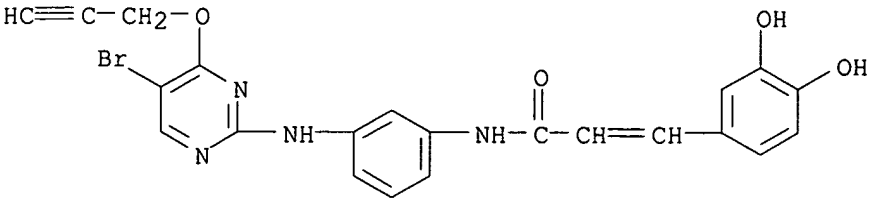
I

AB The title compds. [I; A, B = CN, halo, H, OH, etc.; X = O, (un)substituted NH; R1 = H, halo, CH2OH, alkyl, etc.; R2 = H, (un)substituted NHCO-aryl or alkyl] which are inhibitors of kinases useful as medications for treating various diseases, were prepared E.g., a multi-step synthesis of 5-bromo-4-[2-(1H-imidazol-4-yl)ethylamino]-2-(4-pyrrolidin-1-ylmethylphenylamino)pyrimidine, starting from 5-bromouracil, was given. Biol. data for inhibition of Akt-2, Chk-1, and VEGFR-II (KDR) were given. The pharmaceutical composition comprising the compds. I is claimed.

IT **702673-93-6P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of Chk-, pdk- and akt-inhibitory pyrimidines)

IT **702673-93-6P**

RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of Chk-, pdk- and akt-inhibitory pyrimidines)
RN 702673-93-6 HCAPLUS
CN 2-Propenamide, N-[3-[[5-bromo-4-(2-propynyloxy)-2-pyrimidinyl]amino]phenyl]-3-(3,4-dihydroxyphenyl)- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Peter, T	2001			WO 0172717 A	HCAPLUS
Peter, T	2002			WO 0204429 A	HCAPLUS

L104 ANSWER 6 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:462860 HCAPLUS
DN 141:33797
TI Substituted heterocyclic acyl-tripeptides useful as thrombin receptor modulators
IN McComsey, David F.; Maryanoff, Bruce E.; Hawkins, Michael J.
PA Ortho-McNeil Pharmaceutical, Inc., USA
SO U.S., 14 pp., Cont.-in-part of U.S. Ser. No. 444,327, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

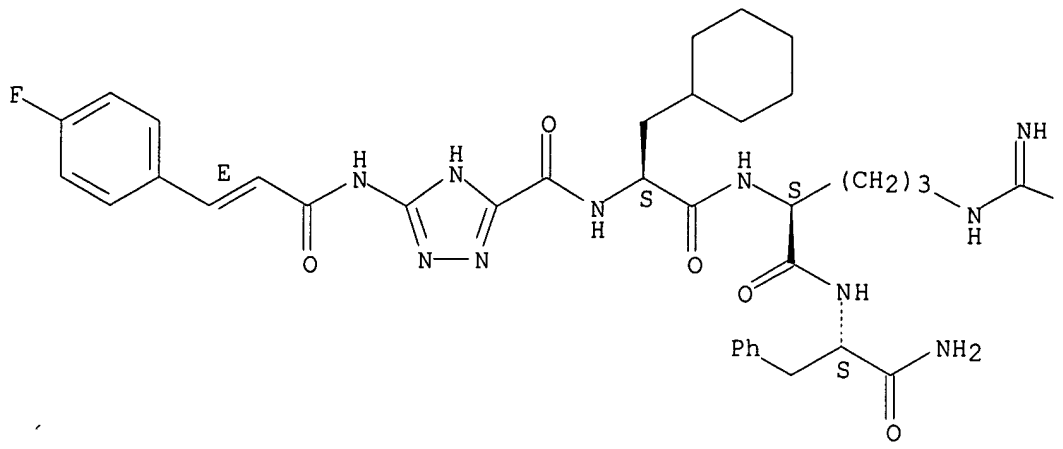
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6747127	B1	20040608	US 2000-565715	20000505 <--
	TR 200102502	T2	20020521	TR 2001-200102502	19991119 <--
	US 2004063903	A1	20040401	US 2003-606422	20030626 <--
PRAI	US 1998-112313P	P	19981214 <--		
	US 1999-444327	B2	19991119 <--		
	US 2000-565715	A3	20000505 <--		

OS MARPAT 141:33797
AB Substituted heterocyclic acyl-tripeptides, useful as thrombin receptor modulators, are disclosed, as is their use in wound healing and preventing platelet aggregation. Pharmaceutical compns. comprising the substituted heterocyclic acyl-tripeptides of the invention, as well as methods of treating conditions mediated by the thrombin receptor, are also disclosed.
IT **231608-80-3 231608-81-4 231608-83-6 231608-85-8 231608-86-9 231608-88-1 276671-57-9**
RL: BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(heterocyclic acyl-tripeptide derivs. for thrombin receptor modulators)
IT **231608-80-3**
RL: BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)

(heterocyclic acyl-tripeptide derivs. for thrombin receptor modulators)
RN 231608-80-3 HCAPLUS
CN L-Phenylalaninamide, 3-cyclohexyl-N-[[5-[[(2E)-3-(4-fluorophenyl)-1-oxo-2-propenyl]amino]-1H-1,2,4-triazol-3-yl]carbonyl]-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Abelman	1997			US 5696231 A	HCAPLUS
Anon	1992			EP 0503203 A1	HCAPLUS
Anon	1992			HU 9201875	
Anon	1992			WO 9204371 A1	HCAPLUS
Anon	1999			HU 9901290 A	
Anon	1999			WO 9942475 A1	HCAPLUS
Bernatowicz, E	1996	39	4879	Journal of Medicinal	
Bevilacque, M	1989	243	1160	Science	
Carney, D	1992	89	1469	Enhancement of Incis	HCAPLUS
Cindy, L	1992	1136	272	Biochimica et Biophy	
Hartan, J	1986	103	1129	The Journal of Cell	
Ho-Sam, A	1999	9	2073	Bioorganic & Medicin	
Hoekstra, W	1998	8	1649	Bioorganic & Medical	HCAPLUS

Hung, D	1992	116	827	The Journal of Cell	HCAPLUS
Hwang, B	1993	115	7912	J Am Chem Soc	HCAPLUS
Kees, J	1992	118	411	The Journal of Cell	
Malik, A	1986	12		Seminars in Thrombos	HCAPLUS
Malikayl	2000			US 6069232 A	HCAPLUS
McComsey, D	1999	9	1423	Bioorganic & Medicin	HCAPLUS
Neises	1995			US 5391705 A	HCAPLUS
Oekstra	2000			US 6017890 A	HCAPLUS
Tatakis, D	1991	174	181	Biochemical and Biop	HCAPLUS
Vu, T	1991	64	1067	Cell	
Yaeuo, S	1992	119	935	The Journal of Cell	
Yaron	1982			US 4314936 A	HCAPLUS

L104 ANSWER 7 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:390211 HCAPLUS
DN 140:406638
TI Preparation of arylamides as melanin concentrating hormone (MCH) receptor antagonists.
IN Stenkamp, Dirk; Mueller, Stephan Georg; Roth, Gerald Juergen; Lustenberger, Philipp; Rudolf, Klaus; Lehmann-Lintz, Thorsten; Arndt, Kirsten; Lotz, Ralf R. H.; Lenter, Martin; Wieland, Heike-Andrea
PA Boehringer Ingelheim Pharma GmbH & Co. Kg, Germany; et al.
SO PCT Int. Appl., 276 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004039764	A1	20040513	WO 2003-EP11933	20031028 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10250743	A1	20040519	DE 2002-10250743	20021031 <--
	CA 2504207	AA	20040513	CA 2003-2504207	20031028 <--
	AU 2003285306	A1	20040525	AU 2003-285306	20031028 <--
	EP 1558567	A1	20050803	EP 2003-778292	20031028 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003015797	A	20050913	BR 2003-15797	20031028 <--
	CN 1708476	A	20051214	CN 2003-80102236	20031028 <--
	JP 2006504761	T2	20060209	JP 2004-547576	20031028 <--
	US 2004152742	A1	20040805	US 2003-699089	20031031 <--
	NO 2005000745	A	20050523	NO 2005-745	20050211 <--
PRAI	DE 2002-10250743	A	20021031 <--		
	US 2003-456482P	P	20030321 <--		
	WO 2003-EP11933	W	20031028		

OS MARPAT 140:406638
AB R1R2NXYZNR3COWABb [R1, R2 = H, (substituted) alkyl, cycloalkyl, heterocyclyl, Ph, pyridyl; R1R2 = alkylene optionally interrupted by CH:N, CH:CH, O, S, SO, SO2, CO, imino, etc.; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl; X = alkylene optionally interrupted by CH:CH, C.tplbond.C, O, S, SO, SO2, CO, imino; W = CR6aR6bO, CR7a:CR7c, etc.; Z =

bond, (fused) (alkyl-substituted) alkylene; Y, A, B = Cy; b = 0, 1; Cy = (substituted) (unsatd.) carbocyclyl, Ph, (aromatic) heterocyclyl; R6a, R6b = H, alkyl, CF3; R7a, R7c = H, F, Cl, alkyl, CF3; with provisos and specific exceptions], were prepared for treatment of obesity, diabetes, heart failure, arteriosclerosis, hypertension, arthritis, mastocytosis, depression, anxiety, etc. Thus, Me aminoacetate hydrochloride, Et3N, and N-[3-chloro-4-(2-oxoethoxy)phenyl]-2-(2,4-dichlorophenoxy)acetamide in CH2Cl2/THF were treated with NaBH(OAc)3 followed by stirring for 3 h to give 78% Me [2-[2-chloro-4-[2-(2,4-dichlorophenoxy)acetylamino]phenoxy]ethylamino]acetate. Tested title compds. bound to MCH-1 receptors with IC50 = 17-41 nM.

IT **689299-54-5P 689299-76-1P 689299-77-2P**
689299-79-4P 689299-80-7P 689299-81-8P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of arylamides as melanin concentrating hormone (MCH)
receptor antagonists)

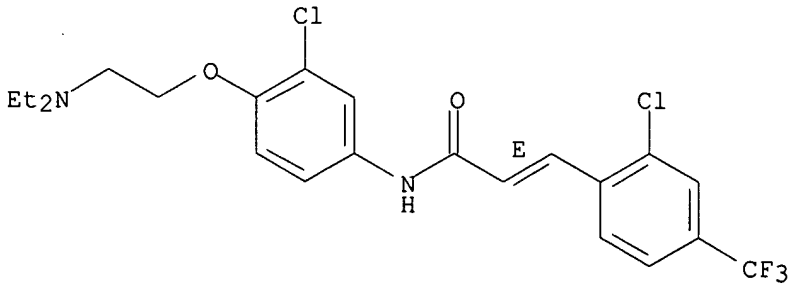
IT **689302-63-4P 689302-68-9P 689302-69-0P**
689302-71-4P 689302-74-7P 689302-76-9P
689302-80-5P 689302-84-9P 689302-88-3P
689302-90-7P 689302-92-9P 689302-94-1P
689302-96-3P 689302-99-6P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylamides as melanin concentrating hormone (MCH) receptor antagonists)

IT **689300-58-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylamides as melanin concentrating hormone (MCH) receptor antagonists)

IT **689299-54-5P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of arylamides as melanin concentrating hormone (MCH)
receptor antagonists)

RN 689299-54-5 HCAPLUS
CN 2-Propenamide, N-[3-chloro-4-[2-(diethylamino)ethoxy]phenyl]-3-[2-chloro-4-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Bondinell, W	2000			WO 0006153 A	HCAPLUS
Ishihara, Y	2001			WO 0121577 A	HCAPLUS
Schering Corp	2002			WO 02057233 A	HCAPLUS
Schering Corp	2003			WO 03035055 A	HCAPLUS
Synaptic Pharmarceutica	2002			WO 0206245 A	HCAPLUS

L104 ANSWER 8 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:354904 HCAPLUS
DN 140:374986
TI Preparation of N-(2-aminophenyl)benzamides as histone deacetylase inhibitors useful against cell proliferative diseases
IN Raeppel, Stephane; Gaudette, Frederic; Paquin, Isabelle; Vaisburg, Arkadii; Delorme, Daniel
PA Methylgene Inc., Can.
SO PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004035525	A1	20040429	WO 2003-CA1557	20031016 <--
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	AU 2003273701	A1	20040504	AU 2003-273701	20031016 <--
	EP 1551795	A1	20050713	EP 2003-757608	20031016 <--
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	CN 1705635	A	20051207	CN 2003-80101671	20031016 <--
	JP 2006503082	T2	20060126	JP 2004-543863	20031016 <--
	US 2006020131	A1	20060126	US 2005-531406	20050414 <--
PRAI	US 2002-419688P	P	20021017 <--		
	WO 2003-CA1557	W	20031016		

OS MARPAT 140:374986
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides N-(2-aminophenyl)benzamides (shown as I-IV; variables defined below) and methods for treating cell proliferative diseases. For I: Ar = (un)substituted aryl or heteroaryl. For II: X = -N(R1)-, -O-, or -S-; or X is a N-containing heterocyclyl in which a N is covalently bound to the adjacent carbonyl and is (un)substituted; R and R1 independently = -H, or (un)substituted C1-C6-hydrocarbyl or R2-L-, wherein R2 is aryl or heteroaryl, L is C0-C6-hydrocarbyl-L1-C0-C6-hydrocarbyl, and

L1 is a covalent bond, -O-, -S-, or -NH-. For III: Y = -N(R4)-, -O-, -S-, -N(R4)SO2-, -SO2-N(R4) -, -SO2-, -N(R4)-C(O)-, -C(O)-N(R4)-, - NHC(O)NH-, -N(R4)C(O)O-, -OC(O)N(R4)-, or a covalent bond, and R1, R2, and R3 independently = -H or Ra-C0-C6-hydrocarbyl wherein Ra is -H or Ra is aryl or heteroaryl, each of which is (un)substituted; R4 = -H, -C(O)-Rb, -C(O)O-Rb, -C(O)NH-Rb, or Rc-C0-C6-hydrocarbyl wherein Rb is -H or -C1-C6-hydrocarbyl, and Rc is -H, or aryl or heteroaryl each of which is (un)substituted. For IV: Ar1 is aryl or heteroaryl (un)substituted with 1-3 -NO2, CH3O-, and morpholin-4-yl. Histone deacetylase inhibition activity for .apprx.50 examples of I-IV are tabulated. Although the methods of preparation are not claimed, example prepns. and/or characterization data for the .apprx.50 examples are included. For example, N-(2-aminophenyl)-4-[3-(3,4-dichlorophenyl)acryloyl]benzamide was prepared in 2 steps (96 and 62 % yields, resp.) starting with coupling of 3,4-dichlorobenzaldehyde with 4-acetylbenzoic acid to give 4-[3-(3,4-dichlorophenyl)acryloyl]benzoic acid followed by conversion to the amide using 1,2-phenylenediamine. Aminophenyl benzamide preparation histone deacetylase inhibitor cell proliferation inhibitor.

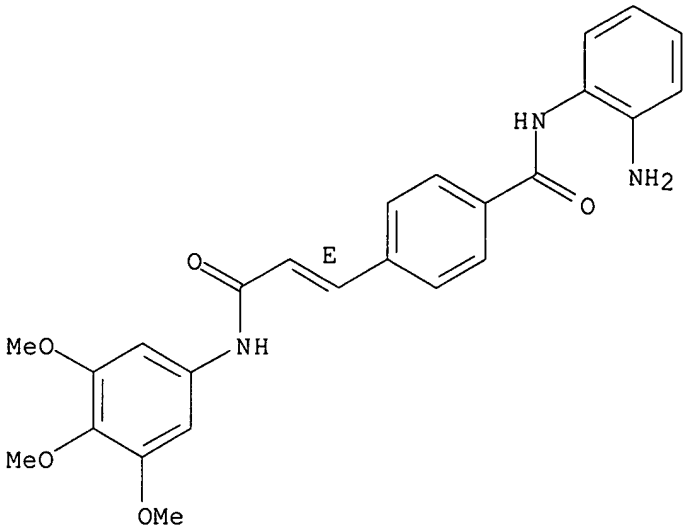
IT **683246-08-4P 683246-32-4P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of N-(2-aminophenyl)benzamides as histone deacetylase inhibitors useful against cell proliferative diseases)

IT **683246-12-0P 683246-13-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-(2-aminophenyl)benzamides as histone deacetylase inhibitors useful against cell proliferative diseases)

IT **683246-08-4P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of N-(2-aminophenyl)benzamides as histone deacetylase inhibitors useful against cell proliferative diseases)

RN 683246-08-4 HCAPLUS
CN Benzamide, N-(2-aminophenyl)-4-[(1E)-3-oxo-3-[(3,4,5-trimethoxyphenyl)amino]-1-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Mitsui Chemicals Inc	1998			EP 0847992 A	HCAPLUS
Weidle, U	2000	20	1471	ANTICANCER RESEARCH	HCAPLUS

L104 ANSWER 9 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:265847 HCAPLUS
DN 140:321370
TI Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
IN Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh
PA Schering Corporation, USA
SO PCT Int. Appl., 609 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004022561	A1	20040318	WO 2003-XA27555	20030903 <--
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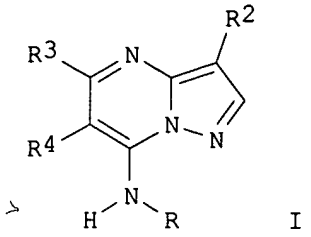
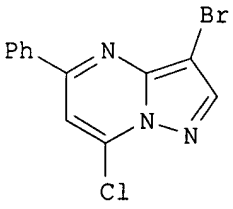
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CN 1735614	A	20060215	CN 2003-824997	20030903 <--
PRAI	US 2002-408027P	P	20020904 <--		
	US 2002-421959P	P	20021029 <--		

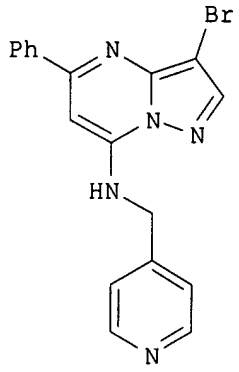
GI



I



II



III

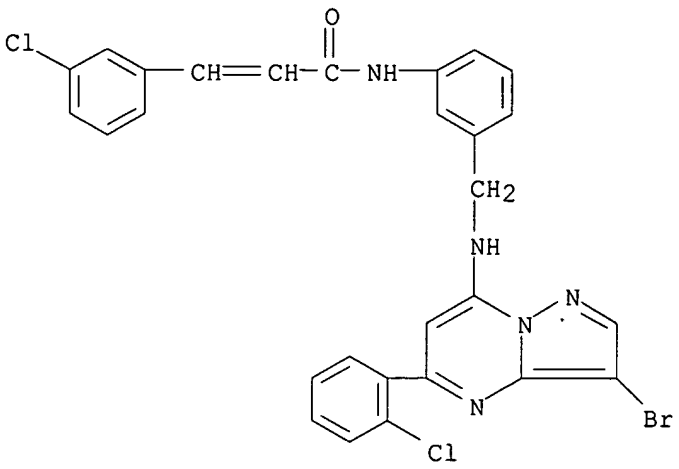
AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as **cancer**, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a Part II of I-III series.

IT **677787-68-7P**
RL: CPN (Combinatorial preparation); **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

IT **677787-68-7P**
RL: CPN (Combinatorial preparation); **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 677787-68-7 HCAPLUS

CN 2-Propenamide, N-[3-[[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]methyl]phenyl]-3-(3-chlorophenyl)- (9CI) (CA INDEX NAME)



L104 ANSWER 10 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:120848 HCAPLUS
DN 140:181439
TI Preparation of furanthiazole derivatives as heparanase inhibitors
IN Courtney, Stephen Martin; Hay, Philip Andrew; Scopes, David Ian Carter
PA Oxford Glycosciences (UK) Ltd, UK
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004013132	A1	20040212	WO 2003-GB3409	20030805 <--
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	US 2006128768	A1	20060615	US 2005-523118	20051004 <--
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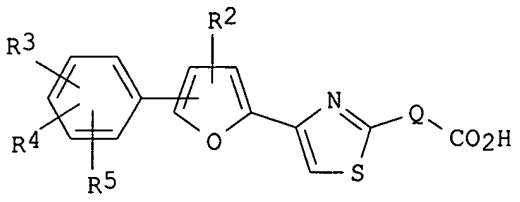
OS MARPAT 140:181439
GI



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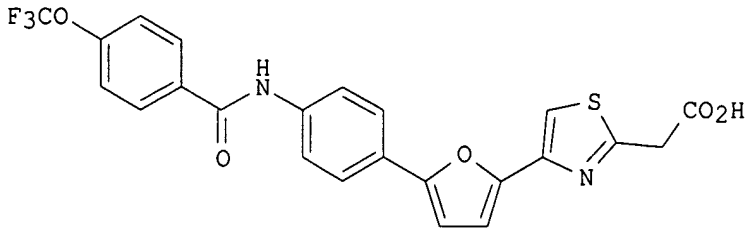


II

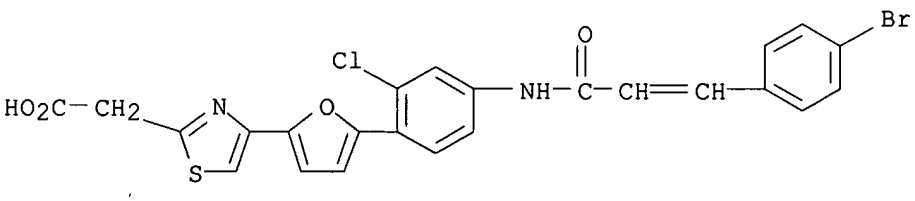
AB The title compds. [I; Q = (CH2)m[CH(R1)]n(CH2)p (wherein n = 0-1; m, p = 0-2); R1 = H, alkyl, alkenyl, alkynyl; R2 = H, halo, alkyl, etc.; R3-R5 = H, halo, alkyl, etc.], useful as inhibitors of heparanase for treating **cancer**, were prepared Thus, a multi-step synthesis of II (starting from 4-nitroaniline and 2-acetylfuran) which showed IC50 of 3.5 μM against heparanase and IC50 of 0.2 μM against angiogenesis, was given. The pharmaceutical composition comprising the compound I is given.

IT **657405-18-0P 657405-19-1P 657405-20-4P**
657405-22-6P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-[4-(furan-2-yl)thiazol-2-yl]acetic acids as heparanase inhibitors)

IT **657405-18-0P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-[4-(furan-2-yl)thiazol-2-yl]acetic acids as heparanase inhibitors)

RN 657405-18-0 HCAPLUS

CN 2-Thiazoleacetic acid, 4-[5-[4-[[3-(4-bromophenyl)-1-oxo-2-propenyl]amino]-2-chlorophenyl]-2-furanyl]- (9CI) (CA INDEX NAME)



L104 ANSWER 11 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:101143 HCAPLUS
DN 140:146168

TI Antagonist of melanin-concentrating hormone receptor comprising benzimidazole derivative as active ingredient

IN Moriya, Minoru; Kanatani, Akio; Iwaasa, Hisashi; Ishihara, Akane; Fukami, Takehiro

PA Banyu Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 112 pp.
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004011440	A1	20040205	WO 2003-JP9610	20030729 <--
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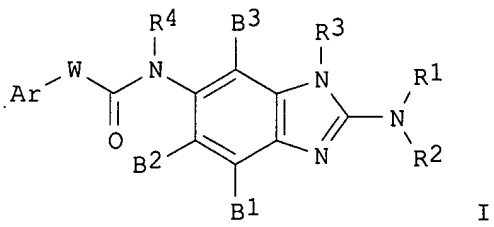
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2005222161	A1	20051006	US 2005-522718	20050128 <--
PRAI	JP 2002-220905	A	20020730 <--		
	WO 2003-JP9610	W	20030729		

OS MARPAT 140:146168

GI



I

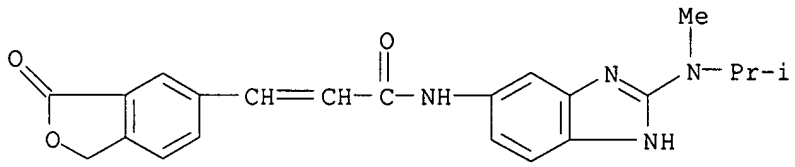
AB Disclosed are antagonists of melanin-concentrating hormone receptor (MCH) comprising benzimidazole derivs. of the general formula (I) as active ingredients [wherein B1, B2, B3 = H, halo, lower alkyl, lower alkoxy; R1, R2 = H, 3- to 10-membered ring alicyclyl, lower alkyl optionally substituted by 3- to 10-membered ring alicyclyl, 3- to 10-membered ring N-containing aliphatic heterocyclyl; provided that R1 and R2 are not simultaneously H; R3 = H, (un)substituted lower alkyl; R4 = H, lower alkyl; W = a bond, mono- or bicyclic 3- to 10-membered ring aromatic or aliphatic heterocyclyl or carbocyclyl, C2-4 alkylene or alkenylene optionally having a carbon atom replaced by O in the main chain; Ar = mono- or bicyclic aromatic carbocyclyl or heterocyclyl]. Also disclosed are preventives or therapeutic agents containing the compds. I as the active ingredients for (1) metabolic diseases such as obesity, diabetes, hormone secretion abnormality, hyperlipidemia, gout, fatty liver, hepatitis, and

liver **cirrhosis**, (2) circulatory diseases such as angina pectoris, acute ischemic heart failure, myocardial infarction, coronary arteriosclerosis, hypertension, kidney diseases, and electrolyte abnormality, (3) central or peripheral nerve diseases such as overeating, affective disorder, depression, anxiety, delirium, epilepsy, dementia, motor coordination disorder, attention deficiency-hyperactive (hyperkinesis) disorder, memory disorder, sleep disorder, cognition disorder, dyskinesia, sensation abnormality, olfaction disorder, morphine resistance, drug dependence, and alcoholism, (4) reproduction diseases such as sterility, premature labor, and sexual function disorder, (5) digestive tract diseases, (5) **cancer**, and (6) skin pigmentation. Thus, 5-(4-fluorophenyl)-N-[2-[isopropyl(methyl)amino]-1H-benzimidazol-6-yl]-2-pyrazinecarboxamide hydrochloride showed IC50 of 3.3 nM for inhibiting the binding of [125I]MCH to human MCH-1R and dose-dependently suppressed the MCH-induced feeding of rat.

IT **652978-86-4P 652978-88-6P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazole derivs. as antagonists of melanin-concentrating hormone receptor and drugs for central or peripheral nerve diseases, circulatory diseases, and metabolic diseases)

IT **652978-86-4P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazole derivs. as antagonists of melanin-concentrating hormone receptor and drugs for central or peripheral nerve diseases, circulatory diseases, and metabolic diseases)

RN 652978-86-4 HCAPLUS
CN 2-Propenamide, 3-(1,3-dihydro-3-oxo-5-isobenzofuranyl)-N-[2-[methyl(1-methylethyl)amino]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Aventis Pharma Deutschl	2003			WO 0315769 A1	
Aventis Pharma Deutschl	2003			DE 10139416 A1	HCAPLUS
Fujisawa Pharmaceutical	2001			JP 2001139574 A	HCAPLUS
Fujisawa Pharmaceutical	2002			WO 0228835 A1	HCAPLUS
Fujisawa Pharmaceutical	2002			EP 1326835 A1	HCAPLUS
Fujisawa Pharmaceutical	2002			AU 200192315 B	
Insight Strategy & Mark	2002			WO 0260374 A2	
John Co	1993			JP 06-510760 A	
John Co	1993			EP 600973 A1	HCAPLUS
John Co	1993			AU 664710 B	HCAPLUS
John Co	1993			AU 9224075 B	HCAPLUS
John Co	1993			WO 9303714 A2	HCAPLUS

John Co	1993			AU 9530614 B	HCAPLUS
John Co	1993			AU 9530615 B	HCAPLUS
Merck & Co Inc	2003			WO 0345313 A2	
Pfizer Prod Inc	2002			EP 1256578 A1	HCAPLUS
Pfizer Prod Inc	2002			JP 2002338556 A	HCAPLUS
Pfizer Prod Inc	2002			US 200378252 A	
Pfizer Prod Inc	2002			CA 2385692 A	HCAPLUS
Sankyo Co Ltd	2003			JP 2003064056 A	HCAPLUS
Smithkline Beecham Corp	2002			US 2002107195 A1	

L104 ANSWER 12 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:41642 HCAPLUS
DN 140:105256
TI Antisense oligonucleotides for inhibiting human histone deacetylase 7, 8, and 1 expression, benzamide inhibitors of HDAC-7, HDAC-8, and HDAC-1 isoenzymes, and their use as **antitumor** agents
IN Besterman, Jeffrey M.; Li, Zuomei; Delorme, Daniel; Bonfils, Claire
PA Methylgene, Inc., Can.
SO PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004005513	A2	20040115	WO 2003-IB3052	20030612 <--
	WO 2004005513	A3	20040701		
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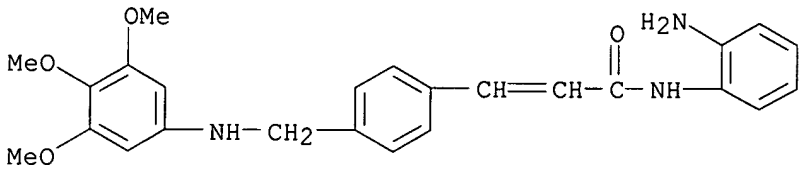
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004072770	A1	20040415	US 2002-189818	20020703 <--
	CA 2490579	AA	20040115	CA 2003-2490579	20030612 <--
	AU 2003281299	A1	20040123	AU 2003-281299	20030612 <--
PRAI	US 2002-189818	A	20020703 <--		
	WO 2003-IB3052	W	20030612		

AB This invention relates to the inhibition of histone deacetylase (HDAC) expression and enzymic activity. The invention provides methods and reagents for inhibiting HDAC-7 and HDAC-8 by inhibiting expression at the nucleic acid level or inhibiting enzymic activity at the protein level. Specifically, the invention claims three antisense oligonucleotides and benzamide compds. which lead to inhibition of proliferation and cell death of contacted cells. The invention further claims chimeric or hybrid HDAC-7, HDAC-8, or HDAC-1 antisense oligonucleotides and small mol. inhibitors for inhibiting **neoplastic** cell proliferation in an animal or human. Antisense oligonucleotides AS1 and AS2 inhibited HDAC7 mRNA expression in human A549 bladder **carcinoma** cells. AS2 also inhibited HDAC8 mRNA expression. An antisense oligonucleotide against HDAC1 acted synergistically with HDAC7 and HDAC8 antisense inhibitors to induce apoptosis in A549 cells. Small mol. inhibitors of HDAC7 and HDAC8 together with HDAC1 inhibited human **tumor** growth in a mouse disease model.
IT **503041-49-4P**, N-(2-Aminophenyl)-3-{4-[(3,4,5-trimethoxyphenylamino)-methyl]-phenyl} acrylamide

RL: SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(antisense oligonucleotides for inhibiting human histone deacetylase 7, 8, and 1 expression, benzamide inhibitors of HDAC-7, HDAC-8, and HDAC-1 isoenzymes, and their use as **antitumor** agents)

IT **503041-49-4P**, N-(2-Aminophenyl)-3-{4-[(3,4,5-trimethoxyphenylamino)-methyl]-phenyl} acrylamide
RL: SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(antisense oligonucleotides for inhibiting human histone deacetylase 7, 8, and 1 expression, benzamide inhibitors of HDAC-7, HDAC-8, and HDAC-1 isoenzymes, and their use as **antitumor** agents)

RN 503041-49-4 HCAPLUS
CN 2-Propenamide, N-(2-aminophenyl)-3-[4-[[(3,4,5-trimethoxyphenyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



L104 ANSWER 13 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:3665 HCAPLUS
DN 140:77298
TI Preparation of 3-substituted-2(arylalkyl)-1-azabicycloalkanes and methods of treatment using these compounds
IN Mazurov, Anatoly A.; Klucik, Jozef; Miao, Lan; Seamans, Angela S.; Phillips, Teresa Youngpeter; Schmitt, Jeffrey Daniel; Miller, Craig Harrison
PA Targacept, Inc., USA
SO U.S. Pat. Appl. Publ., 38 pp., Cont.-in-part of U.S. Ser. No. 162,129.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

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PI	US 2004002513	A1	20040101	US 2003-372642	20030221 <--
	US 6953855	B2	20051011		
	US 6432975	B1	20020813	US 1998-210113	19981211 <--
	US 2003045523	A1	20030306	US 2002-162129	20020604 <--
	AU 2004215386	A1	20040910	AU 2004-215386	20040220 <--
	CA 2514135	AA	20040910	CA 2004-2514135	20040220 <--
	WO 2004076449	A2	20040910	WO 2004-US5044	20040220 <--
	WO 2004076449	A3	20041216		
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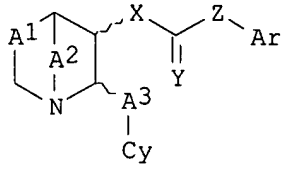
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1594869	A2	20051116	EP 2004-713356	20040220 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
	BR 2004007708	A	20060214	BR 2004-7708	20040220	<--
	CN 1751041	A	20060322	CN 2004-80004736	20040220	<--
	US 2005255040	A1	20051117	US 2005-157119	20050620	<--
	NO 2005004052	A	20051021	NO 2005-4052	20050831	<--
PRAI	US 1998-210113	A1	19981211	<--		
	US 2002-162129	A2	20020604	<--		
	US 2003-372642	A	20030221	<--		
	WO 2004-US5044	A	20040220			
OS	MARPAT 140:77298					
GI						



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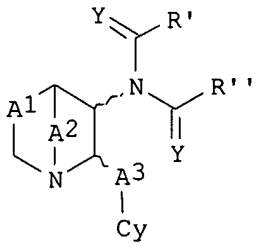

II

AB The present invention relates to 3-substituted-2-(arylalkyl)-1-azabicycloalkanes I [A1 = (CH2)n; A2 = (CH2)m; A3 = (CH2)p; m, n = 1, 2; p = 1 - 4; X = O, NR'; Z = NR', covalent bond, A; A = CR'R'', CR'R''CR'R'', CR':CR', C.tplbond.C (wherein, when Z = bond or A, X = N); Ar = (un)substituted carbocyclic, heterocyclic monocyclic or fused polycyclic aryl; Cy = (un)substituted 5- or 6-membered heteroarom. ring; wavy lines = relative or absolute stereochem. (cis or trans, R or S); R', R'' = H, (un)branched C1-8-alkyl, C3-8-cycloalkyl, heterocyclyl, aryl, arylalkyl {wherein, substituents = alkyl, alkenyl, heterocyclyl, cycloalkyl, (un)substituted aryl, (un)substituted arylalkyl, F, Cl, Br, I, OR', NR'R'', CF3, CN, NO2, C.tplbond.CR', SR', N3, C(:O)NR'R'', NR'C(:O)R'', C(:O)R', C(:O)OR', OC(:O)R', O(CR'R'')rC(:O)R', O(CR'R'')rNR''C(:O)R', O(CR'R'')rNR''SO2R', OC(:O)NR'R'', NR'C(:O)OR'', SO2R', SO2NR'R'', NR'SO2R''}; R'R'' = ring; r = 1 - 6] and II, methods of preparing the compds. and methods of treatment using the compds. The azabicycloalkanes generally are azabicycloheptanes, azabicyclooctanes, or azabicyclononanes. The aryl group in the arylalkyl moiety is a 5- or 6-membered ring heteroarom., preferably 3-pyridinyl and 5-pyrimidinyl moieties, and the alkyl group is typically a C 1-4 alkyl. The substituent at the 3-position of the 1-azabicycloalkane is a carbonyl group-containing moiety, such as an amide, carbamate, urea, thioamide, thiocarbamate, thiourea or similar functionality. The compds. exhibit activity at nicotinic acetylcholine receptors (nAChRs), particularly the α7 nAChR subtype, and are useful towards modulating neurotransmission and the release of ligands involved in neurotransmission. Methods for preventing or treating conditions and disorders, including central nervous system (CNS) disorders, which are characterized by an alteration in normal neurotransmission, are also disclosed. Also disclosed are methods for treating inflammation, autoimmune disorders, pain and excess neovascularization, such as that associated with **tumor** growth.

IT **639495-36-6P 639495-40-2P 639495-44-6P**
639495-48-0P 639495-52-6P 639495-55-9P

RL: **PAC (Pharmacological activity)**; PRP (Properties); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-substituted-2(arylalkyl)-1-azabicycloalkanes exhibiting activity at nicotinic acetylcholine receptors)

IT **639491-45-5P 639491-47-7P 639491-49-9P**
639491-50-2P 639491-51-3P 639491-53-5P
639491-55-7P 639491-57-9P 639491-66-0P
639491-68-2P 639491-71-7P 639491-75-1P
639491-77-3P 639491-81-9P 639491-85-3P
639491-89-7P 639491-93-3P 639491-97-7P
639492-01-6P 639492-05-0P 639492-09-4P
639492-12-9P 639492-16-3P 639492-19-6P
639492-34-5P 639492-36-7P 639492-39-0P
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639493-05-3P 639493-07-5P 639493-48-4P
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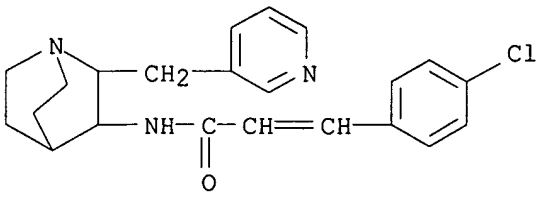
639494-01-2P 639494-04-5P 639494-07-8P
639494-10-3P 639494-13-6P 639494-28-3P
639494-31-8P 639494-34-1P 639494-37-4P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-substituted-2(arylalkyl)-1-azabicycloalkanes exhibiting activity at nicotinic acetylcholine receptors)

IT **639495-36-6P**
RL: **PAC (Pharmacological activity)**; PRP (Properties); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-substituted-2(arylalkyl)-1-azabicycloalkanes exhibiting activity at nicotinic acetylcholine receptors)

RN 639495-36-6 HCAPLUS
CN 2-Propenamide, 3-(4-chlorophenyl)-N-[2-(3-pyridinylmethyl)-1-azabicyclo[2.2.2]oct-3-yl]- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
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Anon	1994			WO 9408992	HCAPLUS

Anon	1995			WO 9503306	HCAPLUS
Anon	1996			IN 173570	HCAPLUS
Anon	1996			WO 9612711	HCAPLUS
Anon	1997			WO 9701556	HCAPLUS
Anon	1997			WO 9711072	HCAPLUS
Anon	1998			WO 9825619	HCAPLUS
Anon	1998			WO 9854181	HCAPLUS
Anon	1999			WO 9900385	HCAPLUS
Anon	1999			WO 9951602	HCAPLUS
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Ebadi, M	1997	30	347	Neurochem Int., Neur	HCAPLUS
Hanisch	1993	13	3368	The Journal of Neuro	HCAPLUS
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Yanina	1987	21	808	Khim. -Karm	HCAPLUS
Yen	1980			US 4203990 A	HCAPLUS
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L104 ANSWER 14 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:972023 HCAPLUS

DN 140:27657
TI Bis(dihydroxyaryl) compounds, pharmaceutical compositions containing them, and methods for the treatment of amyloid diseases and synucleinopathies such as Alzheimer's disease, type 2 diabetes, and Parkinson's disease.
IN Snow, Alan D.; Nguyen, Beth P.; Castillo, Gerardo M.; Sanders, Virginia J.; Lake, Thomas P.; Larsen, Lesley; Weavers, Rex T.; Lorimer, Stephen D.; Larsen, David S.; Coffen, David L.
PA Proteotech, Inc., USA
SO PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003101927	A1	20031211	WO 2003-US17288	20030530 <--
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	US 2004127555	A1	20040701	US 2003-452851	20030530 <--
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	US 2002-409100P	P	20020909 <--		
	US 2002-412272P	P	20020920 <--		
	US 2002-435880P	P	20021220 <--		
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	WO 2003-US17288	W	20030530		

OS MARPAT 140:27657
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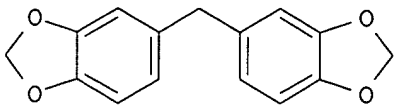
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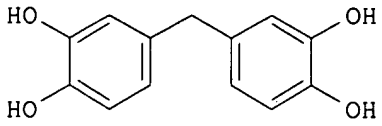
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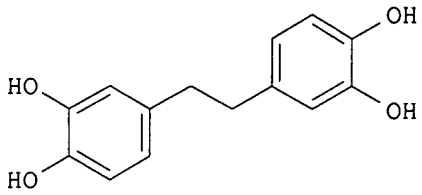

III

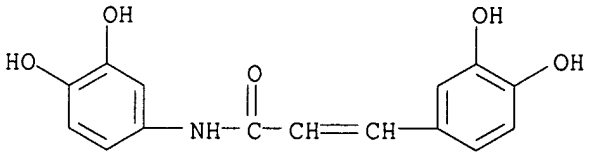
AB Bis- and tris(dihydroxyaryl) compds. and their methylenedioxy analogs and pharmaceutically acceptable esters are disclosed. The claimed compds. include (1) bis(diphenols) 3,4-(HO)2C6H3-R-C6H3(OH)2-3,4 [R = optionally modified/substituted C1-10 alkylene], (2) 86 specifically named compds., and (3) the methylenedioxy analogs and pharmaceutically acceptable esters of the preceding, and (4) pharmaceutically acceptable salts of all of these compds. Also disclosed are (1) synthesis of the compds., (2) pharmaceutical compns. containing them, (3) their use in the treatment of amyloid diseases, especially (a) Aβ amyloidosis (such as observed in Alzheimer's disease), (b) IAPP amyloidosis (such as observed in type 2 diabetes), and (c) synucleinopathies (such as observed in Parkinson's disease), as well as (4) the manufacture of medicaments for such treatments. Examples include 24 synthetic prepns., 3 biol. studies employing multiple assays, and a large listing of actual and prophetic example compds. For instance, Grignard reaction of **piperonal** with 3,4-(methylenedioxy)phenylmagnesium bromide gave 87% bis(3,4-methylenedioxyphenyl)methanol. This alc. was α-dehydroxylated by hydrogenation over Pd(OH)2/C (44%), and the resultant bis(methylenedioxy) compound I was deprotected with BBr3 in CH2Cl2 to give 48% invention compound II. In a test for disruption of Alzheimer's Aβ 1-42 fibrils in vitro, the fibrils were incubated for 3 days at 37° in the presence of invention compds., with all compds. giving some degree of dose-dependent disruption. As measured by thioflavin T fluorometry, II gave 57.8% disruption at an Aβ/II weight ratio of 10/1, and its ethylene homolog III gave 69.4% disruption at an Aβ/III weight ratio of 100:1, whereas EDTA gave no significant disruption at any concentration tested. In further bioassays, the invention compds. were similarly potent, dose-dependent disrupters of type 2 diabetes IAPP fibrils, as well as of Parkinson's disease NAC fibrils.

IT **633700-20-6P**, 3,4-Dihydroxycinnamic acid 3,4-dihydroxyanilide
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of bis(dihydroxyaryl) compds. as amyloid fibril

disrupters for treatment of Alzheimer's, Parkinson's, and type 2 diabetes)

IT **633700-20-6P**, 3,4-Dihydroxycinnamic acid 3,4-dihydroxyanilide
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of bis(dihydroxyaryl) compds. as amyloid fibril disrupters for treatment of Alzheimer's, Parkinson's, and type 2 diabetes)

RN 633700-20-6 HCAPLUS
CN 2-Propenamide, N,3-bis(3,4-dihydroxyphenyl)- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Pearl, I	1960	25	1449	JOURNAL OF ORGANIC C	HCAPLUS
Tabura, S	1956	50		CHEMICAL ABSTRACTS	
Tabura, S	1956	50		CHEMICAL ABSTRACTS	
Tabura, S	1953	27	491	J AGR CHEM SOC JAPAN	
Tabura, S	1953	27	877	J AGR CHEM SOC JAPAN	

L104 ANSWER 15 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:610420 HCAPLUS
DN 139:164713
TI Preparation of isoquinoline derivatives as phosphodiesterase (PDE) 7 inhibitors
IN Ohhata, Akira; Takaoka, Yoshikazu; Ogawa, Mikio; Nakai, Hisao; Yamamoto, Susumu; Ochiai, Hiroshi
PA Ono Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 665 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003064389	A1	20030807	WO 2003-JP877	20030130 <--
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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PRAI	JP 2002-23845	A	20020131 <--		
	JP 2002-23846	A	20020131 <--		

WO 2003-JP877 W 20030130
OS MARPAT 139:164713
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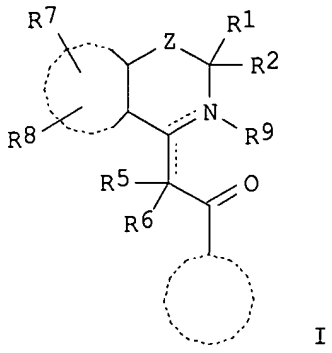

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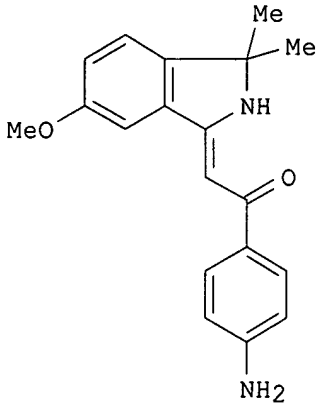


II

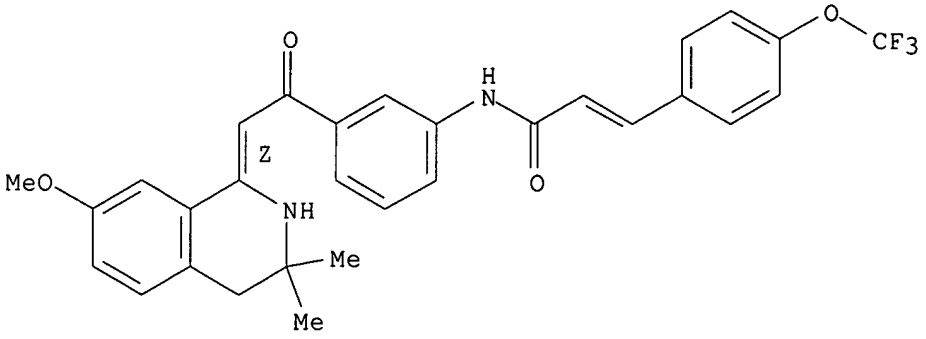
AB The title compds. with general formula of I [wherein R1 and R2 = independently H or alkyl; or R1 and R2 together form a ring with the carbon atom attached; Z = O, S, a single bond, or (un)substituted CH2; R5 and R6 = independently H or alkyl; or R5 and R6 together form a ring with the carbon atom attached; R7 and R8 = independently H, OH, CN, halo, cyclyl, alkynyl, NO2, CHO, acyl, alkylthio, O-cyclyl, (un)substituted CO2H, CONH2, NH2, alkyl, NHCOH, NHSO2H, SO2NH2, alkenyl, CH=NOH, alkylene-NH-alkylene-H, alkoxy, or OSO2H; R9 = none or H; with provisos] and pharmaceutically acceptable salts thereof are prepared For example, the compound II was prepared in a multi-step synthesis. II showed IC50 of 0.023 μM against human phosphodiesterase 7. I are useful in preventing and/or treating various diseases, namely, autoimmune diseases, inflammatory diseases, allergic diseases, rejection in organ transplantation, severe graft vs. host disease (GVHD), diabetic diseases, osteoporosis, bone fracture, **restenosis**, atheroma arteriosclerosis, obesity, ischemic reperfusion injury, depression, Parkinson's disease, dementia, leukemia, etc. (no data). Formulations containing I as an active ingredient were also described.

IT **575438-18-5P 575439-77-9P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of isoquinoline derivs. as phosphodiesterase (PDE) 7 inhibitors)

IT **575438-18-5P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of isoquinoline derivs. as phosphodiesterase (PDE) 7 inhibitors)

RN 575438-18-5 HCAPLUS
CN 2-Propenamide, N-[3-[(2Z)-(3,4-dihydro-7-methoxy-3,3-dimethyl-1(2H)-isoquinolinylidene)acetyl]phenyl]-3-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Anon	2001	37	103	Chemistry of Heteroc	
Celltech Chiroscience L	2001			WO 0198274 A2	HCAPLUS
Darwin Discovery Ltd	2000			WO 0068230 A1	HCAPLUS
Darwin Discovery Ltd	2001			WO 0174789 A1	HCAPLUS
Ono Pharm Co Ltd	2002			WO 0210135 A1	HCAPLUS

L104 ANSWER 16 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:527540 HCAPLUS
DN 139:85386
TI Preparation of 4-hydroxycinnamamides, antioxidants containing them, and heir use for treatment of diseases
IN Park, No-Sang; Chon, Yon-Sik; Seong, Churl-Min; Rin, Hee-Jon; Yun, Jun-Ho; Kong, Jae-Yang; Park, Woo-Kyu
PA Korea Research Institute of Chemical Technology, S. Korea
SO Jpn. Kokai Tokkyo Koho, 24 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2003192654	A2	20030709	JP 2002-340336	20021125 <--
	KR 2003042586	A	20030602	KR 2001-73301	20011123 <--
	KR 2003042591	A	20030602	KR 2001-73307	20011123 <--
	KR 2004008856	A	20040131	KR 2002-42579	20020719 <--
	US 2003162789	A1	20030828	US 2002-302686	20021122 <--
	US 7078407	B2	20060718		
PRAI	KR 2001-73301	A	20011123	<--	
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	KR 2002-42579	A	20020719	<--	

OS MARPAT 139:85386
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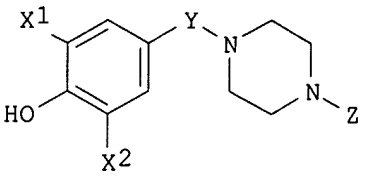

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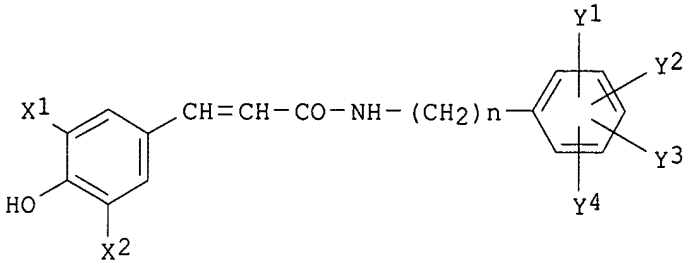


II

AB Title compds. I [X1, X2 = H, C1-15 alkyl, alkoxy; Y = C1-3 alkyl, CH:CHCO, CH2CH2CO; Z = 4-C6H4NHC(:NH)Ar, CH2CHArOH; Ar = (un)substituted Ph, thiophenyl, pyrrolyl], II (X1, X2 = OH, C1-15 alkoxy; Y1-Y4 = H, halo, OH, amino, Ph, C1-8 alkyl, C1-8 alkoxy; n = 0-9), and their pharmacol. acceptable salts, useful for treatment of aging, **tumor**, diabetes, nerve disorders, etc., are prepared Thus, 4-hydroxy-3,5-bis(nonyloxy)benzoic acid was amidated with 1-(4-chlorophenyl)-2-piperazin-1-ylethanone and hydrogenated with LiAlH4 to give 4-[4-[2-(4-chlorophenyl)-2-hydroxyethyl]piperazin-1-ylmethyl]-2,6-bis(nonyloxy)phenol (sic), which inhibited lipid oxidation in rat brain homogenate with IC50 of 1.53 μM.

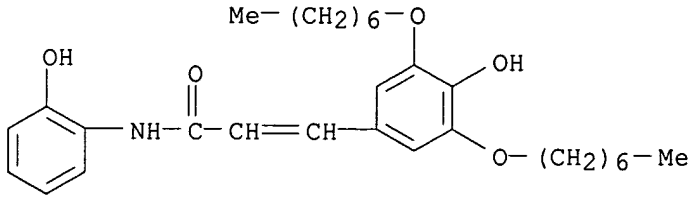
IT **556807-76-2P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxycinnamamides as antioxidants for treatment of diseases)

IT **556807-51-3**, 3-[3,5-Bis(1-ethylpropoxy)-4-hydroxyphenyl]-N-(2-hydroxyphenyl)acrylamide **556807-52-4**, 3-[3,5-Bis(1-ethylpropoxy)-4-hydroxyphenyl]-N-(3-hydroxyphenyl)acrylamide **556807-59-1**, 3-[4-Hydroxy-3,5-bis(1-methylbutoxy)phenyl]-N-(2-hydroxyphenyl)acrylamide **556807-66-0 556807-67-1**
RL: **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(preparation of hydroxycinnamamides as antioxidants for treatment of diseases)

IT **556807-76-2P**
RL: **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxycinnamamides as antioxidants for treatment of diseases)

RN 556807-76-2 HCAPLUS

CN 2-Propenamide, 3-[3,5-bis(heptyloxy)-4-hydroxyphenyl]-N-(2-hydroxyphenyl)-(9CI) (CA INDEX NAME)



L104 ANSWER 17 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:454283 HCAPLUS
DN 139:36343
TI Preparation of 2-alkoxy-3-{[(methanesulfonyloxyphenyl)alkylamino]phenyl}propionate derivs. as antidiabetic, hypolipidemic, antiobesity and hypocholesterolemic agents
IN Bhuniya, Debnath; Das, Saibal Kumar; Madhavan, Gurram Ranga; Iqbal, Javed; Chakrabarti, Ranjan; Vikramadithyan, Reeba Kannimel
PA Reddy's Laboratories Ltd., India
SO PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

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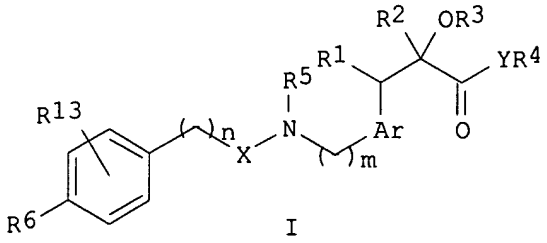
	CN 1697828	A	20051116	CN 2002-826623	20021202 <--
	ZA 2004004261	A	20050908	ZA 2004-4261	20040531 <--
	NO 2004002805	A	20040702	NO 2004-2805	20040702 <--
PRAI	IN 2001-MA971	A	20011203 <--		
	IN 2001-CH971	A	20011203 <--		
	WO 2002-IB5064	W	20021202 <--		

OS MARPAT 139:36343
GI



I

AB Title compds. I [R1 = H, halogen, alkyl, etc.; R2 = H, alkyl, alkoxy, etc.; R1R2 = bond; R3 = H, (un)substituted (cyclo)alkyl, heterocyclyl, etc.; R4 = H, (un)substituted (cyclo)alkyl, (hetero)aryl, etc.; Y = O or substituted amino; R5 = H, (un)substituted alkenyl, (cyclo)alkyl, arylalkyl, etc.; Ar = (un)substituted phenylene, pyridyl, quinolinyl, etc.; X = CO, CS, NH(CH2)d, d = 1-4, etc.; X = bond; R6 = (un)substituted aryloxycarbonyl, alkylcarbonyloxy, alkoxycarbonylamino, etc.; R13 = H, halogen, (un)substituted (hetero)aryl, etc.; n = 1-6; m = 0-6], their tautomeric forms, stereoisomers, polymorphs, pharmaceutically acceptable salts, their pharmaceutically acceptable solvates and pharmaceutically acceptable compns. containing them thereof are prepared as novel antidiabetic, hypolipidemic, antiobesity and hypocholesterolemic compds. For example, (S)-Et 2-ethoxy-3-{4-[3-(4-methanesulfonyloxyphenyl)propylamino]phenyl}propionate was prepared by substitution of 4-(3-methanesulfonyloxypropyl)phenyl methanesulfonate with (S)-Et 2-methoxy-3-(4-aminophenyl)propionate. It was found that I are predominantly PPAR alpha agonists, can prevent diabetes caused by insulin resistance or impaired glucose tolerance or complication diabetes.

IT **539813-86-0P**
RL: **PAC (Pharmacological activity)**; RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2-alkoxy-3-{[(methanesulfonyloxyphenyl)alkylamino]phenyl}propionate derivs. as antidiabetic, hypolipidemic, antiobesity and hypocholesterolemic agents)

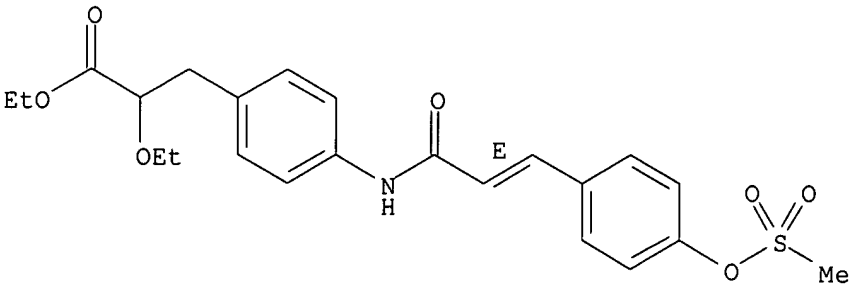
IT **539813-87-1P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-alkoxy-3-{[(methanesulfonyloxyphenyl)alkylamino]phenyl}propionate derivs. as antidiabetic, hypolipidemic, antiobesity and hypocholesterolemic agents)

IT **539813-86-0P**
RL: **PAC (Pharmacological activity)**; RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2-alkoxy-3-{[(methanesulfonyloxyphenyl)alkylamino]phenyl}propionate derivs. as antidiabetic, hypolipidemic, antiobesity and hypocholesterolemic agents)

RN 539813-86-0 HCAPLUS

CN Benzenepropanoic acid, α-ethoxy-4-[[(2E)-3-[4-[(methylsulfonyl)oxy]phenyl]-1-oxo-2-propenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L104 ANSWER 18 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:434536 HCAPLUS
DN 139:22115
TI Preparation of 4-aminoquinolines as melanin concentrating hormone receptor antagonists, particularly MCH-1R antagonists.
IN Devita, Robert J.; Chang, Lehua; Hoang, Myle Thi; Jiang, Jinlong; Lin, Peter; Sailer, Andreas W.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003045920	A1	20030605	WO 2002-US37510	20021122 <--
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
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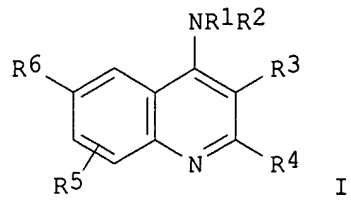
	US 2005009815	A1	20050113	US 2004-496614	20040525 <--
PRAI	US 2001-333464P	P	20011127 <--		
	WO 2002-US37510	W	20021122 <--		

OS MARPAT 139:22115
GI



I

AB Title compds. [I; R1 R2 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkylalkyl, heterocycloalkylalkyl, arylalkyl, heteroarylalkyl; R1R2N = (substituted) heterocyclyl; R3, R4 = H, halo, (substituted) alkyl, perfluoroalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloheteroalkyl, cycloheteroalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, OR7, NR7R7, CO2R7, cyano, CONR7R7; R3R4 = atoms to form a (substituted) 5-7 membered (hetero)cycloalkyl; R5 = H, halo, alkyl, perfluoroalkyl, OR7, NR7R7; R6 = (CH2)nR7, (CH2)naryl-R7, (CH2)n-heteroaryl-R7, (CH2)n-heterocycloalkyl-R7, (CH2)nCN, (CH2)nCON(R7)2, (CH2)nCO2R7, (CH2)nCOR7, (CH2)nNR7COR7, (CH2)nNR7CO(CH2)nSR7 (CH2)nNR7CO2R7, (CH2)nNR7CON(R7)2, (CH2)nNR7SO2R7, (CH2)nSOpR7, (CH2)nSO2N(R7)2, (CH2)nOR7, (CH2)nOC(O)R7, (CH2)nOCO2R7, (CH2)nO2CN(R7)2, (CH2)nN(R7)2, (CH2)nNR7SO2N(R7)2; R7 = H, (substituted) alkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl, aralkyl, heteroarylalkyl, cycloalkylalkyl, heterocycloalkylalkyl, arylalkenyl, heteroarylalkenyl, cycloalkylalkenyl, heterocycloalkylalkenyl; n = 0-5; p = 0-2], were prepared Thus, 2-propylquinoline-4,6-diamine and (2E)-3-(4-chlorophenyl)prop-2-enoyl chloride were stirred 6 h in HOAc to give (2E)-N-(4-amino-2-propylquinolin-6-yl)-3-(4-chlorophenyl)prop-2-enamide. I are useful for the treatment or prevention of obesity or eating disorders, osteoarthritis, certain **cancers**, AIDS wasting, cachexia, frailty, mental disorders, stress, cognitive disorders, sexual function, reproductive function, kidney function, locomotor disorders, attention deficit disorder, substance abuse disorders, dyskinesias, Huntington's disease, epilepsy, memory function, and spinal muscular atrophy. I showed IC50 = 0.1-10000 nM for MCH-1R receptor binding activity.

IT **137872-82-3P 137872-86-7P 137872-88-9P**
538358-07-5P 538358-08-6P 538358-10-0P
538358-11-1P 538358-12-2P 538358-14-4P
538358-15-5P 538358-17-7P, (2E)-N-(4-Amino-2-propylquinolin-6-yl)-3-(4-iodophenyl)prop-2-enamide **538358-18-8P**
538358-19-9P 538358-20-2P 538358-21-3P
538358-22-4P 538358-23-5P 538358-24-6P
538358-25-7P 538358-26-8P 538358-27-9P
538358-28-0P 538358-29-1P 538358-30-4P
538358-31-5P 538358-32-6P 538358-33-7P
538358-38-2P 538358-39-3P 538358-41-7P
538358-42-8P 538358-44-0P 538358-45-1P
538358-46-2P 538358-47-3P 538358-48-4P
538358-49-5P 538358-50-8P 538358-51-9P
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538358-73-5P 538358-75-7P 538358-76-8P
538358-77-9P 538358-78-0P 538358-79-1P
538358-80-4P 538358-84-8P 538359-02-3P
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538359-61-4P 538359-62-5P 538359-63-6P
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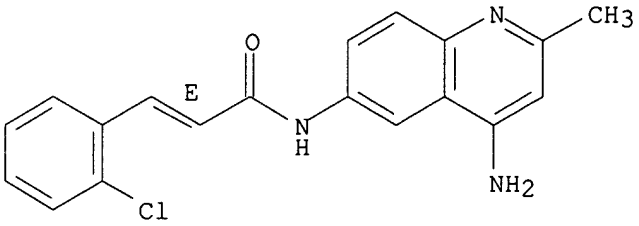
538359-69-2P 538359-71-6P 538359-73-8P
538360-10-0P 538360-11-1P 539851-14-4P
539851-15-5P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-aminoquinolines as melanin concentrating hormone receptor antagonists, particularly MCH-1R antagonists)

IT **137872-82-3P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-aminoquinolines as melanin concentrating hormone receptor antagonists, particularly MCH-1R antagonists)

RN 137872-82-3 HCAPLUS
CN 2-Propenamide, N-(4-amino-2-methyl-6-quinolinyl)-3-(2-chlorophenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Lanza, T	1992	35	252	J Med Chem	HCAPLUS

L104 ANSWER 19 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:434303 HCAPLUS
DN 139:36445
TI Preparation of 2-aminoquinolines as melanin concentrating hormone receptor (MCH-1R) antagonists.
IN Devita, Robert J.; Chang, Lehua; Chaung, Danny; Hoang, Myle; Jiang, Jinlong; Lin, Peter; Sailer, Andreas W.; Young, Jonathan R.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003045313	A2	20030605	WO 2002-US37556	20021122 <--
WO 2003045313	A3	20030904		

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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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US 2005026915	A1	20050203	US 2004-496615	20040525	<--
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OS MARPAT 139:36445
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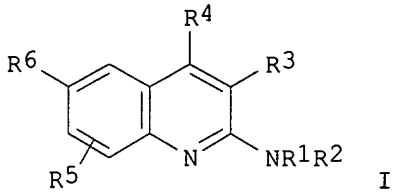


I

AB Title compds. [I; R1, R2 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkylalkyl, aralkyl, etc.; R1R2N = 4-11 membered (bridged) (substituted) heterocyclyl; R3, R4 = H, halo, (substituted) alkyl, alkenyl, alkynyl, perfluoroalkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaralkyl, OR7, N(R7)2, cyano, etc.; R3R4 = atoms to form 5-7 membered (substituted) ring; R5 = H, halo, alkyl, perfluoroalkyl, OR7, N(R7)2; R6 = (CH2)nR7, (CH2)nCN, (CH2)nCO2R7, (CH2)nOR7, (CH2)nN(R7)2, etc.; R7 = H, alkyl, aryl, heteroaryl, cycloalkyl, aralkyl, aralkenyl, cycloalkylalkenyl, etc.; n = 0-5], were prepared for the treatment or prevention of obesity, eating disorders, osteoarthritis, **cancer**, AIDS wasting, cachexia, frailty, mental disorders, stress, cognitive disorders, sexual function, reproductive function, kidney function, locomotor disorders, attention deficit disorder (ADD), substance abuse disorders and dyskinesias, Huntington's disease, epilepsy, memory function, and spinal muscular atrophy. Thus, 2-piperidin-1-ylquinolin-6-amine and (2E)-3-(4-chlorophenyl)prop-2-enoyl chloride were stirred 3 h in HOAc to give (2E)-3-(4-chlorophenyl)-N-(2-piperidin-1-ylquinolin-6-yl)prop-2-enamide hydrochloride. I bound to MCH-1R receptors with IC50 = 0.1-10000 nM.

IT **539851-59-7P 539851-60-0P 539851-61-1P**
539851-62-2P 539851-63-3P 539851-64-4P
539851-65-5P 539851-66-6P 539851-69-9P
539851-70-2P 539851-82-6P, (2E)-N-[2-(2-Azabicyclo[2.2.2]oct-2-yl)-4-methylquinolin-6-yl]-3-[4-(trifluoromethyl)phenyl]prop-2-enamide **539851-90-6P**,

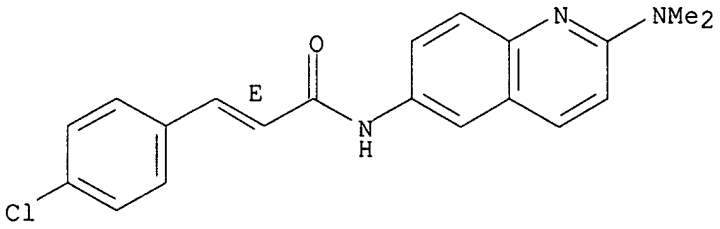
(2E)-N-[2-(Butylamino)quinolin-6-yl]-3-[4-(trifluoromethyl)phenyl]prop-2-enamide **539851-91-7P**, (2E)-N-[2-(Isobutylamino)quinolin-6-yl]-3-[4-(trifluoromethyl)phenyl]prop-2-enamide **539851-95-1P**, (2E)-N-[2-(sec-Butylamino)quinolin-6-yl]-3-[4-(trifluoromethyl)phenyl]prop-2-enamide **539852-01-2P**, (2E)-N-[2-(2-Azabicyclo[2.2.1]hept-2-yl)-3-methylquinolin-6-yl]-3-[4-(trifluoromethyl)phenyl]prop-2-enamide **539852-05-6P 539852-29-4P**, (2E)-N-[2-[Isopropyl(methyl)amino]quinolin-6-yl]-3-[4-(trifluoromethyl)phenyl]prop-2-enamide **539852-30-7P**, (2E)-3-(4-Chlorophenyl)-N-[2-[isopropyl(methyl)amino]quinolin-6-yl]prop-2-enamide **539852-93-2P** **539855-40-8P 539855-41-9P 539855-43-1P** **539855-45-3P 539855-49-7P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of 2-aminoquinolines as melanin concentrating hormone receptor (MCH-1R) antagonists)

IT **539855-80-6P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-aminoquinolines as melanin concentrating hormone receptor (MCH-1R) antagonists)

IT **539851-59-7P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of 2-aminoquinolines as melanin concentrating hormone receptor (MCH-1R) antagonists)

RN 539851-59-7 HCAPLUS
CN 2-Propenamide, 3-(4-chlorophenyl)-N-[2-(dimethylamino)-6-quinolinyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L104 ANSWER 20 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:356467 HCAPLUS
DN 138:369197
TI Preparation of linear basic compounds having NK-2 antagonist activity
IN Sisto, Alessandro; Caciagli, Valerio; Altamura, Maria; Giolitti, Alessandro; Fedi, Valentina; Guidi, Antonio; Giannotti, Danilo; Harmat, Nicholas; Nannicini, Rossano; Pasqui, Franco; Maggi, Carlo Alberto
PA Menarini Ricerche S.p.A., Italy
SO PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003037916	A2	20030508	WO 2002-EP12022	20021028 <--
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	AU 2002351794	A2	20030512	AU 2002-351794	20021028 <--
	EP 1442050	A2	20040804	EP 2002-787518	20021028 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	BR 2002013574	A	20041026	BR 2002-13574	20021028 <--
	CN 1578786	A	20050209	CN 2002-821429	20021028 <--
	JP 2005521638	T2	20050721	JP 2003-540197	20021028 <--
	NZ 533097	A	20050729	NZ 2002-533097	20021028 <--
	US 2004259930	A1	20041223	US 2004-494077	20040429 <--
	NO 2004002083	A	20040521	NO 2004-2083	20040521 <--
	ZA 2004004163	A	20051118	ZA 2004-4163	20040527 <--
PRAI	IT 2001-FI203	A	20011029 <--		
	IT 2002-FI104	A	20020614 <--		
	WO 2002-EP12022	W	20021028 <--		

OS MARPAT 138:369197

AB Linear basic compds. R1-X1-NR6C(A)(B)CONR6CHR2-X2-R3 [X1 is NR6CO, CO, or NR6C(S); R1 is (un)substituted aryl, arylalkyl, or arylethylene; R6 is H or alkyl; A, B are (un)substituted alkyl, aryl, or arylalkyl or C(A)(B) is a ring; X2 is CONR6 or CH2NR6; R2 is (un)substituted aryl or arylalkyl; R3 is (cyclo)alkylene attached to an amine, either directly or through a linker such as CH2, CO, O, and NHCO] which comprise an α,α-disubstituted amino acid and at least an amino group capable of giving basic characteristics to the compds. are useful as antagonists of tachykinins, in particular neurokinin A. Thus, N-[N-(benzo[b]thien-2-ylcarbonyl)-1-aminocyclopentane-1-carbonyl]-D-phenylalanine (3-morpholinopropyl)amide was prepared via peptide coupling reactions and evaluated for NK-2 antagonist activity (pKi = 9.2) on NK-2 receptors at 0.01 to 10 nM.

IT **522662-29-9P 522662-33-5P 522662-36-8P**
522662-50-6P 522662-94-8P 522662-98-2P
522663-52-1P 522663-74-7P 522663-82-7P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of linear basic compds. having NK-2 antagonist activity)

IT **522665-00-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of linear basic compds. having NK-2 antagonist activity)

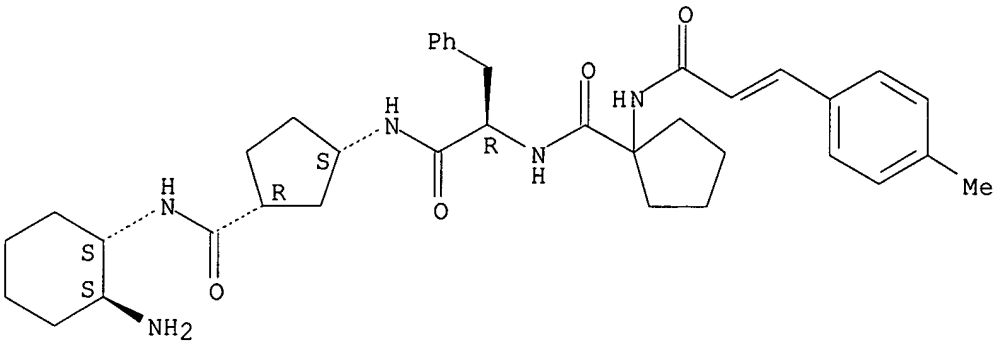
IT **522662-29-9P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of linear basic compds. having NK-2 antagonist activity)

RN 522662-29-9 HCAPLUS
CN Benzenepropanamide, N-[(1S,3R)-3-[[[(1S,2S)-2-aminocyclohexyl]amino]carbonyl]cyclopentyl]-α-[[[1-[[3-(4-methylphenyl)-1-oxo-2-propenyl]amino]cyclopentyl]carbonyl]amino]-, (αR)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

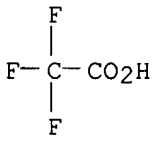
CRN 522662-28-8
CMF C37 H49 N5 O4

Absolute stereochemistry.
Double bond geometry unknown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L104 ANSWER 21 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:300621 HCAPLUS
DN 138:321053
TI Methods of preparation of achiral analogs of CC-1065 and the duocarmycins and compositions thereof for use in **cancer** therapy
IN Lee, Moses
PA Taiho Pharmaceutical Co., Ltd., USA
SO U.S. Pat. Appl. Publ., 65 pp., Cont.-in-part of U.S. Ser. No. 666,160.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003073731	A1	20030417	US 2001-955062	20010919 <--
	US 6660742	B2	20031209		
PRAI	US 2000-666160	A2	20000919 <--		

OS MARPAT 138:321053
GI

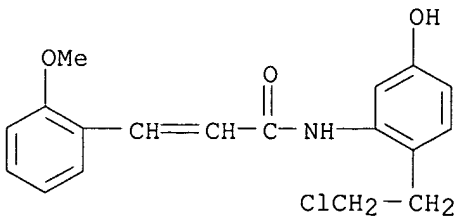
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to novel achiral seco-analogs of the DNA minor groove and sequence-selective alkylating agents (+)-CC1065 and the duocarmycins, depicted as general class I [R = CH2Ph, CO2CH2Ph, H, CO2CH2C6H4NO2-4, (4-methylpiperazin-1-yl)carbonyl; R1 = suitable minor groove binding agent, OCMe3, OCH2Ph, 9-fluorenylmethoxy, N-protecting group; R2, R3 = H, (un)branched C1-5-alkyl (e.g., Et, CH2Et, Bu, pentyl, hexyl), preferably R2 = R3 =H; R4, R5 = H, short chain alkyl, alkoxycarbonyl, preferably CO2Me, CF3; X = leaving group (Cl, Br, I, OSO2Me, OSO2C6H4Me-4, OAc, quaternary ammonium moiety, SH, C1-6-alkylsulfoxyl, C1-6-alkylsulfonyl, preferably Cl, Br, I)], II, III, IV and V. Thus, seco-analog VI was prepared from N-methyl-4-(N-methyl-4-nitropyrrole-2-carboxamido)pyrrole-2-carboxylate via hydrogenation, acylation with butyryl chloride, saponification and alkylation with 2-(2-amino-4-hydroxyphenyl)ethyl chloride. The present invention is further directed to pharmaceutical compns. thereof, and as a method for treatment of **cancer** using the subject compds. The cytotoxicity of VI was determined [IC50 = 12.1 μM vs. K562 leukemia cells after 1 h; IC50 = 18.0 μM vs. human colon LS174T cells after 1 h; IC50 = 82.4 μM vs. human prostate PC3 cells after 1 h; IC50 = >50.0 μM vs. human breast MCF-7 cells after 1 h; IC50 = 43 μM vs. P815 mastocytoma cells; IC50 = 23 μM vs. L1210 leukemia cells] and samples were sent to the National **Cancer** Institute for in-vitro screening (results included).

IT **413577-01-2P 413577-02-3P 413577-03-4P**
413577-31-8P 413577-32-9P 413578-30-0P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of achiral seco analogs of CC-1065 and the duocarmycins and compns. thereof for use in **cancer** therapy)

IT **413577-01-2P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of achiral seco analogs of CC-1065 and the duocarmycins and compns. thereof for use in **cancer** therapy)

RN 413577-01-2 HCAPLUS
CN 2-Propenamide, N-[2-(2-chloroethyl)-5-hydroxyphenyl]-3-(2-methoxyphenyl)-(9CI) (CA INDEX NAME)



L104 ANSWER 22 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:242160 HCAPLUS
DN 138:271705
TI Preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase
IN Delorme, Daniel; Woo, Soon Hyung; Vaisburg, Arkadii; Moradel, Oscar; Leit, Silvana; Raeppel, Stephane; Frechette, Sylvie; Bouchain, Giliane
PA Methylgene, Inc., Can.
SO PCT Int. Appl., 347 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003024448	A2	20030327	WO 2002-US29017	20020912 <--
	WO 2003024448	A3	20031113		
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	EP 1429765	A2	20040623	EP 2002-763627	20020912 <--
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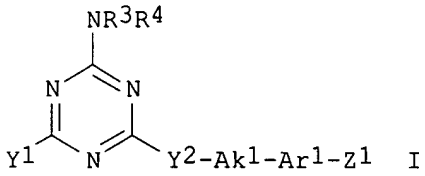
	BR 2002012510	A	20040824	BR 2002-12510	20020912 <--
	CN 1578663	A	20050209	CN 2002-822690	20020912 <--
	JP 2005508905	T2	20050407	JP 2003-528544	20020912 <--
	JP 3795044	B2	20060712		
	JP 2005255683	A2	20050922	JP 2005-80310	20050318 <--
PRAI	US 2001-322402P	P	20010914 <--		
	US 2002-391728P	P	20020626 <--		
	JP 2003-528544	A3	20020912 <--		
	WO 2002-US29017	W	20020912 <--		

OS MARPAT 138:271705
GI



I

AB The invention relates to triazines (shown as I; variables defined below; e.g. 4-[[4-amino-6-(2-indanylamino)-[1,3,5]triazin-2-ylamino]methyl]-N-(2-aminophenyl)benzamide) and Cy3-X1-Ar2-(C(R5):C(R6))qC(O)NH-Ay2 (II; variables defined below; e.g.), many of which are N-(o-aminophenyl)carboxamides, as inhibitors of histone deacetylase (data included for many I and II). The invention provides compds. and methods

for inhibiting histone deacetylase enzymic activity. The invention also provides compns. and methods for treating cell proliferative diseases and conditions. **Antineoplastic** effects of some I and II are illustrated for colorectal, pulmonary and pancreatic **neoplasms**; also the combined **antineoplastic** effect of histone deacetylase inhibitors and histone deacetylase antisense oligonucleotides on **tumor** cells in vivo was demonstrated. For I: R3 and R4 = H, L1, Cy1 and -L1-Cy1 (L1 = C1-C6 alkyl, C2-C6 heteroalkyl, or C3-C6 alkenyl; Cy1 = cycloalkyl, aryl, heteroaryl, or heterocyclyl) or R3 and R4 are taken together with the adjacent N atom to form a 5-, 6-, or 7-membered ring, wherein the ring atoms = C, O, S, and N, and wherein the ring is optionally substituted, and optionally forms part of a bicyclic ring system, or is optionally fused to one or two aryl or heteroaryl rings, or to one or two saturated or partially unsatd. cycloalkyl or heterocyclic rings, each of which rings and ring systems is optionally substituted. Y1 = -N(R1)(R2), -CH2-C(O)-N(R1)(R2), halogen, and H (R1 and R2 = H, L1, Cy1, and -L1-Cy1). Y2 = chemical bond or N(R0) (R0 = H, alkyl, aryl, aralkyl, and acyl); Ak1 = C1-C6 alkylene, C1-C6-heteroalkylene (preferably, in which one -CH2- is replaced with -NH-, and more preferably -NH-CH2), C2-C6 alkenylene or C2-C6 alkynylene; Ar1 = arylene or heteroarylene, either of which is optionally substituted; and Z1 = C(O)NH-Ay1 and CH:CHC(O)NH-Ay1 (Ay1 = aryl or heteroaryl, each of which is optionally substituted). For II: Cy2 = cycloalkyl, aryl, heteroaryl, or heterocyclyl; X1 = covalent bond, M1-L2-M1, and L2-M2-L2 (L2 = chemical bond, C1-C4 alkylene, C2-C4 alkenylene, and C2-C4 alkynylene, provided that L2 is not a chemical bond when X1 is M1-L2-M1; M1 = -O-, -N(R7)-, -S-, -S(O)-, S(O)2-, -S(O)2N(R7)-, -N(R7)S(O)2-, -C(O)-, -C(O)NH-, -NHC(O)-, -NHC(O)-O- and -OC(O)NH- (R7 = H, alkyl, aryl, aralkyl, acyl, heterocyclyl, and heteroaryl); and M2 = M1, heteroarylene, and heterocyclylene, either of which rings is optionally substituted). Ar2 = arylene or heteroarylene, each of which is optionally substituted; R5 and R6 = H, alkyl, aryl, and aralkyl; q is 0 or 1; and Ay2 is a 5-6 membered cycloalkyl, heterocyclyl, or heteroaryl substituted with an amino or hydroxy moiety (preferably these groups are ortho to the amide N to which Ay2 is attached) and further optionally substituted; provided that when Cy2 is naphthyl, X1 is -CH2-, Ar2 is Ph, R5 and R6 are H, and q is 0 or 1, Ay2 is not Ph or o-hydroxyphenyl. Although the methods of preparation are not claimed, hundreds of example prepns. are included.

IT **503039-06-3P**, N-(2-Aminophenyl)-3-[6-[(2-phenylaminoethyl)amino]pyridin-3-yl]acrylamide **503039-09-6P**, N-(2-Aminophenyl)-3-[6-[(4-methoxybenzyl)amino]pyridin-2-yl]acrylamide **503039-10-9P**, [4-[2-(2-Aminophenylcarbamoyl)vinyl]benzyl]carbamic acid pyridin-3-ylmethyl ester **503039-12-1P**, N-(2-Aminophenyl)-3-[4-[[(3,4,5-trimethoxybenzyl)amino]methyl]phenyl]acrylamide **503039-15-4P**, N-(2-Aminophenyl)-3-[4-[[methyl(3,4,5-trimethoxybenzyl)amino]methyl]phenyl]acrylamide **503039-17-6P**, N-(2-Aminophenyl)-3-[4-[(4-methoxybenzyl)amino]phenyl]acrylamide **503039-19-8P**, N-(2-Aminophenyl)-3-(4-styrylaminophenyl)acrylamide **503039-22-3P**, N-(2-Aminophenyl)-3-[6-[[2-(4-oxo-4H-quinazolin-3-yl)ethyl]amino]pyridin-3-yl]acrylamide **503039-24-5P**, N-(2-Aminophenyl)-3-[6-[[2-(4-benzyl-2,6-dioxopiperazin-1-yl)ethyl]amino]pyridin-3-yl]acrylamide **503039-26-7P**, (E)-4-[[[4-Amino-6-(2-indanylamino)-[1,3,5]triazin-2-yl]amino]methyl]-N-(2-aminophenyl)cinnamide **503039-34-7P**, N-(2-Aminophenyl)-3-[2-[(4-methoxybenzyl)amino]quinolin-6-yl]acrylamide **503040-82-2P**, N-(2-Aminophenyl)-3-[4-[(3,4,5-trimethoxyphenyl)amino]phenyl]acrylamide **503040-85-5P**, N-(2-Aminophenyl)-3-[3-methoxy-4-[[(3,4,5-trimethoxyphenyl)amino]methyl]phenyl]acrylamide **503041-30-3P**, N-(2-Aminophenyl)-3-(4-((((pyridin-3-yl)methoxy)carbonyl)amino)phenyl)acrylamide **503041-32-5P**, N-(2-Aminophenyl)-3-(4-((3-phenyl-2-propenyl)amino)phenyl)acrylamide **503041-33-6P**,

N-(2-Aminophenyl)-3-(4-((4-methoxybenzoyl)amino)phenyl)acrylamide **503041-34-7P**, N-(2-Aminophenyl)-3-(6-(((4-methoxyphenyl)methyl)amino)pyridin-3-yl)acrylamide **503041-35-8P**, N-(2-Aminophenyl)-3-(6-(((pyridin-3-yl)methyl)amino)pyridin-3-yl)acrylamide **503041-36-9P**, N-(2-Aminophenyl)-3-(6-(((pyridin-4-yl)methyl)amino)pyridin-3-yl)acrylamide **503041-37-0P**, N-(2-Aminophenyl)-3-(6-(((4-fluorophenyl)methyl)amino)pyridin-3-yl)acrylamide **503041-38-1P**, N-(2-Aminophenyl)-3-(6-(benzylamino)pyridin-3-yl)acrylamide **503041-39-2P**, N-(2-Aminophenyl)-3-(6-((3-phenylpropyl)amino)pyridin-3-yl)acrylamide **503041-40-5P**, N-(2-Aminophenyl)-3-(6-((2-(4-methoxyphenyl)ethyl)amino)pyridin-3-yl)acrylamide **503041-41-6P**, N-(2-Aminophenyl)-3-(6-(((4-(dimethylamino)phenyl)methyl)amino)pyridin-3-yl)acrylamide **503041-42-7P**, N-(2-Aminophenyl)-3-(6-((3-(imidazol-1-yl)propyl)amino)pyridin-3-yl)acrylamide **503041-43-8P**, N-(2-Aminophenyl)-3-(6-(((3-(trifluoromethoxy)phenyl)methyl)amino)pyridin-3-yl)acrylamide **503041-44-9P**, N-(2-Aminophenyl)-3-(6-(((4-(trifluoromethoxy)phenyl)methyl)amino)pyridin-3-yl)acrylamide **503041-45-0P**, N-(2-Aminophenyl)-3-(6-(((3,5-difluorophenyl)methyl)amino)pyridin-3-yl)acrylamide **503041-46-1P**, N-(2-Aminophenyl)-3-(6-(((3-(trifluoromethyl)phenyl)methyl)amino)pyridin-3-yl)acrylamide **503041-47-2P**, N-(2-Aminophenyl)-3-(6-(((3-(aminomethyl)phenyl)methyl)amino)pyridin-3-yl)acrylamide **503041-48-3P**, N-(2-Aminophenyl)-3-(4-(2-((((pyridin-3-yl)methoxy)carbonyl)amino)ethyl)phenyl)acrylamide **503041-49-4P**, N-(2-Aminophenyl)-3-(4-(((3,4,5-trimethoxyphenyl)amino)methyl)phenyl)acrylamide **503041-50-7P**, N-(2-Aminophenyl)-3-(4-(((methyl)(3,4,5-trimethoxyphenyl)amino)methyl)phenyl)acrylamide **503041-51-8P**, N-(2-Aminophenyl)-3-(4-(((6-methoxypyridin-3-yl)amino)methyl)phenyl)acrylamide **503041-52-9P**, N-(2-Aminophenyl)-3-(4-(((quinolin-2-yl)thio)methyl)phenyl)acrylamide **503041-53-0P**, N-(2-Aminophenyl)-3-(4-(((pyridin-3-yl)methyl)amino)phenyl)acrylamide **503041-54-1P**, N-(2-Aminophenyl)-3-(6-((3-phenyl-2-propenyl)amino)pyridin-3-yl)acrylamide **503041-55-2P**, N-(2-Aminophenyl)-3-(2-(((4-nitrophenyl)methyl)amino)pyrimidin-5-yl)acrylamide **503041-56-3P**, N-(2-Aminophenyl)-3-(6-((4-methoxybenzoyl)amino)pyridin-3-yl)acrylamide **503041-57-4P**, N-(2-Aminophenyl)-3-(2-(((4-aminophenyl)methyl)amino)pyrimidin-5-yl)acrylamide **503041-58-5P**, N-(2-Aminophenyl)-3-(6-(((3,4,5-trimethoxyphenyl)methyl)amino)pyridin-3-yl)acrylamide **503041-59-6P**, N-(2-Aminophenyl)-3-(6-(((4-methylphenyl)methyl)amino)pyridin-3-yl)acrylamide **503041-60-9P**, N-(2-Aminophenyl)-3-(2-(((4-methoxyphenyl)methyl)amino)pyrimidin-5-yl)acrylamide **503041-62-1P**, N-(2-Aminophenyl)-3-(4-(((4,6-dimethoxypyrimidin-2-yl)amino)methyl)phenyl)acrylamide **503041-63-2P**, N-(2-Aminophenyl)-3-(4-(((4-chloro-6-methoxypyrimidin-2-yl)amino)methyl)phenyl)acrylamide **503041-64-3P**, N-(2-Aminophenyl)-3-(4-(((3,5-dimethoxyphenyl)amino)methyl)phenyl)acrylamide **503041-65-4P**, N-(2-Aminophenyl)-3-(4-(((3,5-dinitrophenyl)amino)methyl)phenyl)acrylamide **503041-66-5P**, N-(2-Aminophenyl)-3-(4-(((3-(trifluoromethoxy)phenyl)methyl)amino)phenyl)acrylamide **503041-67-6P**, N-(2-Aminophenyl)-3-(4-((3,4,5-trimethoxyphenoxy)methyl)phenyl)acrylamide **503041-69-8P**, N-(2-Aminophenyl)-3-(4-((((indol-2-yl)methyl)(3,4,5-trimethoxyphenyl)amino)methyl)phenyl)acrylamide **503041-71-2P**, N-(2-Aminophenyl)-3-(4-(((3,4,5-trimethoxyphenyl)thio)methyl)phenyl)acrylamide **503041-75-6P**, N-(2-Aminophenyl)-3-(4-(((6-acetylbenzodioxol-5-yl)amino)methyl)phenyl)acrylamide **503041-78-9P**, N-(2-Aminophenyl)-3-(4-(((6-methoxybenzothiazol-2-yl)amino)methyl)phenyl)acrylamide **503041-79-0P**,

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503041-83-6P, N-(2-Aminophenyl)-3-(4-(((benzodioxol-5-
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crylamide **503041-85-8P**, N-(2-Aminophenyl)-3-(4-(((3-
methoxyphenyl)amino)methyl)phenyl)acrylamide **503041-86-9P**,
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e **503041-90-5P**, N-(2-Aminophenyl)-3-(6-(((3,4,5-
trimethoxyphenyl)amino)methyl)pyridin-3-yl)acrylamide **503041-91-6P**
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oxoquinazolin-2-yl)ethyl)amino)methyl)phenyl)acrylamide
503041-92-7P, N-(2-Aminophenyl)-3-(4-bromophenyl)acrylamide
503041-93-8P, N-(2-Aminophenyl)-3-(4-(((2,4,5-
trimethoxyphenyl)methyl)amino)phenyl)acrylamide **503041-94-9P**,
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aminophenyl)amino)carbonyl)phenyl)acrylamide **503041-97-2P**,
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2-yl)amino)ethyl)amino)pyridin-3-yl)acrylamide **503041-99-4P**,
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503042-04-4P, N-(2-Aminophenyl)-3-(4-(((3-
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N-(2-Aminophenyl)-3-(4-(((4-(trifluoromethyl)pyrimidin-2-
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503042-09-9P, N-(2-Aminophenyl)-3-(4-(((3-
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503042-11-3P, N-(2-Aminophenyl)-3-(4-(((3,5-
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trimethoxyphenyl)ethenyl)phenyl)acrylamide **503042-14-6P**,
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lamide **503042-15-7P**, N-(2-Aminophenyl)-3-(4-(((3-(((3-
(morpholino)propyl)amino)sulfonyl)phenyl)amino)methyl)phenyl)acrylamide
503042-16-8P, N-(2-Aminophenyl)-3-(4-(2-(3,4,5-
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dimethoxyphenyl)amino)methyl)phenyl)acrylamide **503042-21-5P**,

N-(2-Aminophenyl)-3-(4-(((3-(tetrazol-5-yl)phenyl)amino)methyl)phenyl)acry
lamide **503042-22-6P**, N-(2-Aminophenyl)-3-(4-(((4-((tetrazol-5-
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N-(2-Aminophenyl)-3-(4-(((4-bromophenyl)amino)methyl)phenyl)acrylamide
503042-24-8P, N-(2-Aminophenyl)-3-(4-(((3-
bromophenyl)amino)methyl)phenyl)acrylamide **503042-25-9P**,
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503042-26-0P, N-(2-Aminophenyl)-3-(4-(((3-
iodophenyl)amino)methyl)phenyl)acrylamide **503042-27-1P**,
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rylamide **503042-28-2P**, N-(2-Aminophenyl)-3-(4-(((4-
nitrophenyl)amino)methyl)phenyl)acrylamide **503042-29-3P**,
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503042-30-6P, N-(2-Aminophenyl)-3-(4-(((4-
chlorophenyl)amino)methyl)phenyl)acrylamide **503042-31-7P**,
N-(2-Aminophenyl)-3-(4-(((3-chlorophenyl)amino)methyl)phenyl)acrylamide
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fluorophenyl)amino)methyl)phenyl)acrylamide **503042-33-9P**,
N-(2-Aminophenyl)-3-(4-(((3-(methylthio)phenyl)amino)methyl)phenyl)acrylam
ide **503042-34-0P**, N-(2-Aminophenyl)-3-(4-(((4-
(methylthio)phenyl)amino)methyl)phenyl)acrylamide **503042-35-1P**,
N-(2-Aminophenyl)-3-(4-(((5-bromopyridin-2-yl)amino)methyl)phenyl)acrylami
de **503042-36-2P**, N-(2-Aminophenyl)-3-(4-(((naphth-1-
yl)amino)methyl)phenyl)acrylamide **503042-37-3P**,
N-(2-Aminophenyl)-3-(4-(((3-fluorophenyl)amino)methyl)phenyl)acrylamide
503042-38-4P, N-(2-Aminophenyl)-3-[3,5-dimethoxy-4-[[(3,4,5-
trimethoxyphenyl)amino]methyl]phenyl]acrylamide **503042-39-5P**,
N-(2-Amino-3-hydroxyphenyl)-3-[4-[[(3,4,5-trimethoxyphenyl)amino]methyl]ph
enyl]acrylamide **503042-40-8P**, N-(2-Aminophenyl)-3-(4-(((2,3,4-
trimethoxyphenyl)amino)methyl)phenyl)acrylamide **503042-41-9P**,
N-(2-Aminophenyl)-3-(4-(((4-methoxy-3-(((3,4,5-
trimethoxyphenyl)amino)methyl)phenyl)amino)methyl)phenyl)acrylamide
503042-43-1P, N-(2,3-Diaminophenyl)-3-[4-[[(3,4,5-
trimethoxyphenyl)amino]methyl]phenyl]acrylamide **503042-44-2P**,
N-(2-Aminophenyl)-3-(4-(((3-fluoro-4-(methylthio)phenyl)amino)methyl)pheny
l)acrylamide **503042-45-3P**, N-(2-Aminophenyl)-3-(4-(((4-
(methylthio)-3-(trifluoromethyl)phenyl)amino)methyl)phenyl)acrylamide
503042-46-4P, N-(2-Aminophenyl)-3-[3-nitro-4-[[(3,4,5-
trimethoxyphenyl)amino]methyl]phenyl]acrylamide **503042-47-5P**,
N-(2,3-Diaminophenyl)-3-[3-amino-4-[[(3,4,5-trimethoxyphenyl)amino]methyl]
phenyl]acrylamide **503042-48-6P**, N-(4-Aminothiophen-3-yl)-3-[4-
[[(3,4,5-trimethoxyphenyl)amino]methyl]phenyl]acrylamide
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(drug candidate; preparation of triazinyl and other carboxamides as
inhibitors of histone deacetylase for treating cell proliferative
disorders)

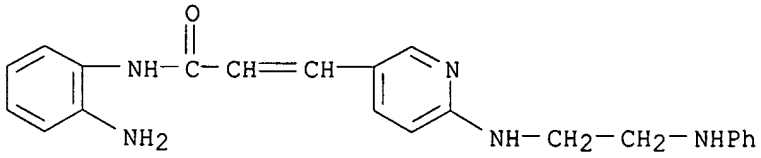
IT **503039-13-2P**, N-(2-Nitrophenyl)-3-[4-[[(3,4,5-
trimethoxybenzyl)amino]methyl]phenyl]acrylamide **503039-16-5P**,
3-[4-[[Methyl(3,4,5-trimethoxybenzyl)amino]methyl]phenyl]-N-(2-
nitrophenyl)acrylamide **503039-30-3P**, (E)-4-[[[4-Amino-6-(2-
indanylamino)-[1,3,5]triazin-2-yl]amino]methyl]-N-[2-(N-(tert-
butoxycarbonylamino)phenyl]cinnamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of triazinyl and other carboxamides as inhibitors of histone
deacetylase for treating cell proliferative disorders)

IT **503039-06-3P**, N-(2-Aminophenyl)-3-[6-[(2-
phenylaminoethyl)amino]pyridin-3-yl]acrylamide

RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase for treating cell proliferative disorders)

RN 503039-06-3 HCAPLUS

CN 2-Propenamide, N-(2-aminophenyl)-3-[6-[[2-(phenylamino)ethyl]amino]-3-pyridinyl]- (9CI) (CA INDEX NAME)



L104 ANSWER 23 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:165072 HCAPLUS

DN 138:205063

TI Preparation of dithiazoles, and matrix metalloprotease (MMP) inhibitors, external medicines, and cosmetic and pharmaceutical compositions containing them

IN Hiruma, Takuya; Kobayashi, Koji; Inomata, Shinji

PA Shiseido Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 38 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2003064065	A2	20030305	JP 2001-258066	20010828 <--
	WO 2003020711	A1	20030313	WO 2002-JP8649	20020828 <--
	W: CN, KR, US				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR				
	EP 1422224	A1	20040526	EP 2002-772819	20020828 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR, BG, CZ, EE, SK				
	CN 1549809	A	20041124	CN 2002-817103	20020828 <--
	US 2004236111	A1	20041125	US 2004-487411	20040223 <--
PRAI	JP 2001-258066	A	20010828 <--		
	WO 2002-JP8649	W	20020828 <--		

OS MARPAT 138:205063

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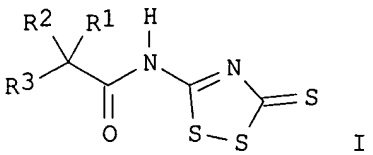


I

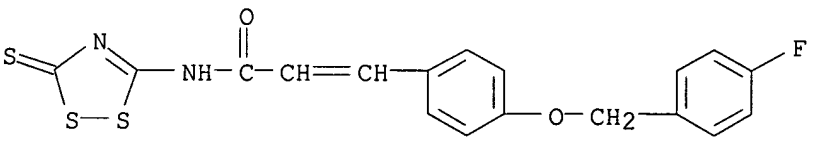
AB Dithiazoles I [R1 = H, alkyl, alkenyl, aryl, heteroarylalkyl, OH, alkoxyalkyl, etc.; R2 = H, alkyl, aryl, arylalkyl, heteroaryl, OH, alkoxy, hydroxyalkyl, amino, etc.; R3 = AYNR4, (un)substituted Ph(CH2)n, R8NHCOCHR9NHCOCHR10; A = alkyl, alkoxy, aryl,heteroaryl, etc.; Y = SO2, CO; R4 = H, alkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, hydroxyalkyl, alkoxyalkyl, etc.; n = 0, 1; R8 = H, alkyl; R9 = α-amino acid residue; R10 = H, alkyl, alkenyl, arylalkyl] or their salts are prepared The compds. are useful for antiaging cosmetics, and for prevention and treatment of abnormal metabolism of tissue matrix, e.g. arthritis, bone disease, periodontosis, **multiple sclerosis**, **tumor** metastasis, etc. (no data). Thus, condensation of 4-MeOC6H4SO2NHCH2Ph with BrCH2CO2Et gave 96% Et 2-[benzyl[(4-methoxyphenyl)sulfonyl]amino]acetate, which was hydrolyzed and amidated with 3-amino-1,2,4-dithiazole-5-thione to afford I (R1 = R2 = H, R3 = 4-MeOC6H4SO2NCH2Ph). The product almost completely inhibited murine MMP-9.

IT **500573-59-1P**
RL: COS (Cosmetic use); **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dithiazoles as matrix metalloprotease inhibitors for cosmetics and pharmaceuticals)

IT **500573-59-1P**
RL: COS (Cosmetic use); **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dithiazoles as matrix metalloprotease inhibitors for cosmetics and pharmaceuticals)

RN 500573-59-1 HCAPLUS

CN 2-Propenamide, 3-[4-[(4-fluorophenyl)methoxy]phenyl]-N-(3-thioxo-3H-1,2,4-dithiazol-5-yl)- (9CI) (CA INDEX NAME)



L104 ANSWER 24 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:695762 HCAPLUS

DN 137:226592

TI Methods for specifically inhibiting histone deacetylase-4

IN Besterman, Jeffrey M.; Bonfils, Claire; Woo, Soon Hyung; Vaisburg, Arkadii; Delorme, Daniel; Fournel, Marielle; Lavoie, Rico; Li, Zuomei

PA Methylgene, Inc., Can.

SO PCT Int. Appl., 124 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002069947	A2	20020912	WO 2002-IB2002	20020114 <--
	WO 2002069947	A3	20031009		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, UZ, VN, YU, ZA, ZM, ZW

US 2002137162	A1	20020926	US 2001-817538	20010326	<--
CA 2434601	AA	20020912	CA 2002-2434601	20020114	<--
US 2003148970	A1	20030807	US 2002-52390	20020114	<--
US 2003152557	A1	20030814	US 2002-51819	20020114	<--
DE 10295684	T	20031120	DE 2002-10295684	20020114	<--
GB 2389365	A1	20031210	GB 2003-16313	20020114	<--
JP 2004520421	T2	20040708	JP 2002-569124	20020114	<--

PRAI US 2001-261522P P 20010112 <--
US 2001-261674P P 20010112 <--
US 2000-192157P P 20000324 <--
WO 2002-IB2002 W 20020114 <--

OS MARPAT 137:226592

AB This invention relates to the inhibition of histone deacetylase (HDAC) expression and enzymic activity. The invention provides methods and reagents for inhibiting HDAC-4 and HDAC-1 by inhibiting expression at the nucleic acid level or inhibiting enzymic activity at the protein level. The invention provides for the specific inhibition of specific HDAC involved in **tumorigenesis** and thus provides a treatment for **cancer**. The invention further provides for the specific inhibition of particular HDAC isoforms involved in cell proliferation, and thus provides a treatment for cell proliferative diseases and disorders.

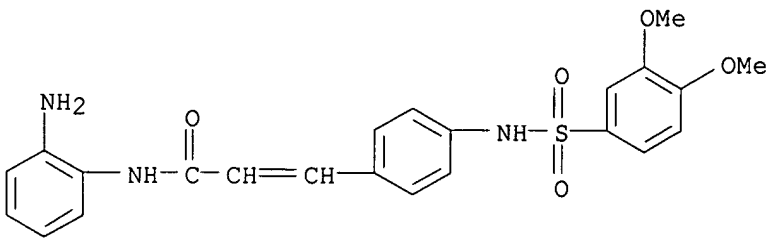
IT **342372-64-9 342372-66-1 342372-70-7**
342372-74-1
RL: **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(methods for specifically inhibiting histone deacetylase-4 expression and for treatment of proliferative diseases such as **cancer** in relation to gene expression)

IT **142805-56-9**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(α, expression; methods for specifically inhibiting histone deacetylase-4 expression and for treatment of proliferative diseases such as **cancer** in relation to gene expression)

IT **342372-64-9**
RL: **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(methods for specifically inhibiting histone deacetylase-4 expression and for treatment of proliferative diseases such as **cancer** in relation to gene expression)

RN 342372-64-9 HCAPLUS

CN 2-Propenamide, N-(2-aminophenyl)-3-[4-[[(3,4-dimethoxyphenyl)sulfonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

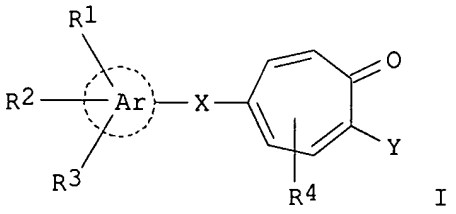


L104 ANSWER 25 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:521689 HCAPLUS
DN 137:93614
TI Preparation of tropolone derivatives having retinoid activity
IN Kagechika, Hiroyuki
PA Japan
SO PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002053523	A1	20020711	WO 2001-JP11083	20011218 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2432409	AA	20020711	CA 2001-2432409	20011218 <--
	EP 1357104	A1	20031029	EP 2001-272512	20011218 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	CN 1491197	A	20040421	CN 2001-822771	20011218 <--
	US 2004082550	A1	20040429	US 2003-450836	20031216 <--
PRAI	JP 2000-394338	A	20001226 <--		
	WO 2001-JP11083	W	20011218 <--		

OS MARPAT 137:93614
GI



I

AB Tropolone derivs. represented by the formula [I; R1-R4 = H, (un)substituted alkyl, alkoxy; R2 and R3 together with the carbon atoms on the benzene ring to which they are bonded form an (un)substituted 5 or 6-membered ring in case where R2 and R2 are adjacent to each other; ring Ar = an aryl ring or heteroaryl ring; X = a single bond, N:N, CON(R5), (C:C)nCON(R6), N(R7)CON(R8), SO2N(R9), N(R10) (wherein R5-R9 = H or alkyl; n = 1-3; R10 = H, alkyl, acyl), alkylene, arylene, or heterocyclic-diyl; Y = H, OR11 (wherein R11 = H, alkyl, acyl), NHR12 (wherein R12 = H, alkyl, acyl, amino), halogeno] are prepared These compds. have a binding activity to nuclear receptors belonging to nuclear super-family receptors and in particular retinoic acid and retinoic acid-like activity (retinoid activity) and thereby are useful as medicines for suppressing activities of biol. active substances which manifest biol. activities by binding to nuclear receptors. They also possess activities of cell differentiation (cytodifferentiation) induction, promotion of cell proliferation, and life

support and are used for the prevention and/or treatment of vitamin A deficiency, keratosis of epithelial tissue, psoriasis, allergies, immune diseases such as rheumatism, bone diseases, diabetes, leukemia, and **cancer**. Thus, cycloalkylation of 2-bromonaphthalene with 2,5-dichloro-2,5-dimethylhexane in the presence of AlCl3 in CH2Cl2 at room temperature for 6 h gave 63% 2-bromo-6,6,9,9-tetramethyl-6,7,8,9-tetrahydronaphthalene which underwent metalation with n-BuLi/ZnCl2 in THF at -78° for 30 min and coupling with 2-methoxy-5-(trifluoromethanesulfonyloxy)tropone (preparation given) in the presence of Pd(PPh3)4 in THF at room temperature for 2 h to give a precursor (II; R = Me). Hydroxylation of II (R = Me) with NaOH in ethanol at 70° for 30 min followed by acidification with 2 N aqueous HCl gave II (R = H) (III). III showed the induction of cell differentiation in 63, 76, and 56% of human leukemia HL-60 cells at 10-8, 10-7, and 10-6 M, resp., and 91, 84, and 79% at 10-8, 10-7, and 10-6 M in the copresence of 10-7 M HX630, resp.

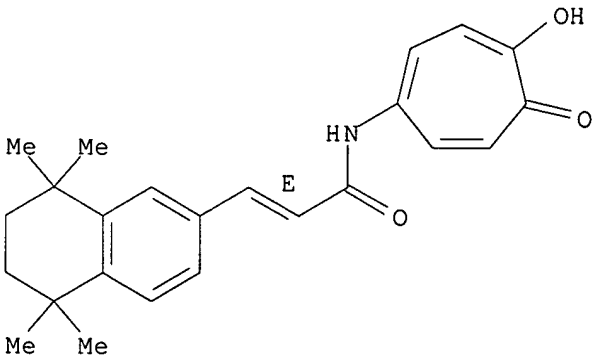
IT **441793-70-0P 441793-71-1P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tropolone derivs. with binding activity to nuclear receptors and in particular retinoid activity and cell differentiation induction as preventives or remedies of diseases)

IT **441793-70-0P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tropolone derivs. with binding activity to nuclear receptors and in particular retinoid activity and cell differentiation induction as preventives or remedies of diseases)

RN 441793-70-0 HCAPLUS

CN 2-Propenamide, N-(4-hydroxy-5-oxo-1,3,6-cycloheptatrien-1-yl)-3-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
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Hitachi Ltd	1971			JP 462574 B1	
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Ligand Pharmaceuticals	1995			EP 678087 A1	HCAPLUS
Ligand Pharmaceuticals	1995			WO 954036 A1	
Pharmacia & Upjohn Co	1998			JP 2000516245 A	
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Research Corp	1968			US 3391133 A	HCAPLUS
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Tsunetsugu, J	1976	49	831	Bull Chem Soc, Jpn	HCAPLUS
University Of Hawaii	1992			JP 06508132 A	
University Of Hawaii	1992			US 5235076 A	HCAPLUS
University Of Hawaii	1992			EP 588915 A1	HCAPLUS
University Of Hawaii	1992			WO 9221643 A1	HCAPLUS
Yamazaki, M	1988	108	754	YAKUGAKU ZASSHI	HCAPLUS

L104 ANSWER 26 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:392229 HCAPLUS
DN 136:395946
TI Antisense oligonucleotide inhibition of specific histone deacetylase isoforms
IN Li, Zuomei; Bonfils, Claire; Besterman, Jeffrey
PA Can.
SO U.S. Pat. Appl. Publ., 60 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002061860	A1	20020523	US 2001-817913	20010806 <--
	CA 2408385	AA	20010924	CA 2001-2408385	20010326 <--
	US 2002137162	A1	20020926	US 2001-817538	20010326 <--
	WO 2003006652	A2	20030123	WO 2001-IB2907	20010326 <--
	WO 2003006652	A3	20040513		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 2001298014	A1	20030129	AU 2001-298014	20010326 <--
	EP 1438404	A2	20040721	EP 2001-274226	20010326 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
	JP 2004533850	T2	20041111	JP 2003-512410	20010326 <--
	US 2004266718	A1	20041230	US 2004-870587	20040617 <--
PRAI	US 2000-192157P	P	20000324 <--		
	US 2001-261522P	P	20010112 <--		
	WO 2001-IB2907	W	20010326 <--		
	US 2001-817913	A3	20010806 <--		

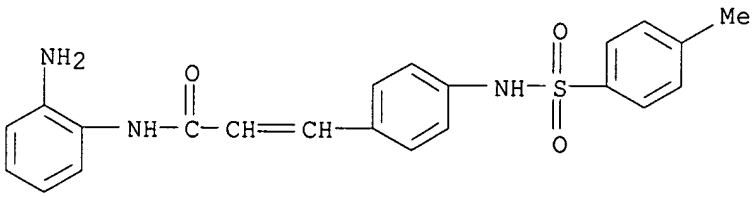
AB This invention relates to the inhibition of histone deacetylase expression and enzymic activity. The invention provides methods and reagents for inhibiting specific histone deacetylase (HDAC) isoforms by inhibiting expression at the nucleic acid level or enzymic activity at the protein level.

IT **342372-70-7P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(antisense oligonucleotide inhibition of specific histone deacetylase isoforms)

IT **342372-70-7P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(antisense oligonucleotide inhibition of specific histone deacetylase isoforms)

RN 342372-70-7 HCAPLUS

CN 2-Propenamide, N-(2-aminophenyl)-3-[4-[[(4-methylphenyl)sulfonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



L104 ANSWER 27 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:293619 HCAPLUS

DN 136:325360

TI Compositions of achiral analogs of CC-1065 and the duocarmycins and methods of the use as **anticancer** agents

IN Lee, Moses

PA Taiho Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 137 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002030894	A2	20020418	WO 2001-US29160	20010919 <--
	WO 2002030894	A3	20020620		
	W: CN, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	EP 1320522	A2	20030625	EP 2001-973146	20010919 <--
	EP 1320522	B1	20051123		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
	JP 2004511466	T2	20040415	JP 2002-534280	20010919 <--
	AT 310724	E	20051215	AT 2001-973146	20010919 <--
PRAI	US 2000-666160	A2	20000919 <--		
	WO 2001-US29160	W	20010919 <--		

OS MARPAT 136:325360

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

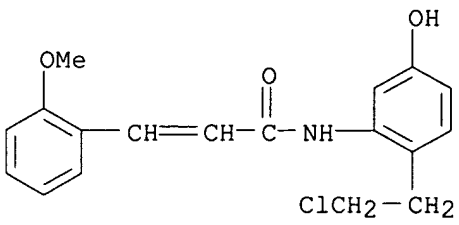
AB The present invention relates to novel achiral seco-analogs of the DNA minor groove and sequence-selective alkylating agents (+)-CC1065 and the duocarmycins, depicted as I, II, III, IV and V [X is a good leaving group, such as a Cl, Br, I, mesylate, tosylate, acetate, quaternary ammonium moiety, SH, alkylthio, alkylsulfoxyl, alkylsulfonyl; R = CH2Ph, CO2CH2Ph, H, CO2CH2C6H4NO2-4, N'-methylpiperazinyl-N-carbonyl; R1 is suitable minor groove binding agent (such as the binding units of adozelesin and duocarmycins, netropsin and bisbenzimide) to enhance the interactions of the achiral seco-cyclopropaneindole (CI) or an achiral seco-duocarmycin with specific sequences of DNA, t-butoxy, benzyloxy, 9-flurenylmethyloxy or other common protecting groups for amines; R2, R3 = H, (un)branched C1-5-alkyl, Et, Pr, Bu, pentyl, hexyl; R4, R5 = H, short alkyl, CF3, alkyloxycarbonyl, CO2Me]. Thus, I (R = H, R1 = 5,6,7-trimethoxyindole) was prepared from [4-(benzyloxy)-2-nitrophenyl]ethyl chloride via regioselective hydrogenation with H2/PtO2 in THF, N-acylation with 5,6,7-trimethoxyindole-2-carboxylic acid in CH2Cl2 containing PyBOP and EtN(CHMe2)2, followed by hydrogenolysis with H2/Pd-C in THF containing HCO2NH4. The present invention is further directed to pharmaceutical compns. thereof, and as a method for treatment of **cancer** using the subject compds. Bioactivity of I (R = H, R1 = 5,6,7-trimethoxyindole) was determined [IC50 = 0.37 μM vs. K562 cells; IC50 = 0.94 μM vs. PC3 cells; IC50 = 1.5 μM vs. L1210 cells; 51±3 % form I DNA alkylation and 49±4% form II DNA alkylation at 0.1 mM; gel scans in Taq polymerase stop assay are given].

IT **413577-01-2P 413577-02-3P 413577-03-4P**
413577-31-8P 413577-32-9P 413578-30-0P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of achiral analogs of CC-1065 and the duocarmycins as **anticancer** agents)

IT **413577-01-2P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of achiral analogs of CC-1065 and the duocarmycins as **anticancer** agents)

RN 413577-01-2 HCAPLUS

CN 2-Propenamide, N-[2-(2-chloroethyl)-5-hydroxyphenyl]-3-(2-methoxyphenyl)-(9CI) (CA INDEX NAME)



L104 ANSWER 28 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:142666 HCAPLUS
DN 136:200479
TI Preparation of proline derivatives as dipeptidyl peptidase IV (DPP-IV) inhibitors and use thereof as drugs
IN Kitajima, Hiroshi; Sakashita, Hiroshi; Akahoshi, Fumihiko; Hayashi, Yoshiharu
PA Welfide Corporation, Japan
SO PCT Int. Appl., 340 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

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PI	WO 2002014271	A1	20020221	WO 2001-JP6906	20010810 <--
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	CA 2418656	AA	20020221	CA 2001-2418656	20010810 <--
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	EP 1308439	A1	20030507	EP 2001-955660	20010810 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001013146	A	20030624	BR 2001-13146	20010810 <--
	NZ 524618	A	20040827	NZ 2001-524618	20010810 <--
	NO 2003000619	A	20030226	NO 2003-619	20030207 <--
	US 2004106655	A1	20040603	US 2003-344255	20030210 <--
	US 7074794	B2	20060711		
	US 2005245538	A1	20051103	US 2005-142523	20050602 <--
	US 7060722	B2	20060613		
	US 2006173056	A1	20060803	US 2006-351118	20060210 <--
PRAI	JP 2000-243217	A	20000810 <--		
	JP 2000-400296	A	20001228 <--		
	JP 2000-24217	A	20000810 <--		
	WO 2001-JP6906	W	20010810 <--		
	US 2003-344255	A3	20030210 <--		
	US 2005-142523	A3	20050602 <--		

OS MARPAT 136:200479
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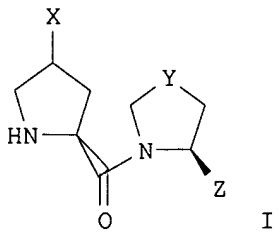


I

AB The title compds. [I; X = NR1R2, NR3COR4, NR5COR4, NR5CH2CH2NR6R7,

NR8SO2R9, OR10, O2CR11; wherein R1, R2 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, or they are linked to each other to form a heterocyclyl containing 1 or 2 N atoms or O which may be a spiro ring and is optionally fused to an (un)substituted aromatic ring; R3, R4 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, arylalkenyl, heteroaryl, heteroarylalkyl; R5, R6, R7 = H, alkyl, acyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl, or which is optionally fused to an (un)substituted aromatic ring; R8, R9, R10, R11 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl] or pharmacol. acceptable salts thereof are prepared These compds. are useful for the treatment of DPP-IV related diseases such as diabetes, obesity, HIV infection, **cancer** metastasis, skin diseases, prostatic hypertrophy (prostatomegaly), pericementitis, or autoimmune diseases. Thus, a solution of 0.924 g (S)-1-[(2S,4S)-4-amino-1-tert-butoxycarbonyl-2-pyrrolidinylcarbonyl]-2-cyanopyrrolidine (preparation given), 1.7 mL diisopropylethylamine, and 0.78 g 2-chloro-4-fluorobenzonitrile in 10 mL N-methyl-2-pyrrolidone were stirred at 80° for 4 h to give 0.94 g (S)-1-[(2S,4S)-1-tert-butoxycarbonyl-4-(3-chloro-4-cyanophenyl)amino-2-pyrrolidinylcarbonyl]-2-cyanopyrrolidine which (0.93 g) was treated with HCl/EtOAc at room temperature for 15 h to give (S)-1-[(2S,4S)-4-(3-chloro-4-cyanophenyl)amino-2-pyrrolidinylcarbonyl]-2-cyanopyrrolidine hydrochloride (II). II showed IC50 of 0.13 and 0.15 nM against human blood plasma DPP-IV and rat blood plasma DPP-IV, resp.

IT **401562-06-9P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of proline derivs. as dipeptidyl peptidase IV (DPP-IV) inhibitors for treating DPP-IV related diseases)

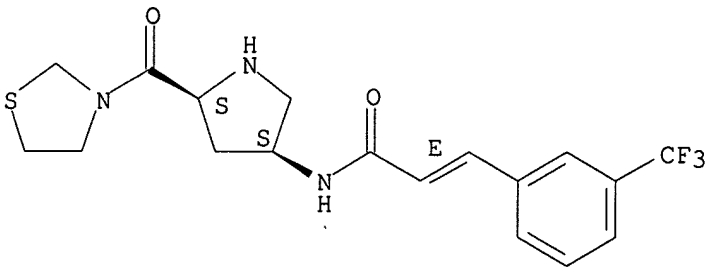
IT **401565-53-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of proline derivs. as dipeptidyl peptidase IV (DPP-IV) inhibitors for treating DPP-IV related diseases)

IT **401562-06-9P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of proline derivs. as dipeptidyl peptidase IV (DPP-IV) inhibitors for treating DPP-IV related diseases)

RN 401562-06-9 HCAPLUS

CN 2-Propenamide, N-[(3S,5S)-5-(3-thiazolidinylcarbonyl)-3-pyrrolidinyl]-3-[3-(trifluoromethyl)phenyl]-, monohydrochloride, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



● HCl

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Probiodrug Gesellschaft	1999			EP 1082314 A	HCAPLUS
Probiodrug Gesellschaft	1999			WO 9961431 A	HCAPLUS

L104 ANSWER 29 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:107923 HCAPLUS
DN 136:151166
TI Preparation of imidazoisoquinolinones as inhibitors of tyrosine kinases
IN Snow, Roger John; Cardozo, Mario; Goldberg, Daniel; Hammach, Abdelhakim; Morwick, Tina; Moss, Neil; Patel, Usha R.; Prokopowicz, Anthony S.; Takahashi, Hidenori; Tschantz, Matt Aaron; Wang, Xiao-Jun
PA Boehringer Ingelheim Pharmaceuticals, Inc., USA
SO U.S. Pat. Appl. Publ., 62 pp., Cont.-in-part of U.S. Ser. No. 679,156.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002016460	A1	20020207	US 2001-921509	20010802 <--
	US 6506769	B2	20030114		
	US 2003166929	A1	20030904	US 2002-292026	20021112 <--
	US 6770639	B2	20040803		
PRAI	US 1999-157922P	P	19991006 <--		
	US 2000-679156	A2	20001005 <--		
	US 2001-921509	A3	20010802 <--		

OS CASREACT 136:151166; MARPAT 136:151166
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; Ar1 = (un)substituted (non)aromatic carbocyclyl, heteroaryl, heterocyclyl; X = NH, N(alkyl), O, etc.; Y = NR15, S, O; Ra = H, alkyl, alkenyl, etc.; R4 and R5 together with the atoms to which they are attached = II, III (wherein R6 = alkyl, H; R7 = alkyl, H; R8 = H, alkyl, etc.; R9 = H, CN, etc.)], useful as inhibitors of certain protein tyrosine kinases and are thus useful for treating diseases associated with

such kinases, for example, diseases resulting from inappropriate cell proliferation, which include autoimmune diseases, chronic inflammatory diseases, allergic diseases, transplant rejection and **cancer**, as well as conditions resulting from cerebral ischemia, such as stroke, were prepared All exemplified compds. I were evaluated in the tyrosine kinase assay using a kinase such a p56lck and were found to have IC50's less than 10 μM. Methods of preparation are claimed and 29 example prepns. are included. E.g., a multi-step synthesis of the imidazoisoquinolinedione IV was given. Claimed methods include: a method of making I wherein X is N-R15 and Ar1, R4, R5, R15and Ra are as defined in claim 1, said process comprising: (a) reacting a phenylenediamine with Ar1NCS in a suitable solvent at about ambient to reflux temperature for .apprx.3 to 24 h to provide a possibly substituted N-(o-aminophenyl)thiourea (b) reacting this product with a suitable activating agent chosen from 1,3-dicyclohexylcarbodiimide (DCC) and mercuric oxide in a suitable solvent at about ambient to reflux temperature Also, a method of making I wherein X is S, Y is NH and Ar1, R4, R5 and Ra are as defined in claim 1, said process comprising: (a) reacting an aniline with Ar1NCS in a suitable solvent at about ambient to reflux temperature for .apprx.3 to 24 h to form a thiourea; (b) reacting this product under cyclizing conditions in a suitable solvent at about reflux temperature Also, a method of making V wherein R15, R8 and R9 are as described in claim 1, said method comprising: (a) reacting 2,6-dichloro-3-nitrobenzonitrile with NHR15 in a suitable solvent optionally in a pressure flask and at .apprx.0 to 80°, to provide 2-R15NH-3-nitro-6-chlorobenzonitriles, and subsequently reacting these compds. with ketoester R9C(O)CHR8CO2Et in the presence of a suitable base in a suitable solvent, at about ambient temperature to form 2-NC-3-R15NH-4-O2NC6H2CR8(C(O)R9)CO2Et (b) hydrolyzing this product by reacting with aqueous acid, and cyclizing at about reflux temperature; followed by reducing the cyclized product in a suitable solvent. Also, a method of making VI wherein Ra, R8, R9 and Ar1 are as described in claim 1, said method comprising: (a) reacting a phenylenediamine with Br2 in a suitable solvent at ambient temperature to provide a brominated ring product; (b) reacting this product with Ar1NCS in a suitable solvent at about ambient to reflux temperature for .apprx.3 to 24 h and subsequently reacting the product with a suitable activating agent chosen from DCC and mercuric oxide in a suitable solvent at about ambient to reflux temperature to form VI with Ra = Br; (c) cross-coupling to introduce Ra in place of Br in the presence of a suitable catalyst in a suitable solvent at .apprx.100°.

IT **333456-02-3P**, 2-Propenamide, 3-[2-[(2,6-dichlorophenyl)amino]-8,9-dihydro-1,6-dimethyl-9-oxo-1H-imidazo[4,5-h]isoquinolin-7-yl]-N-[4-[2-(diethylamino)ethoxy]phenyl]-
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazoisoquinolinones as inhibitors of tyrosine kinases)

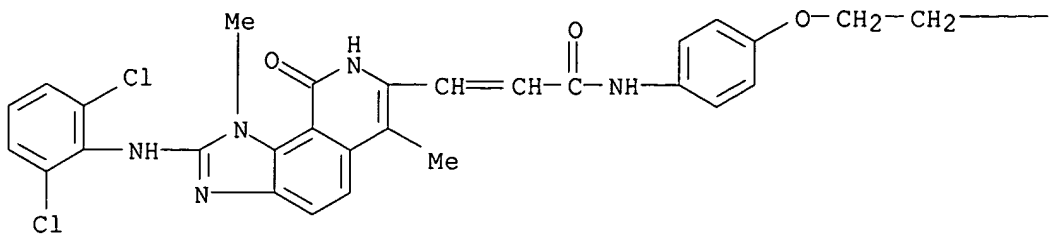
IT **333456-02-3P**, 2-Propenamide, 3-[2-[(2,6-dichlorophenyl)amino]-8,9-dihydro-1,6-dimethyl-9-oxo-1H-imidazo[4,5-h]isoquinolin-7-yl]-N-[4-[2-(diethylamino)ethoxy]phenyl]-
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazoisoquinolinones as inhibitors of tyrosine kinases)

RN 333456-02-3 HCAPLUS

CN 2-Propenamide, 3-[2-[(2,6-dichlorophenyl)amino]-8,9-dihydro-1,6-dimethyl-9-oxo-1H-imidazo[4,5-h]isoquinolin-7-yl]-N-[4-[2-

(diethylamino)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

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L104 ANSWER 30 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:103518 HCAPLUS
DN 136:151180
TI Preparation of caprolactam compounds and their use as inhibitors of serine proteases
IN Bisacchi, Gregory S.; Seiler, Steven M.; Lawrence, R. Michael; Sutton, James C., Jr.; Slusarchyk, William A.; Zhao, Guohua
PA Bristol-Myers Squibb Company, USA
SO U.S., 101 pp., Cont.-in-part of U.S. Ser. No. 478,632.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6344450	B1	20020205	US 2000-633751	20000807 <--
	CA 2418995	AA	20020214	CA 2001-2418995	20010720 <--
	WO 2002012196	A2	20020214	WO 2001-US22829	20010720 <--
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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PRAI	US 1999-119374P	P	19990209 <--		
	US 2000-478632	A2	20000106 <--		
	US 2000-633751	A	20000807 <--		
	WO 2001-US22829	W	20010720 <--		

OS MARPAT 136:151180

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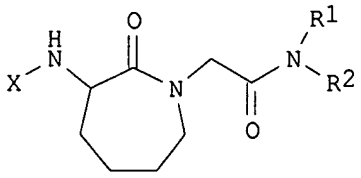


I

AB Caprolactam (azepan-2-one) derivs. [I; R1, R2 = H, each (un)substituted alkyl, alkenyl, alkynyl, aryl, aminoalkylaryl, aminocycloalkylalkyl, aminoalkyl, aminoalkylcycloalkyl, heteroaryl, arylalkyl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, polycycloalkyl, polycycloalkylalkyl, cycloalkenyl, cycloheteroalkyl, cycloalkenylalkyl, polycycloalkenyl, or polycycloalkenylalkyl, or R1 and R2 can be taken with the nitrogen to which they are attached to form a cycloheteroalkyl ring; X = R4C(:Y), R3SO2 (wherein R3 is selected from optionally substituted alkyl, alkenyl, alkynyl, aryl, heteroaryl, arylalkyl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, polycycloalkyl, polycycloalkylalkyl, etc.; Y = O, S; R4 = R5R6N, R7O, R8; wherein R5, R6 = each optionally substituted alkyl, alkenyl, alkynyl, aryl, heteroaryl, arylalkyl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, polycycloalkyl, etc., or R5 and R6 can be taken with the nitrogen to which they are attached to form an optionally substituted cycloheteroalkyl ring; R7, R8 = each optionally substituted alkyl, alkenyl, alkynyl, aryl, heteroaryl, arylalkyl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, polycycloalkyl, polycycloalkylalkyl, cycloalkenyl, etc.)] are prepared These compds. are inhibitors of factor Xa and tryptase (no data) and thus are useful as anticoagulants and in treating asthma, resp. Methods for treating (1) cardiovascular diseases associated with thrombosis, (2) thrombosis, coronary artery disease or cerebrovascular disease, associated with thrombosis, and (3) inflammation, asthma, or allergic rhinitis are also provided. The above cardiovascular diseases are **atherosclerotic** plaques, venous or arterial thrombosis, coagulation syndromes, ischemia and angina (stable and unstable), deep vein thrombosis (DVT), disseminated intravascular coagulopathy, Kasabach-Merritt syndrome, pulmonary embolism, myocardial infarction, cerebral infarction, cerebral thrombosis, atrial fibrillation, cerebral embolism, thromboembolic complications of surgery, peripheral arterial occlusion, or **restenosis** following arterial injury induced by endogenous or exogenous events. A method for treating inflammatory bowel disease, psoriasis, conjunctivitis, atopic dermatitis, rheumatoid arthritis, osteoarthritis, chronic inflammatory joint disease, diseases of joint cartilage destruction, allergic rhinitis myocardial infarction, stroke, angina, treating or preventing diabetic retinopathy, **fibrosis**, scleroderma, pulmonary **fibrosis**, liver **cirrhosis**, myocardial **fibrosis**, **neurofibromas**, and hypertrophic scars is also provided. Thus, in an automated solution synthesis, a stock solution of 7 mg 4-[2-(methylamino)ethyl]pyridine in THF (300 μL), a stock solution of 8 mg diisopropylcarbodiimide in CH2Cl2 (300 μL), and a stock solution of 12 mg 7-aza-1-hydroxybenzotriazole in DMF (300 μL), and a stock solution of 2-[(3S)-3-[N'-(3-methylphenyl)ureido]-2-oxoazepan-2-yl]acetic acid (preparation given) in CH2Cl2, were added via a liquid handler to a 16 mm + 100 mm tube and mixed in an orbital shaker for 72 h to give 2-[(3S)-3-[N'-(3-methylphenyl)ureido]-2-oxoazepan-2-yl]-N-

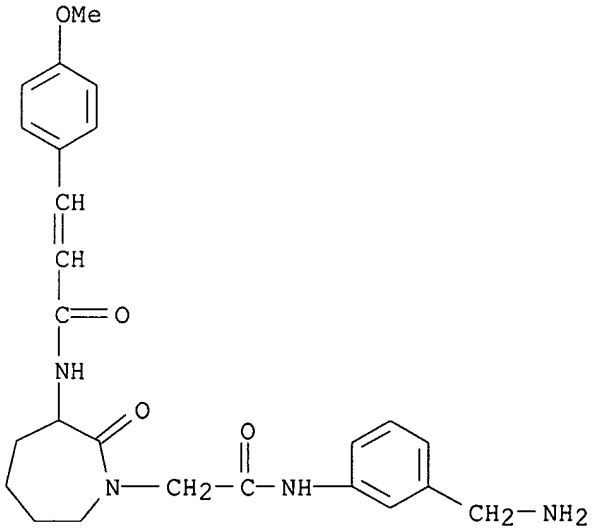
methyl-N-[2-(4-pyridyl)ethyl]acetamide.

IT **393842-22-3P 393842-25-6P 393842-26-7P**
393842-27-8P 393842-57-4P 393842-59-6P
393842-64-3P 393842-93-8P 393843-29-3P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of lactam compds. as inhibitors of serine proteases and factor Xa and anticoagulants for treating thrombosis-associated diseases, asthma, inflammation, or allergic rhinitis.)

IT **393842-22-3P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of lactam compds. as inhibitors of serine proteases and factor Xa and anticoagulants for treating thrombosis-associated diseases, asthma, inflammation, or allergic rhinitis.)

RN 393842-22-3 HCAPLUS

CN 1H-Azepine-1-acetamide, N-[3-(aminomethyl)phenyl]hexahydro-3-[[3-(4-methoxyphenyl)-1-oxo-2-propenyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Adang	1998	8	3603	Bioorg & Med Chem Le	HCAPLUS
Angelucci	1993	36	1511	J Med Chem	HCAPLUS
Anon	1993			WO 9314113	HCAPLUS
Anon	1995			WO 9535311	HCAPLUS
Anon	1995			WO 9535313	HCAPLUS
Anon	1996			WO 9611940	HCAPLUS
Anon	1996			WO 9629313	HCAPLUS
Anon	1997			EP 761680	HCAPLUS
Anon	1997			WO 9714417	HCAPLUS
Anon	1997			WO 9716425	HCAPLUS
Anon	1997			WO 9717363	HCAPLUS
Anon	1997			WO 9730073	HCAPLUS
Anon	1997			WO 9731939	HCAPLUS

Anon	1998			WO 9812211	HCAPLUS
Anon	1998			WO 9816523	HCAPLUS
Anon	1998			WO 9856365	HCAPLUS
Anon	2000			WO 0005208	HCAPLUS
Anon	2000			WO 0053264	HCAPLUS
de	1997			US 5672598 A	HCAPLUS
Freidinger	1992	47	104	J Org Chem	
Giannessi	1992			US 5155102 A	HCAPLUS
Lowe	1996			US 5484917 A	HCAPLUS
Lowe	1997			US 5618811 A	HCAPLUS
Lowe	1994	4	2877	Bioorg & Med Chem Le	HCAPLUS
Semple	1997			US 5703208 A	HCAPLUS
Semple	1999			US 5932733 A	HCAPLUS
Semple	1996	39	4531	J Med Chem	HCAPLUS
Skiles	1993	3	773	Bioorg & Med Chem Le	HCAPLUS
Sreenivasan	1993	36	256	J Med Chem	HCAPLUS

L104 ANSWER 31 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:31413 HCAPLUS
DN 136:86055
TI Process for preparation of distamycin peptidic derivatives as **antitumor** agents
IN Beria, Italo; Cozzi, Paolo; Mongelli, Nicola; Orzi, Fabrizio
PA Pharmacia & Upjohn SpA, Italy
SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002002523	A1	20020110	WO 2001-EP6762	20010614 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1324983	A1	20030709	EP 2001-951593	20010614 <--
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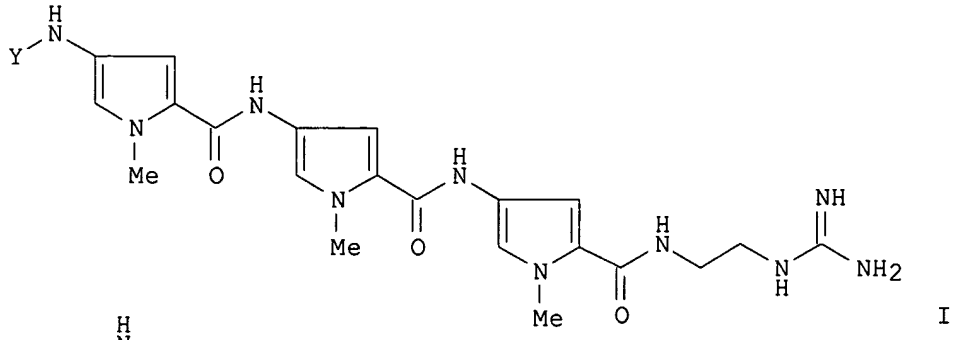
	JP 2004502673	T2	20040129	JP 2002-507780	20010614 <--
	US 2004082759	A1	20040429	US 2002-312261	20021224 <--
	US 6951951	B2	20051004		
PRAI	GB 2000-16447	A	20000704 <--		
	WO 2001-EP6762	W	20010614 <--		

OS CASREACT 136:86055; MARPAT 136:86055
GI



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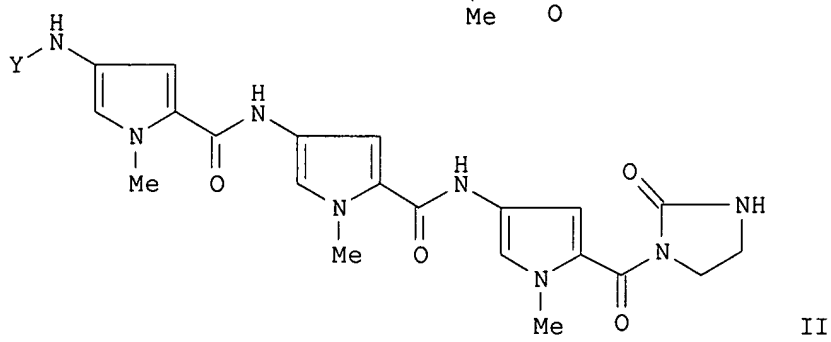


II

AB A process for preparation distamycin peptidic derivs. I [Y = H or amino protecting group], which involves the synthesis of the novel intermediates II is described. The prepared distamycin derivs. posses **antitumor** activity. Thus, distamycin A was hydrolyzed, protected and reacted with diphenylphosphosphorylazide to gave the key intermediate tert-Bu 1-methyl-5-[([1-methyl-5-[([1-methyl-5-[(2-oxo-1-imidazolidinyl)carbonyl]-1H-pyrrol-3-yl]amino)carbonyl]-1H-pyrrol-3-yl]amino)carbonyl]-1H-pyrrol-3-ylcarbamate, from which after hydrolysis, reaction with N,N'-di-tert-butoxycarbonyl- N''triflylguanidine and deprotection was obtained N-[5-[[(5-[[(5-[[(2-[[amino(imino)methyl]amino]ethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl)amino]carbonyl]-1-methyl-1H-pyrrol-3-yl)amino]carbonyl]-1-methyl-1H-pyrrol-3-yl)-4-[(2-bromoacryloyl)amino]-1-methyl-1H-pyrrole-2-carboxamide.

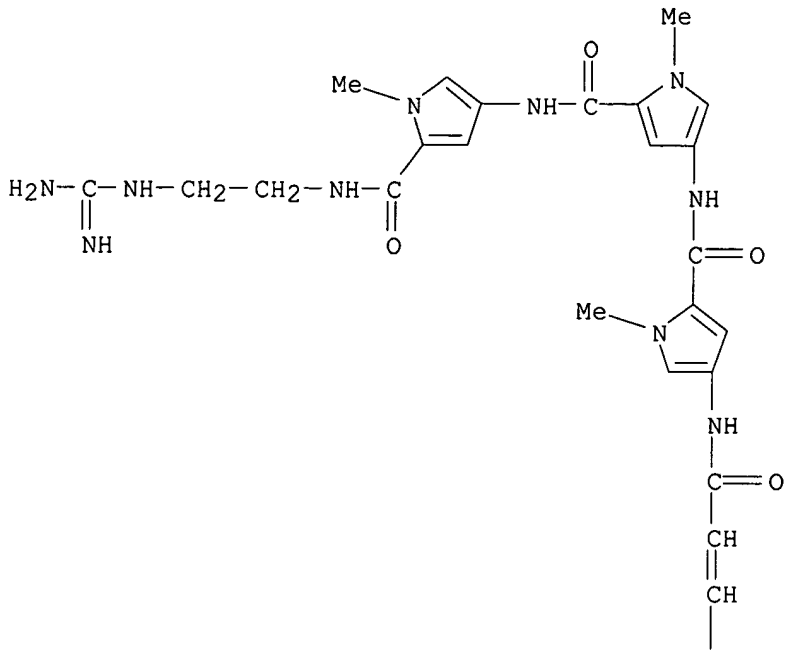
IT **199463-01-9P**
RL: IMF (Industrial manufacture); **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for preparation of distamycin peptidic derivs. as **antitumor** agents)

IT **199463-01-9P**
RL: IMF (Industrial manufacture); **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for preparation of distamycin peptidic derivs. as **antitumor** agents)

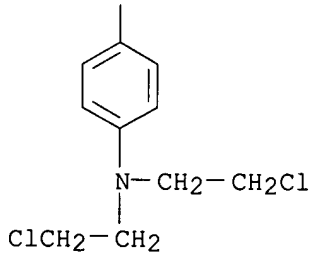
RN 199463-01-9 HCAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[5-[[[2-[(aminoiminomethyl)amino]ethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-4-[[[4-[[3-[4-[bis(2-chloroethyl)amino]phenyl]-1-oxo-2-propenyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Baraldi, P	1999			WO 9950266 A	HCAPLUS
Cozzi, P	2000	10	1273	BIOORGANIC & MEDICIN	HCAPLUS
Pharmacia & Upjohn Spa	2000			WO 0006542 A	HCAPLUS

L104 ANSWER 32 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:10426 HCAPLUS
DN 136:85822
TI Preparation of biphenylcarboxamide compounds as GPR14 antagonists or somatostatin receptor regulators
IN Tarui, Naoki; Santo, Takashi; Watanabe, Hiroyuki; Aso, Kazuyoshi; Miwa, Tetsuo; Takekawa, Shiro
PA Takeda Chemical Industries, Ltd., Japan
SO PCT Int. Appl., 274 pp.

CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002000606	A1	20020103	WO 2001-JP5541	20010628 <--
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 2001066346	A5	20020108	AU 2001-66346	20010628 <--
	JP 2002080439	A2	20020319	JP 2001-196645	20010628 <--
	EP 1295867	A1	20030326	EP 2001-943851	20010628 <--
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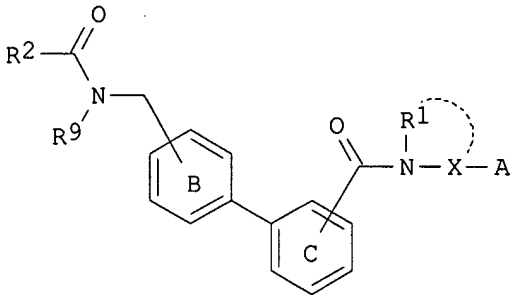
	US 2004106792	A1	20040603	US 2002-312015	20021220 <--
PRAI	JP 2000-200118	A	20000628 <--		
	WO 2001-JP5541	W	20010628 <--		

OS MARPAT 136:85822
GI



I

AB The title compds. (I) or salts thereof [wherein R1 represents hydrogen or (un)substituted hydrocarbyl; X represents a spacer having a 1 to 12 atom linear chain moiety; A represents (un)substituted amino or N-heterocyclyl; R2 represents (un)substituted hydrocarbyl or amino; and R3 represents (un)substituted hydrocarbyl; ring B and C represent an optionally further substituted benzene ring], which have an antagonism against urotensin II receptor GPR14 (orphan receptor), are prepared These compds. are also somatostatin, in particular somatostatin 5 receptor-function regulators such as somatostatin receptor agonists and antagonists and are useful for the prevention and treatment of hypertension, arteriosclerosis, cardiac hypertrophy, myocardial infarction, diabetes, obesity, diabetes complications, central diseases, digestive tract diseases, glaucoma, acromegaly, or **tumor**. Thus, 3'-[[2-[4-(aminosulfonyl)phenyl]ethyl]aminomethyl]-N-[2-(1-pyrrolidinyl)ethyl]-1,1'-biphenyl-3-carboxamide was condensed with trans-cinnamic acid using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and

1-hydroxybenzoriazole in CH2Cl2 and DMF at room temperature for 18 h to give 3'-[[N-[2-[4-(aminosulfonyl)phenyl]ethyl]-N-[(E)-3-phenyl-2-propenoyl]amino]methyl]-N-[2-(1-pyrrolidinyl)ethyl]-1,1'-biphenyl-3-carboxamide (II). N-(2-aminoethyl)-3'-[[N-[4-(aminosulfonyl)benzoyl]-N-(1-naphthylmethyl)amino]methyl]-1,1'-biphenyl-2-carboxamide trifluoroacetate and N-(2-aminoethyl)-3'-[[N-[4-[[[amino(imino)methyl]amino]methyl]benzoyl]-N-(1-naphthylmethyl)amino]methyl]-1,1'-biphenyl-2-carboxamide trifluoroacetate showed IC50 of 3 and 6 nM for inhibiting the binding of [125I]-somatostatin to CHO cell line expressing human somatostatin 5 receptor. A capsule and a tablet formulation containing II were prepared

IT **386296-95-3P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biphenylcarboxamide compds. as GPR14 antagonists or somatostatin receptor regulators for therapeutic agents)

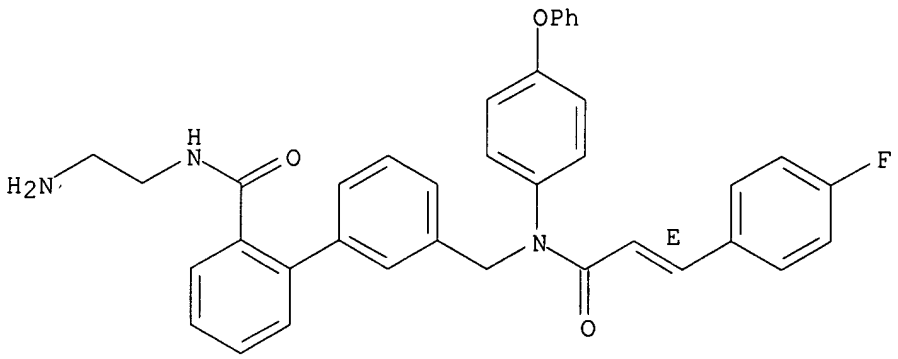
IT **386296-95-3P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biphenylcarboxamide compds. as GPR14 antagonists or somatostatin receptor regulators for therapeutic agents)

RN 386296-95-3 HCAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-(2-aminoethyl)-3'-[[[(2E)-3-(4-fluorophenyl)-1-oxo-2-propenyl](4-phenoxyphenyl)amino]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

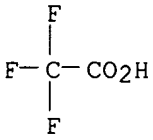
CRN 386296-94-2
CMF C37 H32 F N3 O3

Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Glaxo Group Limited	1993			JP 06107649 A	HCAPLUS
Glaxo Group Limited	1993			EP 533266 A1	HCAPLUS
Glaxo Group Limited	1993			US 5356893 A	HCAPLUS
Ried, W	1990	114	287	Chem-ztg	HCAPLUS
Takeda Chemical Industr	1998			JP 11209356 A	HCAPLUS
Takeda Chemical Industr	1998			EP 979227 A1	HCAPLUS
Takeda Chemical Industr	1998			WO 9847882 A1	HCAPLUS

L104 ANSWER 33 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:935593 HCAPLUS
DN 136:69729
TI Preparation of thiophene-3-carboxamides as kinase inhibitors
IN Fancelli, Daniele; Pevarello, Paolo; Varasi, Mario
PA Pharmacia & Upjohn S.p.A., Italy
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001098290	A2	20011227	WO 2001-EP6763	20010614 <--
	WO 2001098290	A3	20020516		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6414013	B1	20020702	US 2000-596550	20000619 <--
	CA 2414085	AA	20011227	CA 2001-2414085	20010614 <--
	AU 2001085745	A5	20020102	AU 2001-85745	20010614 <--
	EP 1294707	A2	20030326	EP 2001-964983	20010614 <--
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	JP 2004501146	T2	20040115	JP 2002-504246	20010614 <--
PRAI	US 2000-596550	A	20000619 <--		
	WO 2001-EP6763	W	20010614 <--		

OS MARPAT 136:69729
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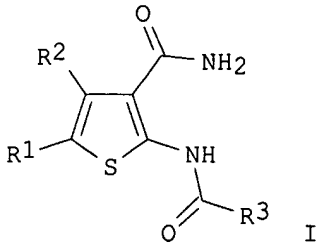


I

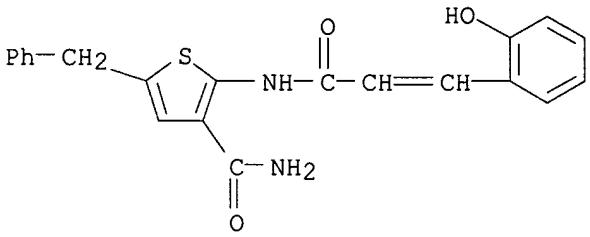
AB The title compds. [I; R1, R2 = H, halo, aryl, etc.; or R1 and R2 taken together form (CH2)m(NR4)n(CH2)p (wherein m, p = 1-3; n = 0-1; m + n + p = 3-5; R4 = H, alkyl); R3 = alkyl, alkenyl, aryl, etc.], useful in the treatment of diseases caused by and/or associated with an altered protein kinase activity such as **cancer**, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases and neurodegenerative disorders (no data given), were prepared Thus, amidation of 2-amino-3-carbamoyl-4,5,6,7-tetrahydrobenzo[b]thiophene with phenylacetic acid afforded I [R1R2 = (CH2)4; R3 = CH2Ph].

IT **383380-39-0P 383380-41-4P 383380-43-6P**
383381-13-3P 383381-14-4P 383381-60-0P
383381-88-2P 383381-90-6P 383381-91-7P
383381-92-8P 383381-93-9P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiophene-3-carboxamides as kinase inhibitors)

IT **383380-39-0P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiophene-3-carboxamides as kinase inhibitors)

RN 383380-39-0 HCAPLUS

CN 3-Thiophenecarboxamide, 2-[[3-(2-hydroxyphenyl)-1-oxo-2-propenyl]amino]-5-(phenylmethyl)- (9CI) (CA INDEX NAME)



L104 ANSWER 34 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:763003 HCAPLUS

DN 135:304055

TI Preparation of **antitumoral** ecteinascidin derivatives

IN Flores, Maria; Francesch, Andres; Gallego, Pilar; Chicharro, Jose Luis; Zarzuelo, Maria; Fernandez, Carolina; Manzanares, Ignacio

PA Pharma Mar, S.A., Spain; Ruffles, Graham Keith

SO PCT Int. Appl., 120 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001077115	A1	20011018	WO 2001-GB1667	20010412 <--
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	WO 2000069862	A3	20010322		
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	AU 2001046729	A5	20011023	AU 2001-46729	20010412 <--
	AU 784249	B2	20060223		
	EP 1280809	A1	20030205	EP 2001-919669	20010412 <--
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	BR 2001010024	A	20030218	BR 2001-10024	20010412 <--
	JP 2003530402	T2	20031014	JP 2001-575588	20010412 <--
	NZ 521550	A	20041029	NZ 2001-521550	20010412 <--
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	ZA 2002007850	A	20040203	ZA 2002-7850	20020930 <--
	NO 2002004906	A	20021127	NO 2002-4906	20021011 <--
	BG 107220	A	20030530	BG 2002-107220	20021024 <--
	HK 1049005	A1	20060127	HK 2003-101292	20030220 <--
	US 2003216397	A1	20031120	US 2003-240963	20030319 <--
PRAI	GB 2000-9043	A	20000412 <--		
	WO 2000-GB1852	W	20000515 <--		
	GB 2000-22644	A	20000914 <--		
	GB 1999-11345	A	19990514 <--		
	GB 1999-18178	A	19990802 <--		
	GB 1999-23632	A	19991006 <--		
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OS MARPAT 135:304055
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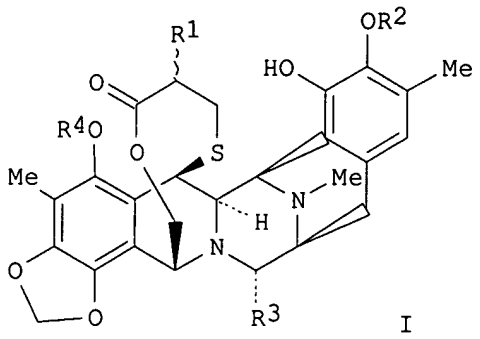

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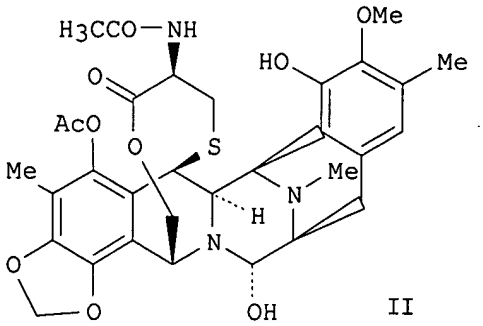


II

AB Bridged ecteinascidin derivs., e.g. of formula I [R1 = (substituted) OH, (substituted) NH2; R2 = H, alkyl; R3 = (substituted) OH, CN, oxo, H; R4 = H, acyl, alkyl, aryl, etc.], are prepared for use in the treatment of **tumors**. Thus, II was prepared and showed cytotoxic activity against P-388, A-549, HT-29, MEL-28 and DU-145 cell lines.

IT **366020-84-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of ecteinascidin derivs. as **antitumor** agents)

IT **366021-07-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of ecteinascidin derivs. as **antitumor** agents)

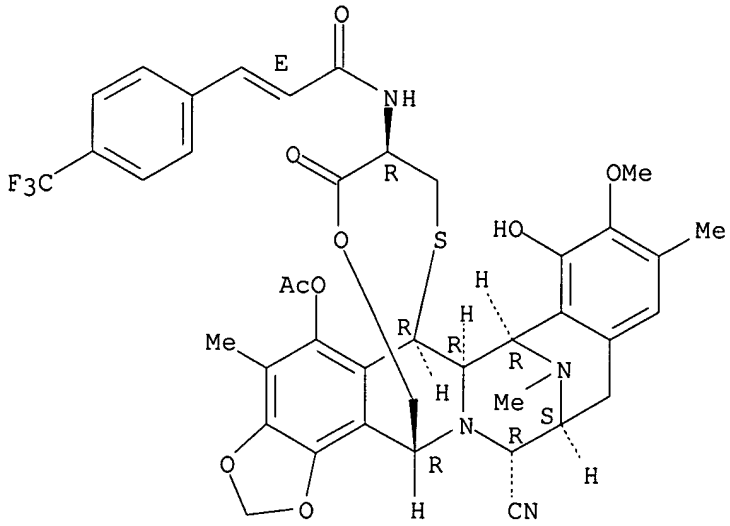
IT **366021-51-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of ecteinascidin derivs. as **antitumor** agents)

IT **366020-84-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of ecteinascidin derivs. as **antitumor** agents)

RN 366020-84-0 HCAPLUS

CN 2-Propenamide, N-[(6R,6aR,7R,13S,14R,16R,20R)-5-(acetyloxy)-14-cyano-6,6a,7,13,14,16-hexahydro-8-hydroxy-9-methoxy-4,10,23-trimethyl-19-oxo-6,16-(epithiopropanoxymethano)-7,13-imino-12H-1,3-dioxolo[7,8]isoquino[3,2-b][3]benzazocin-20-yl]-3-[4-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



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Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Corey, E	1998			US 5721362 A	HCAPLUS
Corey, E	1996	118	9202	J AM CHEM SOC	HCAPLUS
Fukuyama	1990	112	3713	J AM CHEM SOC	
Lown, J	1982	21		BIOCHEMISTRY	HCAPLUS
Ryuichi, S	1996	118	9017	J AM CHEM SOC	
Thoru, F	1982	104	4957	J AM CHEM SOC	

L104 ANSWER 35 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:617809 HCAPLUS
DN 135:190391
TI **Cancer** remedy comprising anthranilic acid derivative as active ingredient
IN Tsuchiya, Naoki; Takeyasu, Takumi; Kawamura, Takashi; Yamori, Takao; Tsuruo, Takashi
PA Teijin Limited, Japan
SO PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001060354	A1	20010823	WO 2001-JP1090	20010215 <--
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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AU 2001034089	A5	20010827	AU 2001-34089	20010215 <--

EP 1256341	A1	20021113	EP 2001-906131	20010215 <--	
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US 2005027008	A1	20050203	US 2004-923875	20040824 <--	
PRAI JP 2000-36386	A	20000215 <--			
WO 2001-JP1090	W	20010215 <--			
US 2002-203288	A3	20020808 <--			

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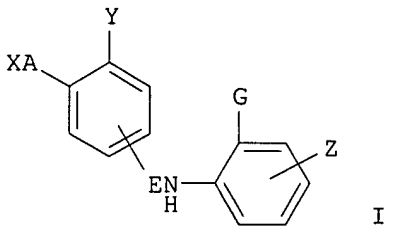

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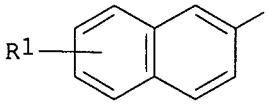

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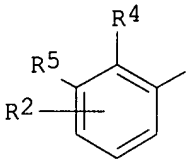


III

AB A **cancer** remedy containing a compound represented by the following formula (I) as the active ingredient. In the formula I, X represents a group represented by either of the following formulas (II) and (III). [R1 and R2 each represents hydrogen, hydroxy, trihalomethyl, C1-12 alkoxy or alkylthio, (substituted) C7-11 aralkyloxy, or (substituted) C3-10 alkenyloxy; R4 and R5 represents hydrogen, halogeno, C1-4 alkyl, or C1-4 alkoxy; A represents -O-, -S-, -S(=O)-, -S(=O)2-, -CH2-, -OCH2-, -SCH2-, -C(=O)-, or -CH(OR6)-; Y represents hydrogen, halogeno, nitro, nitrile, amino, -COOR7, -NHCOR8, or -NHSO2R9; E represents -C(=O)-, -CR10R11C(=O)-, CH2CH2C(=O)-, or -CH=CHC(=O)-; G represents hydrogen, hydroxy, -SO2NH2, -COOR3, -CN, or tetrazol-5-yl; and Z represents hydrogen, halogeno, nitro, or Me.].

IT **174567-75-0P 174567-76-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(**cancer** remedy comprising anthranilic acid derivative as active ingredient)

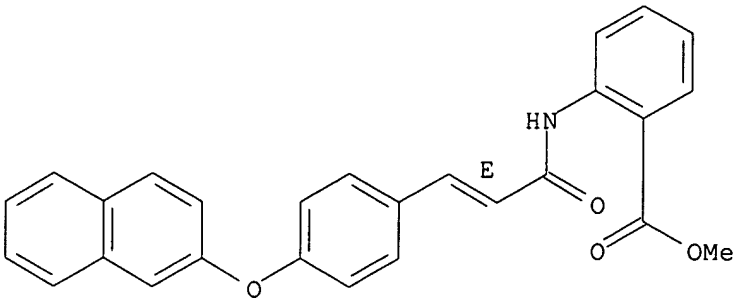
IT **174567-75-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(**cancer** remedy comprising anthranilic acid derivative as active ingredient)

RN 174567-75-0 HCAPLUS

CN Benzoic acid, 2-[[(2E)-3-[4-(2-naphthalenyloxy)phenyl]-1-oxo-2-

propenyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
American Chemical Socie				Database CAPLUS on S	
American Chemical Socie				Database CAPLUS on S	
American Chemical Socie				Database CAPLUS on S	
Teijin Limited				US 5808144 A	HCAPLUS
Teijin Limited				US 5945450 A	HCAPLUS
Teijin Limited				EP 763523 A1	HCAPLUS
Teijin Limited				EP 806412 A1	HCAPLUS
Teijin Limited	1995			WO 9532943 A1	HCAPLUS
Teijin Limited	1997			WO 9719910 A1	HCAPLUS
Teijin Limited	2000			WO 0005198 A1	HCAPLUS

L104 ANSWER 36 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:545674 HCAPLUS
DN 135:137516
TI Synthesis of heteroarylbenzamides and analogs used for inhibiting protein kinases
IN Bender, Steven Lee; Bhumralkar, Dilip; Collins, Michael Raymond; Cripps, Stephan James; Deal, Judith Gail; Nambu, Mitchell David; Palmer, Cynthia Louise; Peng, Zhengwei; Varney, Michael David; Jia, Lei
PA Agouron Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 237 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001053274	A1	20010726	WO 2001-US1723	20010119 <--
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2394703	AA	20010726	CA 2001-2394703	20010119 <--
US 2002103203	A1	20020801	US 2001-764306	20010119 <--

	US 6635641	B2	20031021		
	EP 1252146	A1	20021030	EP 2001-906592	20010119 <--
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	JP 2003529558	T2	20031007	JP 2001-553276	20010119 <--
	US 2004092747	A1	20040513	US 2003-621979	20030717 <--
PRAI	US 2000-177059P	P	20000121 <--		
	US 2001-764306	A3	20010119 <--		
	WO 2001-US1723	W	20010119 <--		

OS MARPAT 135:137516
GI

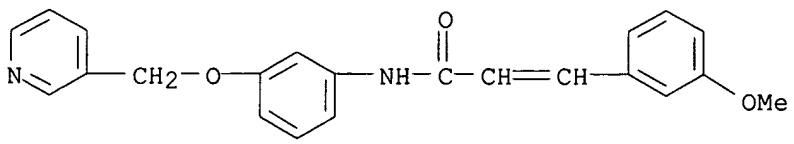
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Z = CH, NH; Q = moiety such that ring A is (un)substituted mono- or bicyclic heteroaryl which has at least 2 carbon atoms in the heteroaryl ring system; X = CH2, O, S, NH; Y = CH2, O, S, provided at least one of X and Y = CH2 or X and Y form a cyclopropyl ring; R2-3 = H, Me, halo, CF3, CN; R4 = CONHR5, NHCOR6; where R5 = (un)substituted aryl, heteroaryl, cycloalkyl, etc.; R6 = (un)substituted aryl, heteroaryl, cycloalkyl, etc] are prepared Examples include synthetic procedures for over 150 compds., 11 biol. assays and 3 sample formulations. For instance, 3-mercaptobenzoic acid was treated with α-chloro-N-methoxy-N-methylacetamide followed by carbodiimide coupling to 2-methyl-6-aminoquinoline to give II. II was converted to a β-thiono-ketone with thioacetanilide/n-BuLi followed by treatment with hydrazine to give pyrazole III. III gave 85% inhibition of an lck protein tyrosine kinase at 5 μM and had Ki = 2.21 nM for VEGF-R2Δ50. Treatment of **cancer** as well as other disease states associated with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis are claimed uses of the invention.

IT **351321-13-6P 351321-83-0P 351322-64-0P 351322-67-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of heteroarylbenzamides used for inhibiting protein kinases)

IT **351321-13-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of heteroarylbenzamides used for inhibiting protein kinases)

RN 351321-13-6 HCAPLUS
CN 2-Propenamide, 3-(3-methoxyphenyl)-N-[3-(3-pyridinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Agfa Gevaert NV	1996			EP 0731385 A	HCAPLUS
Becker, R	1985			US 4500340 A	HCAPLUS
Boehringer Ingelheim Ph	1997			EP 0767172 A	HCAPLUS
Ciccarone, T	1996			WO 9630015 A	HCAPLUS
Gaster, L	1996			WO 9623783 A	HCAPLUS
Nielsen, O	1989			US 4826987 A	HCAPLUS
Rosowsky	1988	31	763	J Med Chem	HCAPLUS

L104 ANSWER 37 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:521913 HCAPLUS
DN 135:107323
TI Preparation of aminothiazole inhibitors of cyclin dependent kinases
IN Kim, Kyoung S.; Kimball, S. David; Cai, Zhen-wei; Rawlins, David B.; Misra, Raj N.; Poss, Michael A.; Webster, Kevin R.; Hunt, John T.; Han, Wen-ching
PA Bristol-Myers Squibb Co., USA
SO U.S., 164 pp., Cont.-in-part of U.S. 6,040,321.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6262096	B1	20010717	US 1999-464511	19991215 <--
	US 6040321	A	20000321	US 1998-176239	19981021 <--
	US 6214852	B1	20010410	US 2000-616629	20000726 <--
	US 6515004	B1	20030204	US 2000-727957	20001201 <--
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	WO 2001044217	A1	20010621	WO 2000-US33037	20001206 <--
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    JP 2003525872          T2    20030902    JP 2001-544731         20001207 <--
    NZ 519120              A     20040326    NZ 2000-519120         20001207 <--
    AU 774381              B2    20040624    AU 2001-19506          20001207 <--
    AT 289306              E     20050315    AT 2000-990204         20001207 <--
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    HK 1049661             A1    20051007    HK 2003-100935         20030207 <--
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    US 2004063767          A1    20040401    US 2003-639272         20030812 <--
    US 6897321             B2    20050524
PRAI US 1997-65195P        P     19971112  <--
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    US 1999-464511         A2    19991215  <--
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    US 2000-616629         A     20000726  <--
    WO 2000-US33037        W     20001206  <--
    WO 2000-US33113        W     20001207  <--
    WO 2000-US33501        W     20001207  <--
    US 2000-746059         A3    20001222  <--
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OS  MARPAT 135:107323
GI
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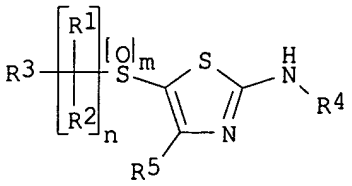

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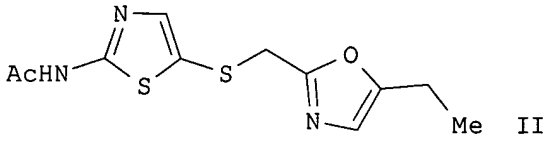


II

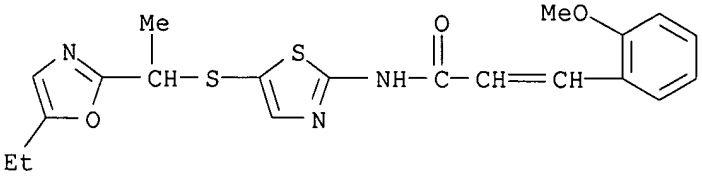
AB The title compds. I [R1, R2 = H, F, alkyl; R3 = aryl, heteroaryl; R4 = alkyl, cycloalkyl, aryl, etc.; R5 = H, alkyl; m = 0-2; n = 1-3] were prepared I are protein kinase inhibitors and are useful in the treatment and prevention of proliferative diseases, for example **cancer**, inflammation and arthritis. E.g., a multi-step synthesis of N-[5-[[(5-ethyl-2-oxazolyl)methyl]thio]-2-thiazolyl]acetamide II which showed IC50 of < 50 μM against cdc2/cyclin B1 kinase, against cdk2/cyclin E kinase, and against cdk4/cyclin D1 kinase, was given.

IT **224438-35-1P 224438-37-3P 224438-93-1P 224438-97-5P 224439-70-7P 224439-72-9P 224440-57-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminothiazole inhibitors of cyclin dependent kinases)

IT **224438-35-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminothiazole inhibitors of cyclin dependent kinases)

RN 224438-35-1 HCAPLUS

CN 2-Propenamide, N-[5-[[1-(5-ethyl-2-oxazolyl)ethyl]thio]-2-thiazolyl]-3-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Anon	1989			EP 0082498 B1	HCAPLUS
Anon	1994			EP 0625307 A1	HCAPLUS
Anon	1995			WO 9524403	HCAPLUS
Anon	1996			EP 0412404 B1	HCAPLUS
Anon	1996			WO 9617850	HCAPLUS

Anon	1996			WO 9630370	HCAPLUS
Anon	1997			WO 9729111	HCAPLUS
Anon	1999			WO 9924416	HCAPLUS
Anon	1949	LXXI	4007	J Am Chem Soc	
Baddi, M	1996	35B	233	Indian J Chem	HCAPLUS
Behringer	1961	650	179	Ann Chem	HCAPLUS
Bellavita	1951	41	194	Ann Chim (Rome)	HCAPLUS
Ogino, T	1998	8	75	Bioorg & Med Chem Le	HCAPLUS
Tsuji, K	1998	8	2473	Bioorg & Med Chem Le	HCAPLUS

L104 ANSWER 38 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:396861 HCAPLUS
DN 135:5455
TI Preparation of hydroxamic acids as inhibitors of histone deacetylase
IN Delorme, Daniel; Ruel, Rejean; Lavoie, Rico; Thibault, Carl; Abou-khalil, Elie
PA Methylgene, Inc., Can.
SO PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001038322	A1	20010531	WO 2000-IB1881	20001122 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2391952	AA	20010531	CA 2000-2391952	20001122 <--
	EP 1233958	A1	20020828	EP 2000-981535	20001122 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 6541661	B1	20030401	US 2000-718265	20001122 <--
	JP 2003514904	T2	20030422	JP 2001-540085	20001122 <--
	AU 783504	B2	20051103	AU 2001-18768	20001122 <--
	AU 2006200456	A1	20060302	AU 2006-200456	20060202 <--
PRAI	US 1999-167035P	P	19991123	<--	
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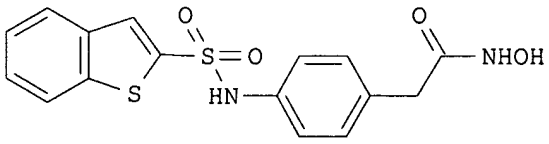
	WO 2000-IB1881	W	20001122	<--	

OS MARPAT 135:5455
GI



I

AB The title compds. CyL1ArY1CONHZ [Cy = (un)substituted cycloalkyl, aryl, heteroaryl, etc.; L1 = (CH2)mW (wherein m = 0-4; W = CONH, SO2NH, NHCO, NHSO2, NHCONH); Ar = (un)substituted arylene which may be fused to an

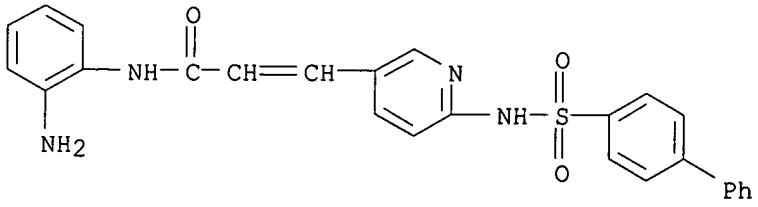
aryl, heteroaryl, etc.; Y1 = a bond, alkylene; Z = anilinyl, pyridyl, thiadiazolyl, OM (M = H, a pharmaceutically acceptable cation)], useful for inhibiting histone deacetylase enzymic activity, were prepared E.g., a multi-step synthesis of the title compound I which showed IC50 of 7 μM against histone deacetylase in nuclear exts. from H446 cells (pooled HDACs), was given. The invention also provides compns. and methods for treating cell proliferative diseases and conditions.

IT **342372-32-1P 342372-53-6P 342372-64-9P**
342372-66-1P 342372-68-3P 342372-70-7P
342372-73-0P 342372-74-1P 342372-81-0P
342373-00-6P 342373-01-7P 342373-04-0P
342373-05-1P 342373-06-2P 342373-07-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxamic acids as inhibitors of histone deacetylase)

IT **342372-32-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxamic acids as inhibitors of histone deacetylase)

RN 342372-32-1 HCAPLUS

CN 2-Propenamide, N-(2-aminophenyl)-3-[6-[([1,1'-biphenyl]-4-ylsulfonyl)amino]-3-pyridinyl]- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Beilstein Informationss				DATABASE BEILSTEIN	
Beilsteininformationssy				DATABASE BEILSTEIN	
Beilsteininformationssy				DATABASE BEILSTEIN	
Beilsteininformationssy				DATABASE BEILSTEIN	
Belstein Informationssy				DATABASE BEILSTEIN	
Glick, R	1999				HCAPLUS
Glick, R	1999	59	4392	CANCER RES	HCAPLUS
Hokuriku Pharmaceutical	1979			JP 07936229 A	HCAPLUS
Kim, Y	1999				HCAPLUS
Kim, Y	1999	18	2461	ONCOGENE	HCAPLUS
Manfred, J	1999	42	4669	J MED CHEM	
Mitsui Chem Inc				JP 11302173	HCAPLUS
Mitsui Chem Inc	1999			JP 11302173 A	HCAPLUS
Queensland Inst Med Res	1998			WO 9855449 A	HCAPLUS
Univ Bruxelles	1998			EP 0827742 A	HCAPLUS

L104 ANSWER 39 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:380546 HCAPLUS

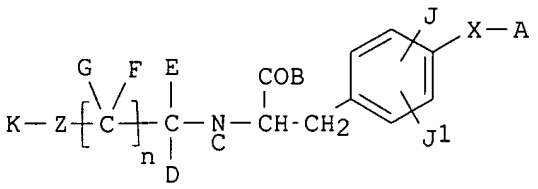
DN 134:367194

TI Preparation of novel phenylalanine derivatives as α4-integrin inhibitors

IN Tanaka, Yasuhiro; Yoshimura, Toshihiko; Izawa, Hiroyuki; Ejima, Chieko; Kojima, Mitsuhiko; Atake, Yuko; Nakanishi, Eiji; Suzuki, Nobuyasu; Makino, Shingo; Suzuki, Manabu; Murata, Masahiro
PA Ajinomoto Co., Inc., Japan
SO PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001036376	A1	20010525	WO 2000-JP8152	20001120 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 2001014165	A5	20010530	AU 2001-14165	20001120 <--
	EP 1233013	A1	20020821	EP 2000-976347	20001120 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2003149083	A1	20030807	US 2002-150067	20020520 <--
	US 6855706	B2	20050215		
	US 2005070485	A1	20050331	US 2004-986829	20041115 <--
PRAI	JP 1999-328468	A	19991118 <--		
	JP 2000-197139	A	20000629 <--		
	WO 2000-JP8152	W	20001120 <--		
	US 2002-150067	A1	20020520 <--		

OS MARPAT 134:367194
GI



I

AB Phenylalanine derivs. represented by general formula (I) or pharmaceutically acceptable salts thereof [wherein X represents an interat. bond, O, OSO2, N-(un)substituted NH, NHCO, NHSO2, NHCONH, or NH(CS)NH, CO; Y and Z represent each CO, SO, or SO2; A represents a specific substituted Ph group or nitrogen-containing heterocycle such as aromatic-fused pyrimidinedione or pyrimidinone, 2,4- or 2,5-imidazolidinedione, or 5-imidazolone; C represents hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally containing heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl; D and E represent each lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally containing heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl, etc. or D and E may be bonded to each other

to form a ring optionally containing 1 or 2 O, N, or S in the ring; F and G represent each hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally containing heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl, etc. or F and G may be bonded to each other to form a ring; n is from 0 to 2; K represents OR7, NR7R8, NHNR7R8, SR7, or R7; R7 and R8 represents H, lower alkyl, etc.; and J and J' represent each hydrogen, halogeno, lower alkyl, lower alkoxy, or NO2] are prepared These derivs. and analogs thereof show an α4 integrin inhibitory activity and are usable as remedies for various diseases relating to α4 integrin, such as inflammatory diseases related to α4 integrin-dependent adhesion process, arthritis, inflammatory intestinal diseases, systemic lupus erythematosus, **multiple sclerosis**, Sjoegren syndrome, psoriasis, allergy, diabetes, cardiovascular diseases, **arteriosclerosis**, **restenosis**, **tumor** proliferation, **tumor** metastasis, or transplant rejection. Thus, O-(2,6-dichlorobenzyl)-L-tyrosine bound to Wang resin was allowed to react with diethylmalonic acid, HOAt, 2-dimethylaminoisopropyl chloride hydrochloride (DIC), and N-methyl-2-pyrrolidinone (NMP) at room temperature for 16 h, washed with DMF five times, and condensed with pyrroline using HOAt, DIC, and NMP, followed by oxidation with OsO4 in dioxane at room temperature for 16 and resin-cleavage in aqueous CF3CO2H to give N-[2-[(cis-2,4-dihydroxypyrrolidin-1-yl)carbonyl]-2-ethylbutanoyl]-O-(2,6-dichlorobenzyl)-L-tyrosine (II). II and N-[2-[(pyrrolidin-1-yl)carbonyl]-2-ethylbutanoyl]-4-(2,6-dichlorobenzoylamino)-L-phenylalanine inhibited the binding of human recombinant VCAM-1 to human B lymphoma cell line expressing integrinα4β7 with IC50 of ≤0.02 μmol/L.

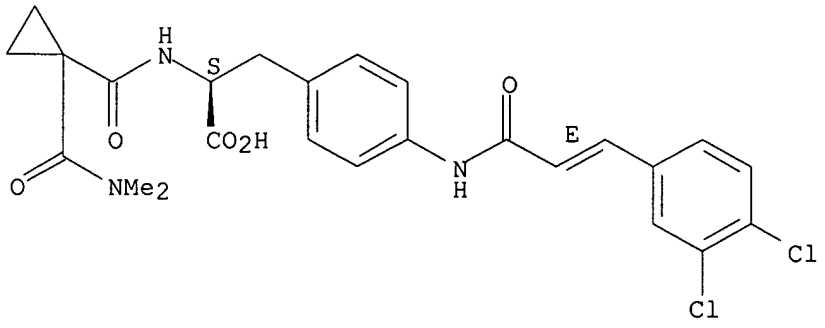
IT **340716-80-5P 340716-81-6P 340716-82-7P 340716-83-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel phenylalanine derivs. as α4-integrin inhibitors)

IT **340716-80-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel phenylalanine derivs. as α4-integrin inhibitors)

RN 340716-80-5 HCAPLUS

CN L-Phenylalanine, 4-[[(2E)-3-(3,4-dichlorophenyl)-1-oxo-2-propenyl]amino]-N-[[1-[(dimethylamino)carbonyl]cyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
F Hoffmann-La Roche Ag				EP 1005446 A1	HCAPLUS
F Hoffmann-La Roche Ag	1999			WO 9910313 A1	HCAPLUS
Merck & Co Inc	2001			WO 0112183 A1	HCAPLUS

L104 ANSWER 40 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:265421 HCAPLUS
DN 134:280844
TI Preparation of imidazoisoquinolinones as inhibitors of tyrosine kinases
IN Snow, Roger John; Cardozo, Mario Gustavo; Goldberg, Daniel; Hammach, Abdelhakim; Morwick, Tina; Moss, Neil; Patel, Usha R.; Prokopowicz, Anthony S., III; Takahashi, Hidenori; Tschantz, Matt Aaron; Wang, Xiao-jun
PA Boehringer Ingelheim Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001025238	A2	20010412	WO 2000-US27444	20001005 <--
	WO 2001025238	A3	20011025		
	W: CA, JP, MX				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2384378	AA	20010412	CA 2000-2384378	20001005 <--
	EP 1222187	A2	20020717	EP 2000-968713	20001005 <--
	EP 1222187	B1	20040922		
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	JP 2003527328	T2	20030916	JP 2001-528182	20001005 <--
	AT 277044	E	20041015	AT 2000-968713	20001005 <--
	ES 2225231	T3	20050316	ES 2000-968713	20001005 <--
PRAI	US 1999-157922P	P	19991006 <--		
	WO 2000-US27444	W	20001005 <--		

OS MARPAT 134:280844
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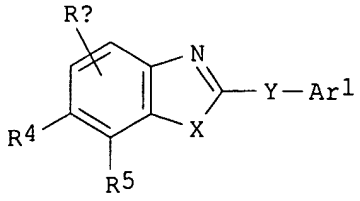

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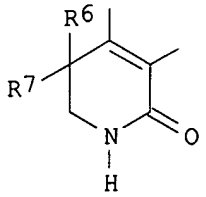

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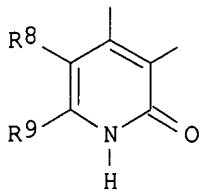

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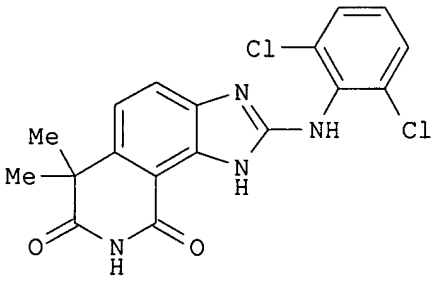


IV

AB The title compds. [I; Ar1 = (un)substituted (non)aromatic carbocyclyl, heteroaryl, heterocyclyl; X = NH, N(alkyl), O, etc.; Y = NR15, S, O; Ra = H, alkyl, alkenyl, etc.; R4 and R5 together with the atoms to which they are attached = II, III (wherein R6 = alkyl, H; R7 = alkyl, H; R8 = H, alkyl, etc.; R9 = H, CN, etc.)], useful as inhibitors of certain protein tyrosine kinases and are thus useful for treating diseases associated with such kinases, for example, diseases resulting from inappropriate cell proliferation, which include autoimmune diseases, chronic inflammatory diseases, allergic diseases, transplant rejection and **cancer**, were prepared E.g., a multi-step synthesis of the imidazoisoquinolinedione IV was given. All exemplified compds. I were evaluated in the tyrosine kinase assay using a kinase such a p56lck and were found to have IC50's less than 10 μM.

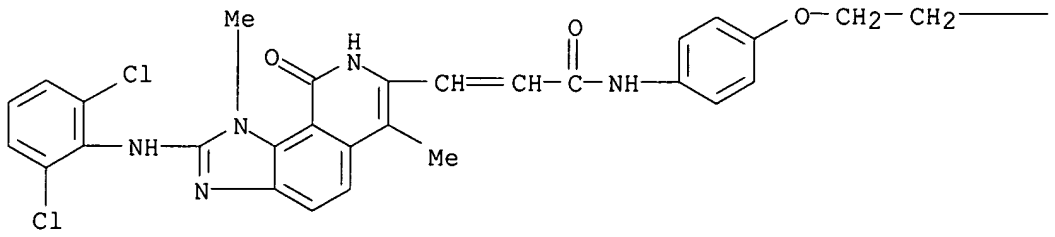
IT **333456-02-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazoisoquinolinones as inhibitors of tyrosine kinases)

IT **333456-02-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazoisoquinolinones as inhibitors of tyrosine kinases)

RN 333456-02-3 HCAPLUS

CN 2-Propenamide, 3-[2-[(2,6-dichlorophenyl)amino]-8,9-dihydro-1,6-dimethyl-9-oxo-1H-imidazo[4,5-h]isoquinolin-7-yl]-N-[4-[2-(diethylamino)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

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L104 ANSWER 41 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:31473 HCAPLUS
DN 134:100864
TI Indazole compounds and pharmaceutical compositions for inhibiting protein kinases, and methods for their use
IN Kania, Robert Steven; Bender, Steven Lee; Borchardt, Allen J.; Braganza, John F.; Cripps, Stephan James; Hua, Ye; Johnson, Michael David; Johnson, Theodore Otto, Jr.; Luu, Hiep The; Palmer, Cynthia Louise; Reich, Siegfried Heinz; Tempczyk-russell, Anna Maria; Teng, Min; Thomas, Christine; Varney, Michael David; Wallace, Michael Brennan
PA Agouron Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 439 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001002369	A2	20010111	WO 2000-US18263	20000630 <--
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	BR 2000012352	A	20020514	BR 2000-12352	20000630 <--
	EP 1218348	A2	20020703	EP 2000-943375	20000630 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003503481	T2	20030128	JP 2001-507809	20000630 <--
	NZ 516676	A	20030926	NZ 2000-516676	20000630 <--
	CN 1495171	A	20040512	CN 2003-154858	20000630 <--
	AU 777701	B2	20041028	AU 2000-57852	20000630 <--
	EP 1614683	A1	20060111	EP 2005-15902	20000630 <--
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	ZA 2001010061	A	20030206	ZA 2001-10061	20011206 <--
	BG 106380	A	20020930	BG 2002-106380	20020201 <--
	HK 1048813	A1	20041210	HK 2003-101000	20030212 <--
	US 2004171634	A1	20040902	US 2003-326755	20030213 <--
	US 6884890	B2	20050426		
PRAI	US 1999-142130P	P	19990702 <--		
	EP 2000-943375	A3	20000630 <--		
	US 2000-609335	B3	20000630 <--		
	WO 2000-US18263	W	20000630 <--		
	US 2001-983786	A3	20011025 <--		

OS MARPAT 134:100864

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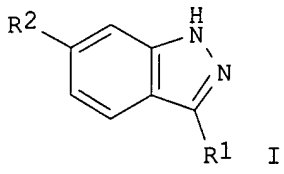


I

AB Indazole compds. I [R1 = substituted or unsubstituted aryl or heteroaryl, R3CH:CH, R3N:CH; R2 = substituted or unsubstituted aryl, heteroaryl, Y-X; R3 = substituted or unsubstituted alkyl alkenyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl; Y = O, S, C(:CH2), CO, SO, SO2, alkylidene, NH, N(C1-C8 alkyl); X = substituted or unsubstituted aryl, heteroaryl, NH(alkyl), NH(cycloalkyl), NH(heterocycloalkyl), NH(aryl), NH(heteroaryl), NH(alkoxy), NH(dialkylamide)] and their pharmaceutically acceptable prodrugs, active metabolites, and salts are disclosed. The compds. modulate and/or inhibit the activity of certain protein kinases. In particular, I and pharmaceutical compns. containing them are capable of mediating tyrosine kinase signal transduction, and thereby modulate and/or inhibit unwanted cell proliferation. The invention is also directed to the therapeutic or prophylactic use of pharmaceutical compns. containing such compds., and to methods of treating **cancer** and other disease states associated with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis, by administering effective amts. of such compds. E.g., I [R1 = (E)-3,4-(MeO)2C6H3CH:CH; R2 = 4-HO-3-MeOC6H3] (II) was prepared from 6-aminoindazole by diazotization and substitution with iodide, protection of the indazole nitrogen with 2,4,6-Me3C6H2SO2Cl, coupling of the regioisomeric mixture with 4-(methoxymethoxy)-3-methoxybenzeneboronic acid in the presence of dichlorobis(triphenylphosphine)palladium, and deprotection of the indazole moiety and iodination at the 3-position of the indazole. Treatment of the 3-indazolyl iodide with sec-butyllithium, phenyllithium, and DMF, regioselective protection of the indazole with 2,4,6-Me3C6H2SO2Cl, olefination with 3,4-dimethoxybenzyltriphenylphosphoni um bromide, deprotection of the indazole, deprotection of the methoxymethyl group, and equilibration of the double bond with iodine gave II. Biol. data on protein kinase inhibition, cell proliferation inhibition, neovascularization inhibition, and i.p. and oral bioavailability, are given.

IT **319464-53-4P 319464-54-5P 319464-55-6P**
319465-29-7P 319465-30-0P 319465-31-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of combinatorial libraries of aryl-substituted indazole derivs.

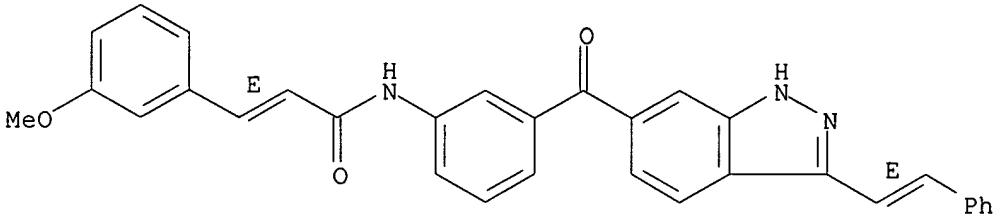
as modulators and inhibitors of protein kinases in the treatment of **tumor** growth, cellular proliferation, and angiogenesis)

IT **319464-53-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of combinatorial libraries of aryl-substituted indazole derivs. as modulators and inhibitors of protein kinases in the treatment of **tumor** growth, cellular proliferation, and angiogenesis)

RN 319464-53-4 HCAPLUS

CN 2-Propenamide, 3-(3-methoxyphenyl)-N-[3-[[3-[(1E)-2-phenylethenyl]-1H-indazol-6-yl]carbonyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L104 ANSWER 42 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2000:881130 HCAPLUS

DN 134:42124

TI Preparation of diaminothiazoles for inhibiting protein kinases

IN Chu, Shao Song; Alegria, Larry Andrew; Bender, Steven Lee; Benedict, Suzanne Pritchett; Borchardt, Allen J.; Kania, Robert Steve; Nambu, Mitchell David; Tempczyk-Russell, Anna Maria; Sarshar, Sepehr

PA Agouron Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 397 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000075120	A1	20001214	WO 2000-US15188	20000602 <--
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2371158	AA	20001214	CA 2000-2371158	20000602 <--
	EP 1181283	A1	20020227	EP 2000-942660	20000602 <--
	EP 1181283	B1	20050202		
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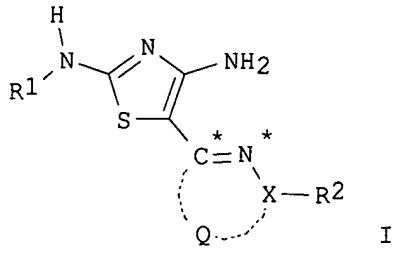
	BR 2000011585	A	20020319	BR 2000-11585	20000602 <--
	JP 2003501420	T2	20030114	JP 2001-501601	20000602 <--
	EE 200100659	A	20030217	EE 2001-659	20000602 <--
	AU 778071	B2	20041111	AU 2000-57254	20000602 <--

	AT 288424	E	20050215	AT 2000-942660	20000602 <--
	ES 2234628	T3	20050701	ES 2000-942660	20000602 <--
	US 2002025976	A1	20020228	US 2001-783584	20010215 <--
	US 6620828	B2	20030916		
	ZA 2001008291	A	20021009	ZA 2001-8291	20011009 <--
	NO 2001005045	A	20020204	NO 2001-5045	20011017 <--
	BG 106276	A	20021031	BG 2002-106276	20020103 <--
PRAI	US 1999-137810P	P	19990604 <--		
	US 2000-587530	B1	20000602 <--		
	WO 2000-US15188	W	20000602 <--		
OS	MARPAT 134:42124				
GI					



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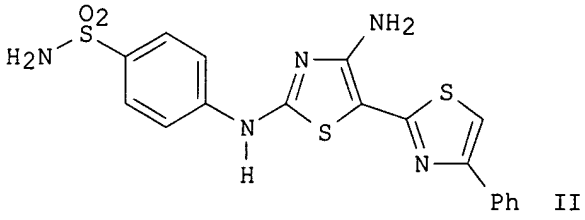


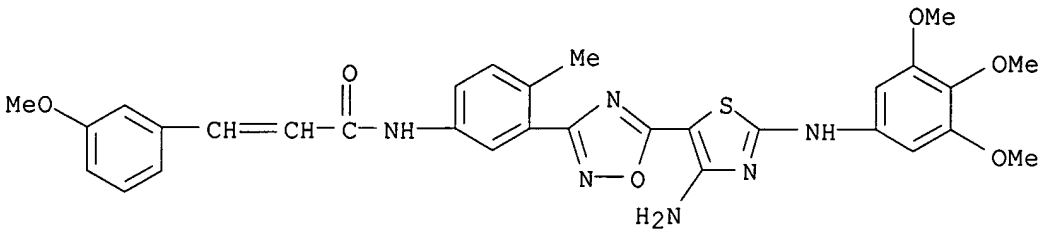
II

AB The title compds. [I; R1 = H, (un)substituted alkyl, cycloalkyl, etc.; R2 = OH, halo, CN, etc.; X = C, N; Q = a divalent radical having 2 or 3 atoms selected from C, N, O, S, CR5, NR5 (wherein R5 = OH, halo, CN, etc.) which together with C* and N* form a 5-6 membered (non)aromatic ring] which modulate and/or inhibit the activity of certain protein kinases (biol. data were given), and are useful in treating **cancer** as well as other disease states associated with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis, were prepared and formulated. E.g., a multi-step synthesis of diaminothiazole II was given. The compds. I and pharmaceutical compns. containing them are capable of mediating tyrosine kinase signal transduction in order to modulate and/or inhibit unwanted cell proliferation.

IT **312771-91-8 312772-57-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(preparation of diaminothiazoles for inhibiting protein kinases)

IT **312771-91-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(preparation of diaminothiazoles for inhibiting protein kinases)

RN 312771-91-8 HCAPLUS
CN 2-Propenamide, N-[3-[5-[4-amino-2-[(3,4,5-trimethoxyphenyl)amino]-5-thiazolyl]-1,2,4-oxadiazol-3-yl]-4-methylphenyl]-3-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



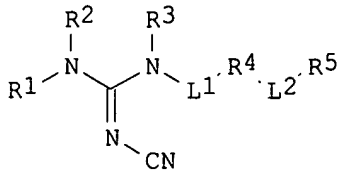
RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Agouron Pharmaceuticals	1999			WO 9921845 A	HCAPLUS
Bristol-Myers Squibb Co	1999			WO 9924416 A	HCAPLUS
Bristol-Myers Squibb Co	1999			WO 9965884 A	HCAPLUS
Rhone-Poulenc Rorer Int	1992			WO 9220642 A	HCAPLUS

L104 ANSWER 43 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:742076 HCAPLUS
DN 133:309847
TI Preparation of cyanoguanidines as **antitumor** agents
IN Huang, Tai-Nang; Liang, Guiquing; Liu, Weimin; Przewloka, Teresa; Shen, Ming; Zhang, Shijie
PA Shionogi Bioresearch Corp., USA
SO PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000061561	A1	20001019	WO 2000-US9381	20000407 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6255323	B1	20010703	US 2000-545430	20000407 <--
PRAI	US 1999-128665P	P	19990409	<--	
	US 1999-148429P	P	19990811	<--	
	US 1999-151808P	P	19990831	<--	

OS MARPAT 133:309847
GI



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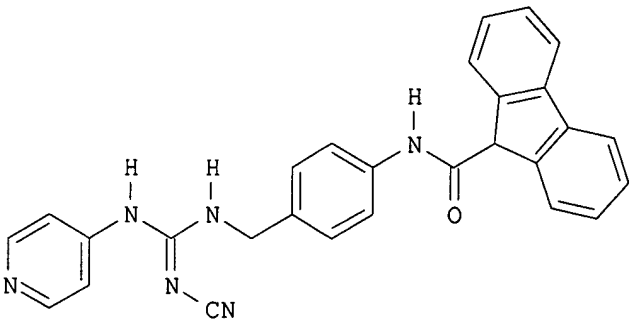


II

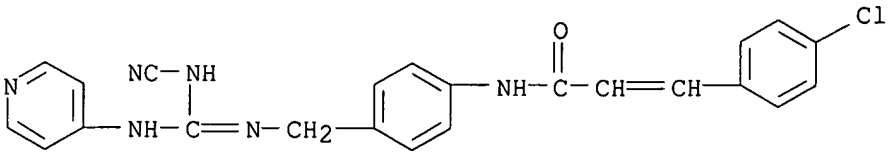
AB The title compds. [I; R1 = (un)substituted 3-pyridyl, 4-pyridyl, quinolinyl; R2, R3 = H, alkyl, alkoxy, etc.; L1 = X1Y1X2 (wherein X1, X2 = a bond, (un)substituted alkylene, alkenylene, etc.; Y1 = O, S, SO, etc.); R4 = (un)substituted aryl; L2 = X3Y2X4 (X3, X4 = a bond, (un)substituted alkylene, alkenylene, etc.; Y2 = O, S, SO, etc.); R5 = (un)substituted cycloalkyl, heterocycloalkyl, cycloalkenyl, etc.; provided that each of Y1 and Y2 is not a bond simultaneously, and that when neither Y1 nor Y2 is a bond, at least one of X2, R4, and X3 is not a bond] which possess a high specificity for **tumor** cells, were prepared E.g., a 2-step synthesis of the cyanoguanidine II was given. Biol. data (in vitro and in vivo efficacy studies as well as acute toxicity studies) for compds. I were given.

IT **302329-75-5P**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyanoguanidines as **antitumor** agents)

IT **302329-75-5P**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyanoguanidines as **antitumor** agents)

RN 302329-75-5 HCAPLUS

CN 2-Propenamide, 3-(4-chlorophenyl)-N-[4-[[[(cyanoamino)(4-pyridinylamino)methylene]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Leo Pharmaceutical Prod	1994			WO 9406770 A1	HCAPLUS

L104 ANSWER 44 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:421161 HCAPLUS
DN 133:53708
TI Substituted heterocyclic acyl-tripeptides useful as thrombin receptor modulators
IN McComsey, David F.; Maryanoff, Bruce E.; Hawkins, Michael J.
PA Ortho-McNeil Pharmaceutical, Inc., USA
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000035942	A1	20000622	WO 1999-US27570	19991119 <--
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2355818	AA	20000622	CA 1999-2355818	19991119 <--
	EP 1140985	A1	20011010	EP 1999-961738	19991119 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9916811	A	20020115	BR 1999-16811	19991119 <--
	TR 200102502	T2	20020521	TR 2001-200102502	19991119 <--
	AU 771844	B2	20040401	AU 2000-18256	19991119 <--
	NO 2001002939	A	20010809	NO 2001-2939	20010614 <--
PRAI	US 1998-112313P	P	19981214 <--		
	US 1999-444327	A	19991119 <--		
	WO 1999-US27570	W	19991119 <--		

OS MARPAT 133:53708
AB Substituted heterocyclic acyl-tripeptides, useful as thrombin receptor modulators, are disclosed, as is their use in wound healing and preventing platelet aggregation. Pharmaceutical compns. comprising the substituted heterocyclic acyl-tripeptides of the invention, as well as methods of treating conditions mediated by the thrombin receptor, are also disclosed.
IT **231608-80-3 231608-81-4 231608-83-6**
231608-85-8 231608-86-9 231608-88-1
276671-57-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological

study); USES (Uses)
(heterocyclic acyl-tripeptide derivs. for thrombin receptor modulators)

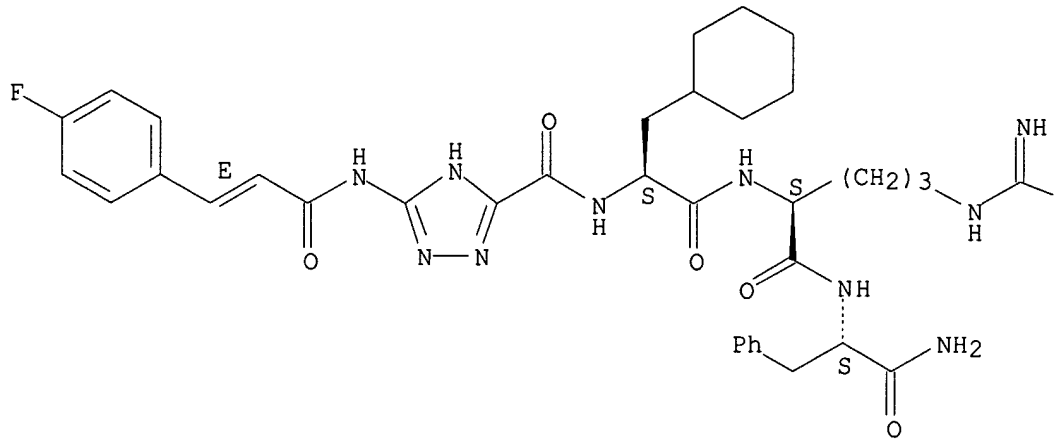
IT **231608-80-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(heterocyclic acyl-tripeptide derivs. for thrombin receptor modulators)

RN 231608-80-3 HCAPLUS

CN L-Phenylalaninamide, 3-cyclohexyl-N-[[5-[[(2E)-3-(4-fluorophenyl)-1-oxo-2-propenyl]amino]-1H-1,2,4-triazol-3-yl]carbonyl]-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Bernatowicz, E	1996	39	4879	JOURNAL OF MEDICINAL	
Hoekstra, W	1998	8	1649	BIOORGANIC & MEDICIN	HCAPLUS
McComsey, D	1999	9	1423	BIOORGANIC & MEDICIN	HCAPLUS
Ortho McNeil Pharm Inc	1999			WO 9942475 A	HCAPLUS

L104 ANSWER 45 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:401646 HCAPLUS

DN 133:43525
TI Preparation of diaryl and triaryl imidazole derivatives as selectin antagonists
IN Slee, Deborah Helen; Cheng, Jei-Fei; Jones, Todd Kevin; Mjalli, Adnan M. M.; Nguyen, Truc Ngoc; Raheja, Raj Kumar; Ripka, William Charles; Yu, Jinghua
PA Ontogen Corporation, USA
SO PCT Int. Appl., 311 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000033836	A1	20000615	WO 1999-US28692	19991203 <--
	W: AU, CA, JP				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRAI	US 1998-111025P	P	19981204 <--		
	US 1998-111026P	P	19981204 <--		

OS MARPAT 133:43525
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. (I) [wherein R1 = moiety containing a terminal carboxylic acid group such as phenoxy acetic acid; R2-R4 = H, hydrophobic moiety such as functionalized alkyl chain or aryl group, functionalized aryl group, (un)substituted heterocyclyl, etc.] were prepared by cycloaddn. of aldehydes and NH4OAc with (di)arylethanediones. For example, the dione II (preparation given) was amidated with 3-phenylpropylamine and then treated with 4-diethylaminobenzaldehyde and NH4OAc in AcOH to give III (44%). In a P-selectin ELISA assay and P-selectin and E-selectin cell adhesion assays, I inhibited P- and E-selectins with IC50 values ranging from 0.3 to 179.5 μM. I are indicated in the treatment of human diseases involving selectins (no data).

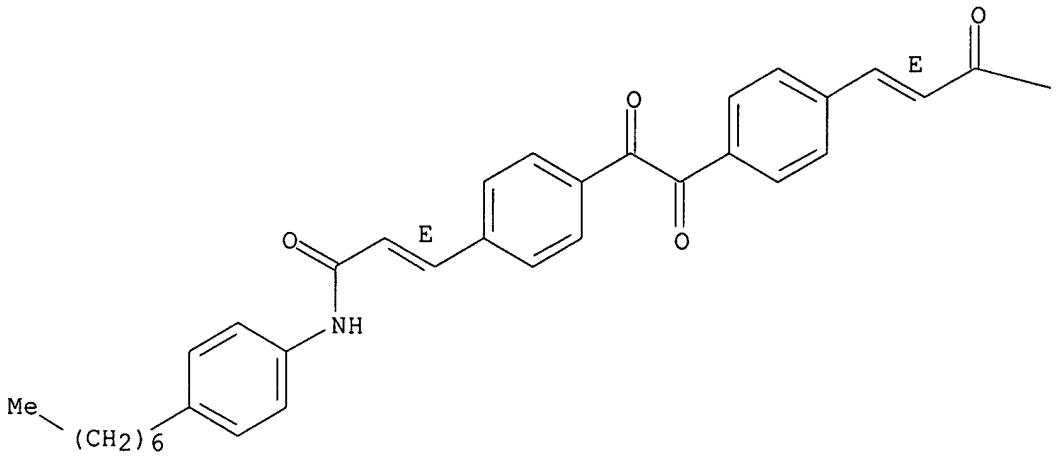
IT **274904-28-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diaryl and triaryl imidazole selectin antagonists by cycloaddn. of aldehydes and NH4OAc with (di)arylethanediones)

IT **274904-28-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diaryl and triaryl imidazole selectin antagonists by cycloaddn. of aldehydes and NH4OAc with (di)arylethanediones)

RN 274904-28-8 HCAPLUS
CN 2-Propenoic acid, 3-[4-[[4-[(1E)-3-[(4-heptylphenyl)amino]-3-oxo-1-propenyl]phenyl]oxoacetyl]phenyl]-, 1,1-dimethylethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

—OBu-t

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Mjalli	1998			US 5753687 A	HCAPLUS

L104 ANSWER 46 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:144899 HCAPLUS
DN 132:189658
TI Amino acid derivative and peptide anti-**cancer** compounds and methods
IN Stewart, John M.; Chan, Daniel C. F.; Gera, Lojos; York, Eunice; Bunn, Paul
PA USA
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000011022	A1	20000302	WO 1999-US19381	19990820 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6388054	B1	20020514	US 1999-378019	19990819 <--

	AU 2000015959	A1	20000314	AU 2000-15959	19990820 <--
	US 2002183252	A1	20021205	US 2001-35662	20011228 <--
	US 7071168	B2	20060704		
PRAI	US 1998-97210P	P	19980820 <--		
	US 1999-141169P	P	19990625 <--		
	US 1999-378019	A	19990819 <--		
	WO 1999-US19381	W	19990820 <--		

OS MARPAT 132:189658

AB The invention provides amino acid derivative and peptidic compds. useful to inhibit **tumor** growth and to induce apoptosis. In general, the anti-**cancer** agents (ACA) are described by the formula [ACA]n-X [X = linker group with 2-5 functional groups or is absent; n = 1; ACA as described in the invention (Markush included)].

IT **259882-97-8P 259883-00-6P**, M 148 **259883-02-8P**
259883-07-3P 259883-15-3P 259883-20-0P
259883-22-2P 259883-26-6P 259883-71-1P
259883-72-2P 259884-75-8P 259885-25-1P
259885-26-2P 259885-48-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptide and non-peptide anti-**cancer** compds. and methods)

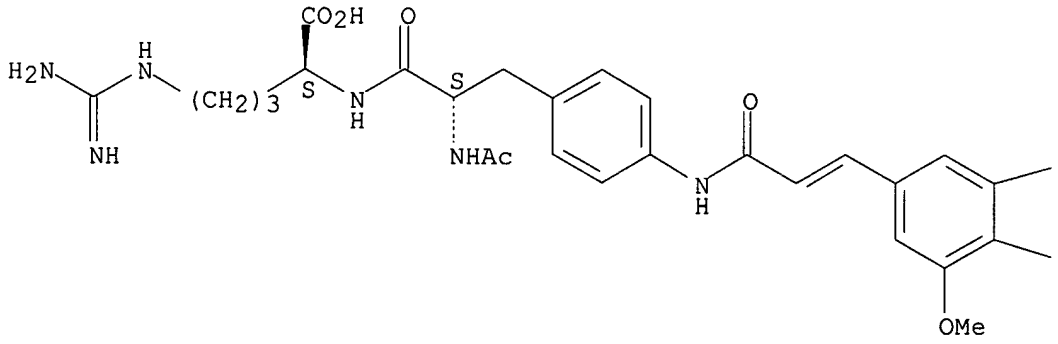
IT **259882-97-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptide and non-peptide anti-**cancer** compds. and methods)

RN 259882-97-8 HCAPLUS

CN L-Arginine, N-acetyl-4-[[3-(4-hydroxy-3,5-dimethoxyphenyl)-1-oxo-2-propenyl]amino]-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



PAGE 1-B

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Chan, D	1996	33	201	Immunopharmacology	HCAPLUS
Cheronis	1997			US 5635593 A	HCAPLUS
Corceth Inc	1997			WO 9709347 A1	HCAPLUS
Gera, L	1996			Peptides: Chemistry,	

L104 ANSWER 47 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:95892 HCAPLUS
DN 132:137278
TI Preparation of dibenzothiophenedicarboxylates and analogs as angiogenesis inhibitors
IN Salvati, Mark E.; Eudy, Nancy H.; Hallett, William A.; Powell, Dennis William
PA American Cyanamid Company, USA
SO U.S., 85 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6022307	A	20000208	US 1999-340353	19990628 <--
PRAI US 1998-112024	P	19980714 <--		

OS MARPAT 132:137278
AB RZNHCOR1 [R = Cl or R1CONH and Z = e.g., 2,8-dicarboxydibenzothiophene-3,7-diyl; R = R1CONH and Z = e.g., 2,8-disulfo-5,5-dioxodibenzothiophene-3,7-diyl; R1 = (hetero)aryl(vinyl), etc.] were prepared Thus, dibenzothiophene was converted in a multistep synthesis to Z(NHCOR1)2 [R1 = 2-benzo[b]thienyl, Z = 2,8-bis(sodiocarboxy)dibenzothiophene-3,7-diyl]. Data for biol. activity of title compds. were given.
IT **256936-37-5P 256936-39-7P 256936-40-0P**
256936-41-1P 256936-43-3P 256936-48-8P
256936-49-9P 256936-54-6P 256936-56-8P
256936-58-0P 256936-59-1P 256936-60-4P
256936-61-5P 256936-62-6P 256936-63-7P
256936-64-8P 256936-65-9P 256936-66-0P
256936-90-0P 256936-92-2P 256936-93-3P
256936-94-4P 256937-00-5P 256937-01-6P
256937-02-7P 256937-03-8P 256937-07-2P
256937-08-3P 256937-09-4P 256937-12-9P
256937-14-1P 256937-15-2P 256937-16-3P
256937-17-4P 256937-18-5P 256937-19-6P
256937-20-9P 256937-21-0P 256937-22-1P

256937-31-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dibenzothiophenedicarboxylates and analogs as angiogenesis inhibitors)

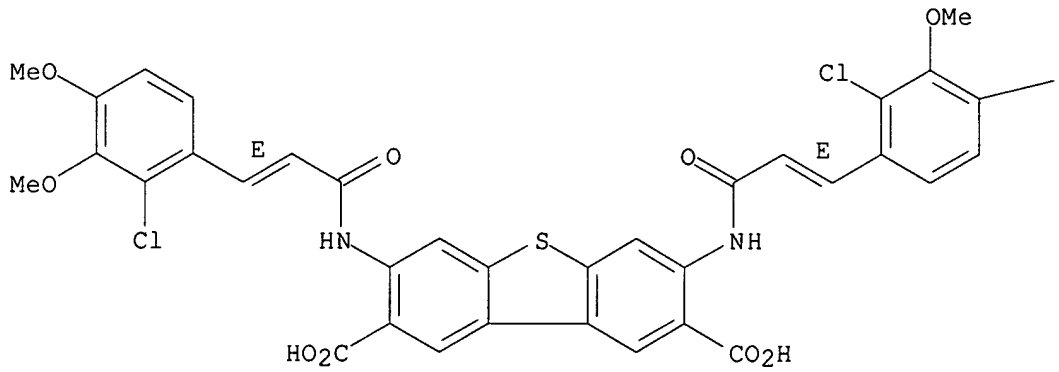
IT **256936-36-4P 256936-42-2P 256936-45-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dibenzothiophenedicarboxylates and analogs as angiogenesis inhibitors)

IT **256936-37-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dibenzothiophenedicarboxylates and analogs as angiogenesis inhibitors)

RN 256936-37-5 HCAPLUS
CN 2,8-Dibenzothiophenedicarboxylic acid, 3,7-bis[[(2E)-3-(2-chloro-3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]-, disodium salt (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



●2 Na

PAGE 1-B

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RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Aiello	1995		10457	Proc Natl Acad Sci	HCAPLUS
Albrecht	1976			US 3952014	HCAPLUS
Amano	1972			US 3705036	HCAPLUS
Anon	1958			CA 560574	

Anon	1963			GB 1045977	HCAPLUS
Bair	1991			US 5017600	HCAPLUS
Berger	1982			US 4334078	HCAPLUS
Bondesson	1976			US 3953601	HCAPLUS
Borgstrom	1996		4032	Cancer Res	MEDLINE
Campaigne	1969		517	J Het Chem, Aug	HCAPLUS
Colville-Nash	1997		457	Mol Med Today	
Duvernet, R	1978	100	2457	J Am Chem Soc	HCAPLUS
Folkman	1995		27	Nature Medicine	HCAPLUS
Forster	1965			US 3226247	HCAPLUS
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Hashmell	1981	103	289	J Am Chem Soc	
Holmgren	1995		149	Nature Medicine	HCAPLUS
Jackson	1997		457	FASEBJ	HCAPLUS
Jones	1960			US 2937089	HCAPLUS
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Kim	1995		841	Nature	
Landauer	1953		2224	J Chem Soc	HCAPLUS
Larock	1989		411	Comprehensive Organi	
Long	1952			US 2590632	HCAPLUS
Robert, K	1948	70	1748	J Am Chem Soc	
Robert, K	1952	74	1165	J Am Chem Soc	
Ryan, H	1918	12	2541	CA	
Ryan, H	1918	34B	85	Proc Roy Irish Acad	HCAPLUS
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Scalera	1951			US 2573652	HCAPLUS
Schlesinger	1951	73	2614	J Am Chem Soc	HCAPLUS
Seed	1996		1617	Exp Opin Invest Drug	HCAPLUS
Shiba	1972			US 3649288	HCAPLUS
Shiba	1972			US 3682640	HCAPLUS
Shiba	1973			US 3728125	HCAPLUS
Tamargo	1993	53	329	Cancer Res	HCAPLUS
Tilton	1997		2192	J Clin Invest	HCAPLUS
Toi	1995		193	Breast Cancer Res &	HCAPLUS
Tsang	1952			US 2620343	HCAPLUS
Wearn	1966			US 3257324	HCAPLUS
Wixon	1967			US 3346502	HCAPLUS
Yaun	1996		14765	Proc Natl Acad Sci	
Yuan	1999			US 5929246	HCAPLUS

L104 ANSWER 48 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:795806 HCAPLUS
DN 132:36033
TI Preparation of cinnamoyl distamycin analogs as **antitumor** agents
IN Cozzi, Paolo; Baraldi, Pier Giovanni; Beria, Italo; Caldarelli, Marina; Geroni, Maria Cristina; Pennella, Giulia; Romagnoli, Romeo
PA Pharmacia & Upjohn S.p.A., Italy
SO PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9964413	A1	19991216	WO 1999-EP3595	19990522 <--

W: JP, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

	EP 1084120	A1	20010321	EP 1999-926405	19990522 <--
	EP 1084120	B1	20040310		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002517494	T2	20020618	JP 2000-553422	19990522 <--
	AT 261441	E	20040315	AT 1999-926405	19990522 <--
	US 6596845	B1	20030722	US 2000-701557	20001204 <--
PRAI	GB 1998-12211	A	19980605 <--		
	WO 1999-EP3595	W	19990522 <--		

OS MARPAT 132:36033

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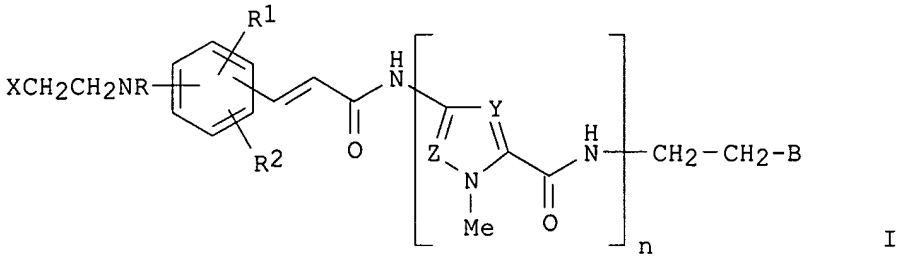

I

AB Cinnamoyl distamycin derivs. I [n = 2, 3, 4; R = alkyl, haloalkyl; R1, R2 = H, alkyl, fluoroalkyl, alkoxy; X = halo; Y, Z = N, CH (selected independently for each heterocyclic ring); B = 4,5-dihydro-2-imidazolyl, 1,4,5,6-tetrahydro-2-pyrimidinyl, -C(NH2):NCN, -C(NR4R5):NR3, -C(NH2):NOH, -NHC(NH2):NH, -CN, or -CONR6R7 (R3-R7 are H or alkyl)] or their pharmaceutically acceptable salts were prepared as **antitumor** agents. Thus, 3-[1-methyl-3-[1-methyl-3-[1-methyl-4-[4-N,N-bis(2-chloroethyl)aminocinnamoylamido]pyrrole-2-carboxamido]pyrazole-5-carboxamido]pyrazole-5-carboxamido]propionamidine was prepared by acylation of 3-[1-methyl-3-[1-methyl-3-[1-methyl-4-aminopyrrole-2-carboxamido]pyrazole-5-carboxamido]pyrazole-5-carboxamido]propionamidine dihydrochloride with 4-N,N'-bis(2-chloroethyl)aminocinnamic acid.

IT **252292-39-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cinnamoyl distamycin analogs as **antitumor** agents)

IT **252291-92-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of cinnamoyl distamycin analogs as **antitumor** agents)

IT **252291-93-3P 252291-94-4P 252291-95-5P**
252291-96-6P 252291-97-7P 252291-98-8P
252291-99-9P 252292-00-5P 252292-01-6P
252292-02-7P 252292-03-8P 252292-04-9P
252292-05-0P 252292-06-1P 252292-07-2P
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252292-20-9P 252292-21-0P 252292-22-1P
252292-23-2P 252292-24-3P 252292-25-4P
252292-26-5P 252292-27-6P 252292-28-7P

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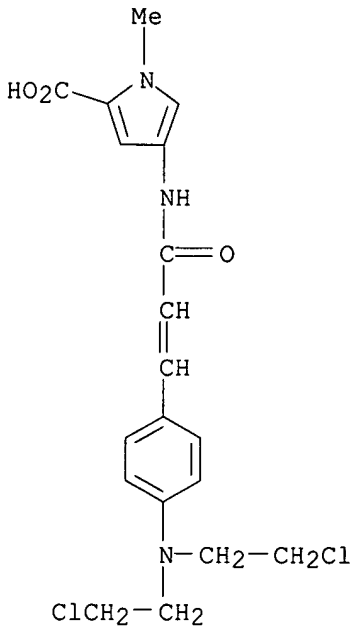
252292-38-9P 252292-62-9P
RL: SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cinnamoyl distamycin analogs as **antitumor** agents)

IT **252292-39-0P**
RL: RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; RACT (Reactant or reagent)
(preparation of cinnamoyl distamycin analogs as **antitumor** agents)

RN 252292-39-0 HCAPLUS
CN 1H-Pyrrole-2-carboxylic acid, 4-[[3-[4-[bis(2-chloroethyl)amino]phenyl]-1-oxo-2-propenyl]amino]-1-methyl- (9CI) (CA INDEX NAME)



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Cozzi, P	1997			WO 9743258 A	HCAPLUS
Cozzi, P	1997	7	2979	Bioorg Med Chem Lett	HCAPLUS
Cozzi, P	1997	7	2985	Bioorg Med Chem Lett	HCAPLUS

L104 ANSWER 49 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:325920 HCAPLUS
DN 130:352265
TI Preparation of aminothiazole inhibitors of cyclin dependent kinases
IN Kim, Kyoung S.; Kimball, S. David; Poss, Michael A.; Misra, Raj N.; Cai, Zhen-Wei; Rawlins, David B.; Webster, Kevin; Hunt, John T.; Han, Wen-Ching
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9924416	A1	19990520	WO 1998-US23197	19981102 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2309551	AA	19990520	CA 1998-2309551	19981102 <--
	CA 2309551	C	20060328		
	AU 9912955	A1	19990531	AU 1999-12955	19981102 <--
	AU 730607	B2	20010308		
	TR 200001344	T2	20000921	TR 2000-200001344	19981102 <--
	BR 9814124	A	20001003	BR 1998-14124	19981102 <--
	EP 1042307	A1	20001011	EP 1998-956431	19981102 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2001522842	T2	20011120	JP 2000-520430	19981102 <--
	NZ 503828	A	20030328	NZ 1998-503828	19981102 <--
	RU 2211839	C2	20030910	RU 2000-115305	19981102 <--
	IL 135589	A1	20040620	IL 1998-135589	19981102 <--
	TW 593292	B	20040621	TW 1998-87118625	19981109 <--
	ZA 9810332	A	20000511	ZA 1998-10332	19981111 <--
	NO 2000002153	A	20000511	NO 2000-2153	20000427 <--
	NO 316773	B1	20040503		
	MX 200004488	A	20001110	MX 2000-4488	20000509 <--
PRAI	US 1997-65195P	P	19971112 <--		
	WO 1998-US23197	W	19981102 <--		

OS MARPAT 130:352265

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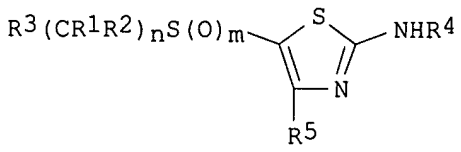


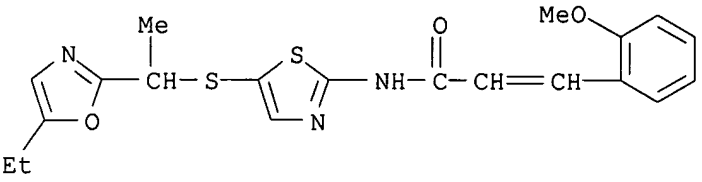
I

AB The title compds. I [R1, R2 = H, F, alkyl; R3 = aryl, heteroaryl; R4 = H, alkyl, cycloalkyl, aryl, etc.; R5 = H, alkyl; m = 0-2; n = 1-3] were prepared I are protein kinase inhibitors and are useful in the treatment and prevention of proliferative diseases, for example **cancer**, inflammation and arthritis (no data). E.g., N-[5-[[(5-ethyl-2-oxazolyl)methyl]thio]-2-thiazolyl]acetamide was prepared

IT **224438-35-1P 224438-37-3P 224438-93-1P 224438-97-5P 224439-70-7P 224439-72-9P 224440-57-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminothiazole inhibitors of cyclin dependent kinases)

IT **224438-35-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic**

use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminothiazole inhibitors of cyclin dependent kinases)
RN 224438-35-1 HCAPLUS
CN 2-Propenamide, N-[5-[[1-(5-ethyl-2-oxazolyl)ethyl]thio]-2-thiazolyl]-3-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Takaya	1981			US 4254260 A	HCAPLUS

L104 ANSWER 50 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:471465 HCAPLUS
DN 129:109102
TI Preparation of benzodiazepinone derivatives for treatment of cardiac arrhythmias and pharmaceutical composition containing them
IN Lynch, Joseph J., Jr.; Salata, Joseph J.
PA Merck & Co., Inc., USA
SO U.S., 68 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5776930	A	19980707	US 1997-881399	19970624 <--
PRAI US 1997-881399		19970624 <--		

OS MARPAT 129:109102
AB A method of preventing, treating, terminating and protecting against cardiac arrhythmias, such as atrial, supraventricular and ventricular ectopy, tachycardia, flutter or fibrillation, including atrial, supraventricular and ventricular arrhythmias resulting from myocardial ischemic injury in a patient in need thereof, comprising administration of a selective IKs antagonist and a beta-adrenergic receptor blocking agent, administered in combined therapy either simultaneously, sep. or sequentially is presented. Addnl., a pharmaceutical preparation comprising a selective IKs antagonist and a beta-adrenergic receptor blocking agent, wherein these compds. are administered simultaneously, sep. or sequentially is presented. The combined administration of both low dose IKs blocker of this invention and low dose timolol provided significant protection against development of **malignant** ischemic ventricular tachyarrhythmia in dogs.
IT **170228-28-1P 170228-29-2P 170228-30-5P**
170228-31-6P 170228-38-3P 170551-90-3P
170551-91-4P 170551-92-5P 170551-93-6P
170551-94-7P 170551-95-8P 170551-96-9P
170551-97-0P 201337-62-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

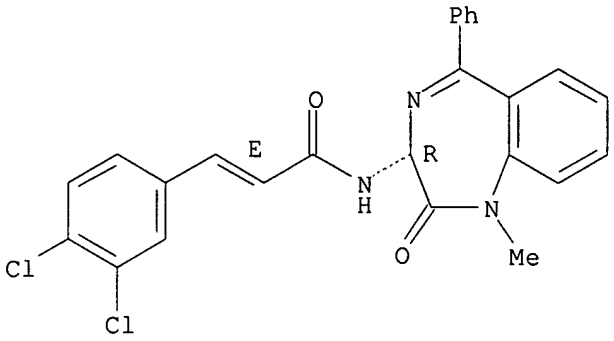
(preparation of benzodiazepinone derivs. for treatment of cardiac arrhythmias)

IT **170228-28-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzodiazepinone derivs. for treatment of cardiac arrhythmias)

RN 170228-28-1 HCAPLUS

CN 2-Propenamide, 3-(3,4-dichlorophenyl)-N-[(3R)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Anon	1995			WO 9514694	HCAPLUS
Anon	1996			WO 9605827	HCAPLUS
Anon	1996			WO 9605839	HCAPLUS
Baldwin	1995			US 5426185	HCAPLUS
Baldwin	1997			US 5595990	HCAPLUS
Bock	1986			US 4628084	HCAPLUS
Bock	1993			US 5220017	HCAPLUS
Bock	1994			US 5324726	HCAPLUS
Bock	1995			US 5439906	HCAPLUS
Burns	1991			US 4994258	HCAPLUS
Carling	1997			US 5602125	HCAPLUS
Castro, P	1996			US 5521175	HCAPLUS
Chambers	1994			US 5360802	HCAPLUS
Chambers	1995			US 5451582	HCAPLUS
Chambers	1996			US 5556969	HCAPLUS
Claremon	1997			US 5633251	HCAPLUS
Claremon	1997			US 5658901	HCAPLUS
Evans	1989			US 4820834	HCAPLUS
Grover	1990			US 4962095	HCAPLUS
Hussain	1984			US 4428883	HCAPLUS
Naka	1991			US 4992437	HCAPLUS
Naka	1995			US 5439905	HCAPLUS
Russell	1993			US 5208252	HCAPLUS
Russell	1994			US 5310941	HCAPLUS
Sanguinetti	1995			US 5428031	HCAPLUS
Sanguinetti	1997			US 5597818	HCAPLUS
Sato	1990			US 4970207	HCAPLUS

Simon	1992		US 5089526	HCAPLUS
Woodruff	1992		US 5153191	HCAPLUS

L104 ANSWER 51 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:147065 HCAPLUS
DN 128:176183
TI Use of xanthine derivatives for the modulation of apoptosis
IN Muellner, Stefan; Dax, Claudia
PA Hoechst A.-G., Germany
SO Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 821960	A1	19980204	EP 1997-112939	19970728 <--
	EP 821960	B1	20030409		
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	US 5856330	A	19990105	US 1997-899023	19970723 <--
	AT 236637	E	20030415	AT 1997-112939	19970728 <--
	PT 821960	T	20030829	PT 1997-112939	19970728 <--
	ES 2191794	T3	20030916	ES 1997-112939	19970728 <--
	AU 9732367	A1	19980205	AU 1997-32367	19970729 <--
	AU 718237	B2	20000413		
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	JP 10067662	A2	19980310	JP 1997-204345	19970730 <--
	US 5981536	A	19991109	US 1998-175471	19981020 <--
PRAI	DE 1996-19630837	A	19960731 <--		
	DE 1996-19640556	A	19961001 <--		
	US 1997-899023	A1	19970723 <--		

OS MARPAT 128:176183
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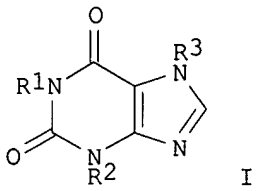


I

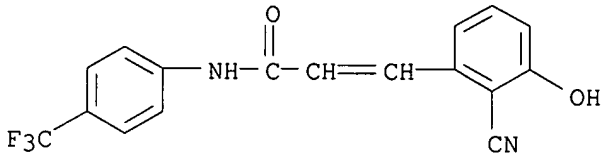
AB Xanthine derivs. I [1 of R1, R3 = (CH2)nRCH3; if R = bond, n = 0-7; if R = CO or CR4(OH), n = 1-6; R4 = H, C1-3 alkyl; other of R1, R3 = H, C1-7 alkyl, C4-8 cycloalkylalkyl, C2-6 oxaalkyl; R2 = C1-4 alkyl] are useful for modulation of abnormal apoptotic processes in various diseases such as autoimmune diseases, infarct, stroke, inflammation, neural degeneration, muscular atrophy or dystrophy, and **cancer**. I inhibit dephosphorylation of cofilin, a cytosolic 19-kDa actin-binding protein which is involved in transport of actin into the cell nucleus. Thus, 3-methyl-1-(5-oxohexyl)-7-propylxanthine was reacted with MeMgCl in THF, refluxed, and reacted with saturated aqueous NH4Cl solution to form 1-(5-hydroxy-5-methylhexyl)-3-methyl-7-propylxanthine (II). Activation of murine macrophages with Escherichia coli lipopolysaccharide (10 ng/mL) resulted in 50% dephosphorylation of cofilin; dephosphorylation was

reduced to 10% by simultaneous treatment of the cells with II (100 μM). The effect of II (50 μM) was potentiated by N-(4-trifluoromethylphenyl)-2-cyano-3-hydroxycrotonamide Na salt (10-20 μM).

IT **203382-50-7 203382-51-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(xanthine derivs. for modulation of apoptosis)

IT **203382-50-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(xanthine derivs. for modulation of apoptosis)

RN 203382-50-7 HCAPLUS
CN 2-Propenamide, 3-(2-cyano-3-hydroxyphenyl)-N-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Gebert, K	1989			US 4833146 A	HCAPLUS
Heochst-Roussel Pharmac	1988			EP 0279079 A2	HCAPLUS
Hoechst Ag				EP 0351885 A1	HCAPLUS
Hoechst Aktiengesellsch	1992			EP 0514789 A1	HCAPLUS
Hoechst Aktiengesellsch	1993			EP 0528164 A2	HCAPLUS
Hoechst Aktiengesellsch	1993			EP 0547508 A1	HCAPLUS
Hoechst Aktiengesellsch	1993			EP 0557876 A1	HCAPLUS
Hoechst Aktiengesellsch	1995			EP 0665013 A1	HCAPLUS
Hutchinson Cancer Res C				WO 9221344 A	HCAPLUS
McGill Univeristy	1995			WO 9510282 A1	HCAPLUS
The Regents Of The Univ	1996			WO 9620710 A1	HCAPLUS
The Univ Of Texas Syste	1992			WO 9207566 A2	HCAPLUS
Univ South Carolina				WO 9605836 A2	HCAPLUS
Univ Southern Californi				WO 9318770 A1	HCAPLUS

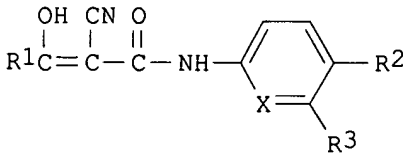
L104 ANSWER 52 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:147064 HCAPLUS
DN 128:176182
TI Use of isoxazole and crotonamide derivatives for the modulation of apoptosis
IN Muellner, Stefan; Dax, Claudia
PA Hoechst A.-G., Germany; Adventis Pharma GmbH
SO Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 821952	A1	19980204	EP 1997-112938	19970728 <--

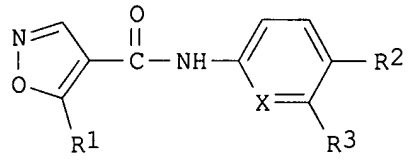
	EP 821952	B1	20040331		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	DE 19640555	A1	19980402	DE 1996-19640555	19961001 <--
	US 6011051	A	20000104	US 1997-898756	19970723 <--
	AT 262901	E	20040415	AT 1997-112938	19970728 <--
	PT 821952	T	20040831	PT 1997-112938	19970728 <--
	ES 2218623	T3	20041116	ES 1997-112938	19970728 <--
	AU 9732368	A1	19980205	AU 1997-32368	19970729 <--
	AU 718728	B2	20000420		
	CA 2212207	AA	19980131	CA 1997-2212207	19970730 <--
	JP 10087484	A2	19980407	JP 1997-204344	19970730 <--
PRAI	DE 1996-19630838	A	19960731 <--		
	DE 1996-19640555	A	19961001 <--		

OS MARPAT 128:176182

GI



I



II

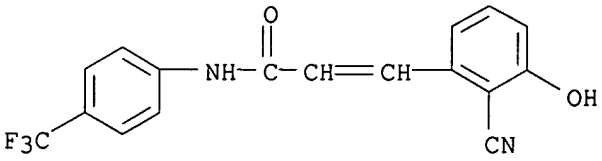
AB Isoxazole derivs. I [R1 = C1-4 alkyl, C3-5 cycloalkyl, C2-6 alkenyl, C2-6 alkynyl; R2 = CF3, OCF3, SCF3, OH, NO2, halo, Ph, (substituted) OPh, CH2Ph, CN; R3 = H, C1-4 alkyl, halo; X = N, CH] and crotonamide derivs. II (R1-R3, X as above) are useful for modulation of abnormal apoptotic processes in various diseases such as autoimmune diseases, infarct, stroke, inflammation, neural degeneration, muscular atrophy or dystrophy, and **cancer**. I and II inhibit dephosphorylation of cofilin, a cytosolic 19-kDa actin-binding protein which is involved in transport of actin into the cell nucleus. Thus, activation of murine macrophages with Escherichia coli lipopolysaccharide (10 ng/mL) resulted in 50% dephosphorylation of cofilin; dephosphorylation was completely inhibited by simultaneous treatment of the cells with N-(4-trifluoromethylphenyl)-2-cyano-3-hydroxycrotonamide Na salt (60 μM).

IT **203382-50-7 203382-51-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(isoxazole and crotonamide derivs. for modulation of apoptosis)

IT **203382-50-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(isoxazole and crotonamide derivs. for modulation of apoptosis)

RN 203382-50-7 HCAPLUS

CN 2-Propenamide, 3-(2-cyano-3-hydroxyphenyl)-N-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Alcon Lab Inc				EP 0413329 A2	HCAPLUS
Ertel, H	1977			US 4061767 A	
Hoechst Ag				EP 0607774 A2	HCAPLUS
Hoechst Ag				EP 0607775 A2	HCAPLUS
Hoechst Ag				EP 0607776 A2	HCAPLUS
Hoechst Ag				EP 0607777 A2	HCAPLUS
Hoechst Ag	1995			EP 0665013 A1	HCAPLUS
Hoechst Aktiengesellsch	1993			EP 0529500 A1	HCAPLUS
Hoechst Aktiengesellsch	1993			EP 0538783 A1	HCAPLUS
Kuo, E	1995			US 5416112 A	HCAPLUS
Roussel-Uclaf	1992			EP 0484223 A2	HCAPLUS
Roussel-Uclaf	1993			EP 0551230 A1	HCAPLUS
Sugen Inc	1995			WO 9519169 A2	HCAPLUS
Williams, J				WO 9601111 A1	HCAPLUS

L104 ANSWER 53 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:41719 HCAPLUS
DN 128:110865
TI Use of allosteric hemoglobin modifiers in combination with radiation therapy to treat **carcinogenic tumors**
IN Abraham, Donald J.
PA Center for Innovative Technology, USA
SO U.S., 47 pp., Cont.-in-part of U.S. Ser. No. 374,206.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5705521	A	19980106	US 1995-482808	19950607 <--
	US 5049695	A	19910917	US 1990-478848	19900212 <--
	US 5122539	A	19920616	US 1991-702947	19910520 <--
	US 5382680	A	19950117	US 1991-722382	19910626 <--
	US 5290803	A	19940301	US 1993-6246	19930119 <--
	US 5432191	A	19950711	US 1993-101501	19930730 <--
	US 5731454	A	19980324	US 1995-374206	19950118 <--
PRAI	US 1990-478848	A2	19900212 <--		
	US 1990-623346	B1	19901207 <--		
	US 1991-702947	A2	19910520 <--		
	US 1991-722382	A2	19910626 <--		
	US 1993-6246	A2	19930119 <--		
	US 1993-101501	A2	19930730 <--		
	US 1995-374206	A2	19950118 <--		
	US 1992-885721	A1	19920518 <--		

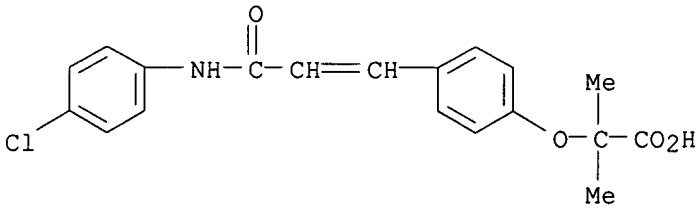
OS MARPAT 128:110865
AB A family of compds. has been found to be useful for right-shifting Hb

towards a low oxygen affinity state. The effect has particular application in radiation oncol. applications. The compds. are capable of acting on Hb in whole blood. The compds. of the invention are also useful in treatment of other oxygen tension-related diseases. Compds. include R1AR2 [R1, R2 = (un)substituted (hetero)aromatic moiety, (un)substituted (hetero)alkyl ring moiety, (un)substituted phthalimido; A = chemical bridge]. 2-[4-((((3,5-Dimethylphenyl)amino)carbonyl)methyl)phenoxy]-2-methylpropionic acid (preparation given) enhanced **tumor** growth delay for irradiated **fibrosarcoma** and Lewis lung **carcinoma**.

IT **198060-21-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(allosteric Hb modifiers in combination with radiation therapy to treat **carcinogenic tumors**, preparation, and use for other oxygen tension-related diseases)

IT **198060-21-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(allosteric Hb modifiers in combination with radiation therapy to treat **carcinogenic tumors**, preparation, and use for other oxygen tension-related diseases)

RN 198060-21-8 HCAPLUS
CN Propanoic acid, 2-[4-[3-[(4-chlorophenyl)amino]-3-oxo-1-propenyl]phenoxy]-2-methyl- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Abraham	1984			US 4482571	HCAPLUS
Abraham	1987			US 4699926	HCAPLUS
Abraham	1991			US 5049695	HCAPLUS
Abraham	1992			US 5122539	HCAPLUS
Abraham	1993			US 5248785	HCAPLUS
Abraham	1994			US 5290803	HCAPLUS
Abraham	1995			US 5431191	
Witte	1979			US 4151303	HCAPLUS
Wolff	1979			US 4153728	HCAPLUS

L104 ANSWER 54 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:31104 HCAPLUS
DN 128:111158
TI Design of drugs involving receptor-ligand-DNA interactions
IN Hendry, Lawrence B.
PA USA
SO U.S., 22 pp., Cont.-in-part of U.S. Ser. No. 158,689.
CODEN: USXXAM
DT Patent

LA English
FAN.CNT 2

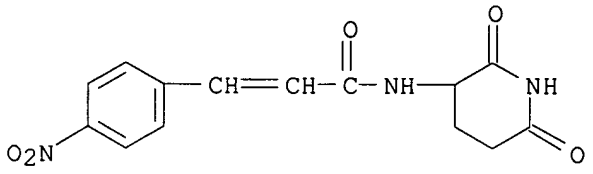
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5705335	A	19980106	US 1994-369779	19941128 <--
	US 5888738	A	19990330	US 1997-864669	19970528 <--
	US 5888741	A	19990330	US 1997-935219	19970822 <--
	US 6306595	B1	20011023	US 1999-239491	19990128 <--
	US 2002064790	A1	20020530	US 2001-941230	20010828 <--
PRAI	US 1993-158689	A2	19931126 <--		
	US 1994-369779	A1	19941128 <--		
	US 1997-864669	A1	19970528 <--		
	US 1999-239491	A1	19990128 <--		

AB It has been discovered that the degree of hormonal activity of candidate ligands correlates better with the degree of fit into DNA than with the strength of receptor binding, and that the receptors in the steroid/thyroid hormone/vitamin A and D family alter the physiochem. properties of DNA and in concert with other transcription factors facilitate insertion of the ligand into DNA. As a result, the magnitude of the response is a function of the structure of the ligand as it relates to insertion and fit into the DNA and the specificity of the response is a function of the stereochem. of the receptor through binding to both the ligand and to the DNA. Based on these discoveries, a computer-based method is described herein for identifying drugs having increased activity as compared with the natural ligand for receptors such as the estrogenic receptors.

IT **167173-00-4**, SGI 101
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); **THU (Therapeutic use)**; BIOL (Biological study); PROC (Process); USES (Uses)
(design of drugs involving receptor-ligand-DNA interactions)

IT **167173-00-4**, SGI 101
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); **THU (Therapeutic use)**; BIOL (Biological study); PROC (Process); USES (Uses)
(design of drugs involving receptor-ligand-DNA interactions)

RN 167173-00-4 HCAPLUS
CN 2-Propenamide, N-(2,6-dioxo-3-piperidinyl)-3-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Anon	1964			Endocrine Bioassay D	
Borman	1992	70	18	Chem Eng News	
Brann	1995	52	113	J Steroid Biochem Mo	HCAPLUS
Bransome	1993	33	1147	J Clin Pharmacol	
Bransome	1985	112	97	J Theor Biol	HCAPLUS

Brooks	1987		443	Recent Advances in S	
Burzynski	1985	10	103	Drugs of the Future	
Copland	1993	46	451	J Steroid Biochem Mo	HCAPLUS
Cramer	1991			US 5025388	HCAPLUS
Denton	1992	267	7263	J Biol Chem	HCAPLUS
Hendry	1984			US 4461619	
Hendry	1987			US 4705796	HCAPLUS
Hendry	1993			US 5238947	HCAPLUS
Hendry	1993	33	1173	J Clin Pharmacol	HCAPLUS
Hendry	1986	24	843	J Steroid Biochem	HCAPLUS
Hendry	1988	31	493	J Steroid Biochem	HCAPLUS
Hendry	1991	39	133	J Steroid Biochem Mo	HCAPLUS
Hendry	1992	41	647	J Steroid Biochem Mo	HCAPLUS
Hendry	1992	41	647	J Steroid Biochem Mo	HCAPLUS
Hendry	1992	42	659	J Steroid Biochem Mo	HCAPLUS
Hendry	1992	42	659	J Steroid Biochem Mo	HCAPLUS
Hendry	1994	49	269	J Steroid Biochem Mo	HCAPLUS
Hendry	1994	48	495	J Steroid Biochem Mo	HCAPLUS
Hendry	1984	27	623	Perspect Biol Med	HCAPLUS
Hendry	1981	78	7440	Proc Natl Acad Sci U	HCAPLUS
Hendry	1991		2498	Recent Advances in C	
Lee	1992	35	258	J Med Chem	HCAPLUS
Lehner	1987	1	377	Molec Endocrinol	HCAPLUS
Nardulli	1993	7	331	Molec Endocr	HCAPLUS
Naruto	1985	20	529	Eur J Med Chem	HCAPLUS
Peters	1989	32	2306	J Med Chem	HCAPLUS
Purdy	1990	33	1572	J Med Chem	HCAPLUS
Rowland	1994	34	80	J Clin Pharmacol	MEDLINE
Steinsapir	1994	1	236	American J Therapeut	
Steinsapir	1992		109	The Endocrine Societ	
Tsai	1994	63	451	Ann Rev Biochem	HCAPLUS
Uberoi	1985	45	325	Steroids	HCAPLUS
Witham	1992	155	55	J Theor Biol	HCAPLUS

L104 ANSWER 55 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1997:752932 HCAPLUS
DN 128:23142
TI Preparation of distamycin derivatives as **antitumor** and antiviral agents
IN Cozzi, Paolo; Beria, Italo; Caldarelli, Marina; Geroni, Maria Cristina; Pesenti, Enrico
PA Pharmacia & Upjohn S.P.A., Italy
SO PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9743258	A1	19971120	WO 1997-EP2158	19970424 <--
	W: AU, BG, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RU, SG, SI, TR, UA, US, AM, AZ, KG, MD, TJ, TM				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2253652	AA	19971120	CA 1997-2253652	19970424 <--
	AU 9727016	A1	19971205	AU 1997-27016	19970424 <--
	AU 721140	B2	20000622		
	EP 912509	A1	19990506	EP 1997-920753	19970424 <--
	EP 912509	B1	20010711		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
	CN 1222144	A	19990707	CN 1997-195530	19970424 <--

	CN 1089755	B	20020828		
	BR 9709451	A	19990810	BR 1997-9451	19970424 <--
	NZ 332923	A	20000327	NZ 1997-332923	19970424 <--
	JP 2000510129	T2	20000808	JP 1997-540439	19970424 <--
	AT 203011	E	20010715	AT 1997-920753	19970424 <--
	ES 2160951	T3	20011116	ES 1997-920753	19970424 <--
	PT 912509	T	20011228	PT 1997-920753	19970424 <--
	IL 126840	A1	20020310	IL 1997-126840	19970424 <--
	TW 498066	B	20020811	TW 1997-86106038	19970505 <--
	ZA 9703883	A	19971208	ZA 1997-3883	19970506 <--
	KR 2000010992	A	20000225	KR 1998-709141	19981112 <--
	NO 9805307	A	19990112	NO 1998-5307	19981113 <--
	NO 311886	B1	20020211		
	US 6177408	B1	20010123	US 1998-147264	19981113 <--
	HK 1020734	A1	20030321	HK 1999-105996	19991221 <--
	GR 3036824	T3	20020131	GR 2001-401685	20011008 <--
PRAI	GB 1996-10079	A	19960514 <--		
	WO 1997-EP2158	W	19970424 <--		

OS MARPAT 128:23142
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Distamycin derivs. I [n = 2-4; R0 = C1-4 alkyl, C1-3 haloalkyl; R1, R2 = independently H, C1-4 alkyl optionally substituted by 1 or more F atoms, C1-4 alkoxy; X = halo; B = C(:NR3)NR4R5, CONR8R9, (CH2)mNHC(:NH)NH2, CN, (CH2)mNR6R7; R3 = H, C1-4 alkyl, CN, OH, NH2; R4-R9 = independently H, C1-4 alkyl; R3R5 = CH2CH2, CH:CH, (CH2)3, R4 = H; m = 0-2] or a pharmaceutically acceptable salt thereof are useful as **antineoplastic** and antiviral agents. Thus, coupling of tripyrrole II with 4-[N,N-bis(2-chloroethyl)amino]cinnamic acid gave desired distamycin derivative III, which showed IC50 = 7.3 ng/mL on L1210 murine leukemia. Formulations containing III are also given.

IT **199462-86-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of distamycin derivs. as **antitumor** and antiviral agents)

IT **199462-80-1P 199462-81-2P 199462-82-3P**
199462-83-4P 199462-84-5P 199462-85-6P
199462-87-8P 199462-92-5P 199462-93-6P
199462-94-7P 199462-95-8P 199462-96-9P
199462-97-0P 199462-98-1P 199462-99-2P
199463-01-9P 199463-02-0P 199463-03-1P
199463-04-2P 199463-05-3P 199463-06-4P
199463-07-5P 199463-08-6P 199463-09-7P
199463-10-0P 199463-11-1P 199463-12-2P
199463-13-3P 199463-14-4P 199463-16-6P
199463-18-8P 199463-19-9P 199463-21-3P
199463-23-5P 199463-24-6P 199463-25-7P
199463-27-9P 199463-28-0P 199463-29-1P
199463-30-4P 199463-31-5P 199463-32-6P
199463-34-8P 199463-35-9P 199463-37-1P
199463-38-2P 199463-40-6P 199463-41-7P
199463-42-8P 199463-43-9P 199463-44-0P

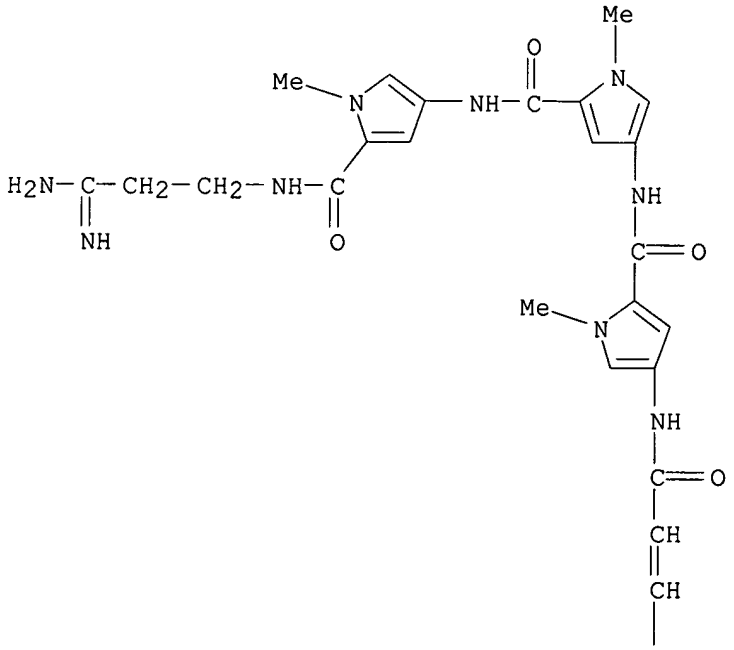
199463-45-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of distamycin derivs. as **antitumor** and antiviral agents)

IT **199462-86-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of distamycin derivs. as **antitumor** and antiviral agents)

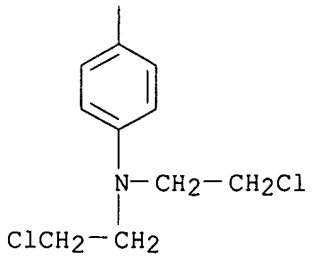
RN 199462-86-7 HCAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[5-[[(3-amino-3-iminopropyl)amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-4-[[[4-[[3-[4-[bis(2-chloroethyl)amino]phenyl]-1-oxo-2-propenyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-, hydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

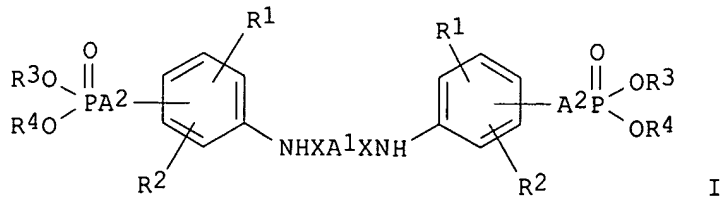


●x HCl

L104 ANSWER 56 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1997:168571 HCAPLUS
DN 126:157635
TI Preparation of aminophenylphosphonic acid derivatives, pharmaceutical compositions containing them and their angiogenesis inhibitor activity
IN Cordi, Alex; Desos, Patrice; Morris, Angela D.; Atassi, Ghanem
PA Adir Et Compagnie, Fr.
SO Eur. Pat. Appl., 44 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP 754693	A2	19970122	EP 1996-401594	19960718	<--
	EP 754693	A3	19971105			
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
	FR 2736914	A1	19970124	FR 1995-8821	19950721	<--
	FR 2736914	B1	19970822			
	CA 2180621	AA	19970122	CA 1996-2180621	19960705	<--
	CA 2180621	C	20000215			
	JP 09052895	A2	19970225	JP 1996-187255	19960717	<--
	NO 9603024	A	19970122	NO 1996-3024	19960719	<--
	NO 308004	B1	20000703			
	ZA 9606154	A	19970123	ZA 1996-6154	19960719	<--
	AU 9660584	A1	19970130	AU 1996-60584	19960719	<--
	AU 703822	B2	19990401			
	CN 1145908	A	19970326	CN 1996-110668	19960719	<--
	CN 1063182	B	20010314			
	US 5670493	A	19970923	US 1996-684469	19960719	<--
PRAI	FR 1995-8821	A	19950721	<--		

OS CASREACT 126:157635; MARPAT 126:157635
GI



I

AB I (R1, R2 = H, halogen, alkyl, alkoxy, NO2, trihalomethyl; X = C(O), S(O)2, CH2; A1 = C1-C20 linear or branched alkylene chain with 0-6 double bonds in which 1 or several CH2 groups may be replaced by e.g. phenylene, naphthylene, anthracenylene, cycloalkylene; A2 = (CH2)n, CH:CH; R3, R4 = H, alkyl) and their salts were prepared from Hal-X-A1-X-Hal and (R3O)(R4O)P(O)A2C6H2(R1)(R2)NH2. For example, 4-(NaO)2P(O)C6H4NHC(O)(CH2)8C(O)NHC6H4P(O)(ONa)2-4 was prepared from sebacoyl chloride and 4-H2NC6H4P(O)(OEt)2 in MeCN in the presence of pyridine with subsequent ester hydrolysis and neutralization. Pharmaceutical compns. containing the above compds. useful as angiogenesis and metastasis inhibitors and in treatment of diabetic retinopathy are claimed.

IT **186971-33-5P 186971-34-6P 186971-36-8P**
186971-43-7P 186971-44-8P 186971-45-9P
186971-46-0P 186971-52-8P 186971-53-9P
186971-54-0P 186971-57-3P 186971-58-4P
186971-59-5P 186971-64-2P 186971-65-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(for preparation of aminophenylphosphonic acid derivs. as angiogenesis inhibitors)

IT **186970-24-1P 186970-50-3P 186970-52-5P**
186970-60-5P 186970-62-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and neovascularization inhibition by)

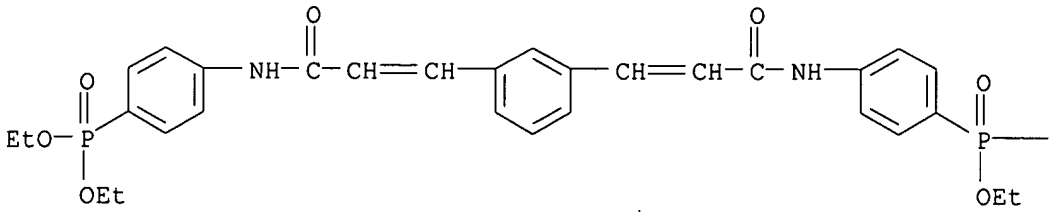
IT **186970-22-9P 186970-35-4P 186970-37-6P**
186970-48-9P 186970-58-1P 186970-72-9P
186970-74-1P
RL: SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as angiogenesis inhibitor)

IT **186971-33-5P**
RL: RCT (Reactant); **THU (Therapeutic use)**; PREP (Preparation); **THU (Therapeutic use)**
(for preparation of aminophenylphosphonic acid derivs. as angiogenesis inhibitors)

RN 186971-33-5 HCAPLUS

CN Phosphonic acid, [1,3-phenylenebis[(1-oxo-2-propene-3,1-diyl)imino-4,1-phenylene]]bis-, tetraethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

—OEt

L104 ANSWER 57 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:861279 HCAPLUS
DN 124:21813
TI Treatment of platelet-derived growth factor related disorders such as **cancers** using inhibitors of platelet-derived growth receptor
IN Hirth, Klaus Peter; Schwartz, Donna Pruess; Mann, Elaina; Shawver, Laura Kay; Keri, Gyorgy; Szekely, Istvan; Bajor, Tamas; Haimichael, Janis; Orfi, Laszlo; et al.
PA Sugen, Inc., USA; Biosignal Ltd.; Yissum Research Development Co.; Max-Planck-Gesellschaft zur Forderung der Wissenschaften e.V.; Regents of the University of California
SO PCT Int. Appl., 154 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9519169	A2	19950720	WO 1995-US363	19950106 <--
	WO 9519169	A3	19960215		
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, UZ				
	RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5700823	A	19971223	US 1994-179570	19940107 <--
	CA 2180658	AA	19950720	CA 1995-2180658	19950106 <--
	CA 2180658	C	20000328		
	AU 9515633	A1	19950801	AU 1995-15633	19950106 <--
	AU 690958	B2	19980507		
	CN 1128496	A	19960807	CN 1995-190013	19950106 <--
	CN 1065744	B	20010516		
	EP 804191	A1	19971105	EP 1995-907382	19950106 <--
	EP 804191	B1	20000517		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				

	EP 1000617	A2	20000517	EP 1999-118607	19950106 <--
	EP 1000617	A3	20041229		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	AT 192925	E	20000615	AT 1995-907382	19950106 <--
	PT 804191	T	20001031	PT 1995-907382	19950106 <--
	ES 2149966	T3	20001116	ES 1995-907382	19950106 <--
	MX 9602680	A	20000630	MX 1996-2680	19960708 <--
	AU 9878832	A1	19981008	AU 1998-78832	19980806 <--
	AU 718272	B2	20000413		
	GR 3034215	T3	20001229	GR 2000-401900	20000817 <--
PRAI	US 1994-179570	A	19940107 <--		
	EP 1995-907382	A3	19950106 <--		
	WO 1995-US363	W	19950106 <--		

OS MARPAT 124:21813

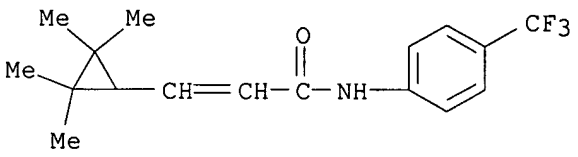
AB Compds. are disclosed which can inhibit platelet-derived growth factor receptor (PDGF-R) activity; preferably, such compds. also inhibit the activity of other members of the PDGF-R superfamily and are selective for members of the PDGF-R superfamily. The PDGF-R superfamily includes PDGF-R and PDGF-R-related kinases Flt and KDR. The featured compds. are active on cell cultures to reduce the activity of the PDGF-R and preferably ≥1 PDGF-R-related kinases. Using the present application as guide, other compds. able to inhibit PDGF-R and preferably Flt and/or KDR can be obtained. Such compds. are preferably used to treat patients suffering from cell proliferative disorders characterized by inappropriate PDGF-R activity. Compound A10 (leflunomide) inhibited PDGF-R autophosphoryation, PDGF-stimulated DNA synthesis, cell cycle progression, and a variety of **tumor** types. Preparation and biol. testing of a large number of other compds. is included.

IT **169120-72-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(platelet-derived growth factor inhibitors and their preparation for treatment of **cancer** and other PDGF-related disorders)

IT **169120-72-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(platelet-derived growth factor inhibitors and their preparation for treatment of **cancer** and other PDGF-related disorders)

RN 169120-72-3 HCAPLUS

CN 2-Propenamide, 3-(2,2,3,3-tetramethylcyclopropyl)-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L104 ANSWER 58 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:858612 HCAPLUS

DN 123:285772

TI Preparation of 2-oxoindoline derivatives as cholecystokinin antagonists

IN Yamada, Koichiro; Hikota, Masataka; Shikano, Toshiro; Nagasaki, Masaaki

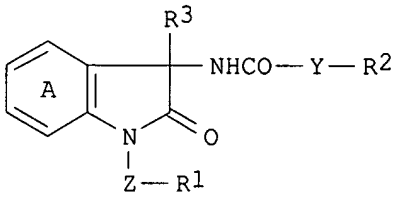
PA Tanabe Seiyaku Co., Ltd., Japan

SO PCT Int. Appl., 83 pp.

CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9514668	A1	19950601	WO 1994-JP1990	19941125 <--
	W: CA, CN, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 07196611	A2	19950801	JP 1994-289717	19941124 <--
	JP 2949702	B2	19990920		
	CA 2177147	AA	19950601	CA 1994-2177147	19941125 <--
	CA 2177147	C	20011009		
	EP 731091	A1	19960911	EP 1995-901596	19941125 <--
	EP 731091	B1	20020102		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1136310	A	19961120	CN 1994-194288	19941125 <--
	CN 1069314	B	20010808		
	AT 211464	E	20020115	AT 1995-901596	19941125 <--
	PT 731091	T	20020531	PT 1995-901596	19941125 <--
	ES 2173163	T3	20021016	ES 1995-901596	19941125 <--
	US 5807883	A	19980915	US 1996-648191	19960524 <--
PRAI	JP 1993-296183	A	19931126 <--		
	WO 1994-JP1990	W	19941125 <--		

OS MARPAT 123:285772
GI



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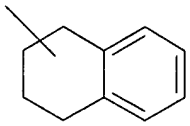

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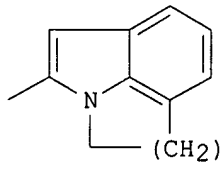
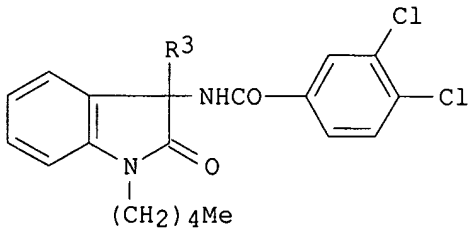




II

AB The title compds. [I; ring A represents (un)substituted benzene; R1 = H, cycloalkyl, aryl, nitrogen heterocycle, oxygen heterocycle, sulfur heterocycle, heterocycle containing N and O, heterocycle containing N and S, lower alkoxy, CO2H, cyano, lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl, oxiranyl, or 2-(lower alkylthio)-1-hydroxyethyl; R2 = aryl, Q, (un)substituted nitrogenous monocyclic heterocyclyl, (un)substituted nitrogenous bicyclic heterocyclyl, Q1 (wherein n = 1, 2), oxygen heterocyclyl, sulfur heterocyclyl, heterocyclyl containing N and O, heterocyclyl containing N and S; R3 = (un)substituted lower alkyl; Z = a

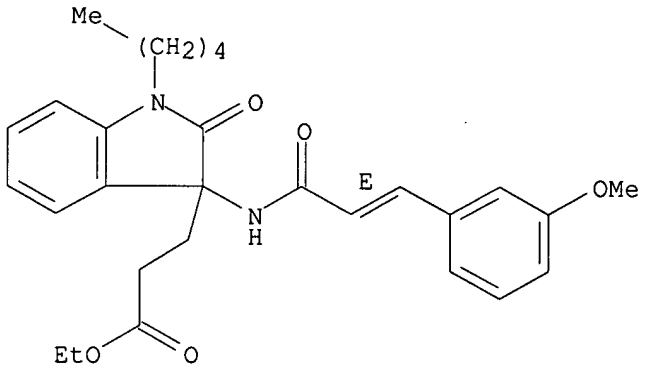
single bond or lower alkylene; Y = a single bond, lower alkylene or alkenylene], useful for the treatment of pancreas disorders such as acute and chronic pancreatitis and pancreas **cancer**, diseases of stomach and intestines such as irritable bowel syndrome, reflux esophagitis non-ulcer dyspepsia, and biliary colics (no data), are prepared Thus, 2.84 g 3-amino-1-pentyl-2,3-dihydro-1H-indol-2-one hydrochloride was condensed with 2.80 g 3,4-dichlorobenzoyl chloride in the presence of NaHCO3 in H2O/CHCl3 under ice-cooling for 30 min and at room temperature for 30 min to give 4.06 g intermediate (II; R3 = H). The latter compound (3.56 g) was stirred overnight with 4.1 mL Me acrylate in the presence of K2CO3 in acetone to give 3.96 g title compound II (R3 = CH2CH2CO2Me). A total of 184 I were prepared

IT **169041-14-9P 169041-31-0P 169041-81-0P 169041-82-1P 169041-94-5P 169042-10-8P 169042-23-3P 169042-25-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxoindoline derivs. as cholecystokinin antagonists)

IT **169041-14-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxoindoline derivs. as cholecystokinin antagonists)

RN 169041-14-9 HCAPLUS
CN 1H-Indole-3-propanoic acid, 2,3-dihydro-3-[[3-(3-methoxyphenyl)-1-oxo-2-propenyl]amino]-2-oxo-1-pentyl-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L104 ANSWER 59 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:758941 HCAPLUS
DN 123:160816
TI Design of drugs involving receptor-ligand-DNA interactions
IN Hendry, Lawrence B.
PA USA
SO PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9514791	A1	19950601	WO 1994-US13765	19941128 <--

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9512979	A1	19950613	AU 1995-12979	19941128 <--
EP 740708	A1	19961106	EP 1995-904188	19941128 <--
EP 740708	B1	20040804		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09505603	T2	19970603	JP 1994-515280	19941128 <--
AT 272719	E	20040815	AT 1995-904188	19941128 <--
PRAI US 1993-158689	A	19931126 <--		
WO 1994-US13765	W	19941128 <--		

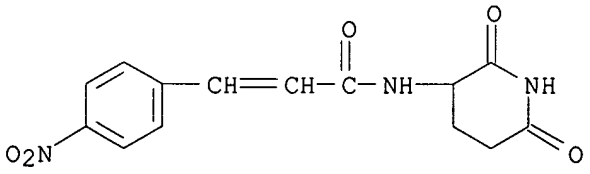
AB It has been discovered that the degree of hormonal activity of candidate ligands correlates better with degree of fit into DNA than with the strength of receptor binding, and that the receptors in the steroid/thyroid hormone/vitamin A and D family alter the physiochem. properties of DNA and in concert with other transcription factors facilitate insertion of the ligand into DNA. As a result, the magnitude of the response is a function of the structure of the ligand as it relates to insertion and fit into the DNA, and the specificity of the response is a function of the stereochem. of the receptor through binding to both the ligand and to the DNA. Based on these discoveries, a method is described herein for identifying drugs having increased activity as compared with the natural ligand for receptors, e.g. estrogenic receptors.

IT **167173-00-4**, SGI 101
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(drug design involving receptor-ligand-DNA interactions)

IT **167173-00-4**, SGI 101
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(drug design involving receptor-ligand-DNA interactions)

RN 167173-00-4 HCAPLUS

CN 2-Propenamide, N-(2,6-dioxo-3-piperidinyl)-3-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



L104 ANSWER 60 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1994:54333 HCAPLUS

DN 120:54333

TI Preparation of sulfonamidoaryl hydroxamic acids as inflammation and **tumor** inhibitors

IN Ohtani, Mitsuaki; Arita, Hitoshi; Sugita, Kenji; Matsuura, Takaharu; Shirahase, Kazuhiro

PA Shionogi and Co., Ltd., Japan

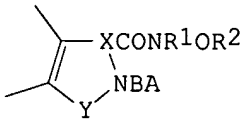
SO PCT Int. Appl., 125 pp.
CODEN: PIXXD2

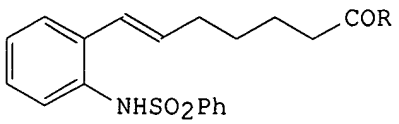
DT Patent

LA Japanese
FAN.CNT 1

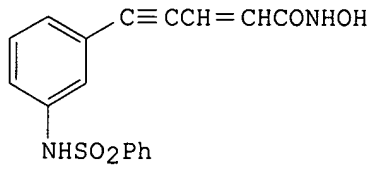
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9312075	A1	19930624	WO 1992-JP1593	19921207 <--
	W: JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 570594	A1	19931124	EP 1992-924883	19921207 <--
	EP 570594	B1	19970730		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
	AT 156116	E	19970815	AT 1992-924883	19921207 <--
	ES 2107557	T3	19971201	ES 1992-924883	19921207 <--
	JP 3342485	B2	20021111	JP 1993-510775	19921207 <--
	US 5534654	A	19960709	US 1993-98272	19930803 <--
PRAI	JP 1991-350793	A	19911210 <--		
	WO 1992-JP1593	W	19921207 <--		

OS MARPAT 120:54333
GI

Q= 



II



III

AB The title compds. R2ONR1COXA1YNR3BA2 (I) [A1 = (substituted) aromatic ring, aromatic heterocyclic ring; A2 = H, (substituted) aryl, aromatic heterocyclic ring; B = single bond, B1B2; B1 = CO, SO2; B2 = alkylene, alkenylene, etc.; X = (substituted) alkylene which may have O, S, N and may have unsatd. bond; Y = single bond, heteroatom, (substituted) alkylene which may contain heteroatom and may have unsatd. bond; X and N (which is linked to Y) may together form a moiety Q; R1 - R3 = H, (substituted) alkyl, aryl] were prepared I inhibit hemangioendothelial cell growth, the development of a lymphocyte adhesion factor, and ras gene-induced cell transformation and are useful as inflammation and **tumor** inhibitors. Condensation of carboxylic acid (E)-II (R = OH) with NH2OH.HCl in DMF containing N-hydroxysuccinimide, N,N-dicyclohexylcarbodiimide, and Et3n gave (E)-II (R = NHOH). Hydroxamic acid (E)-III in vitro exhibited MIC of 0.039 μM against ras gene-induced cell transformation.

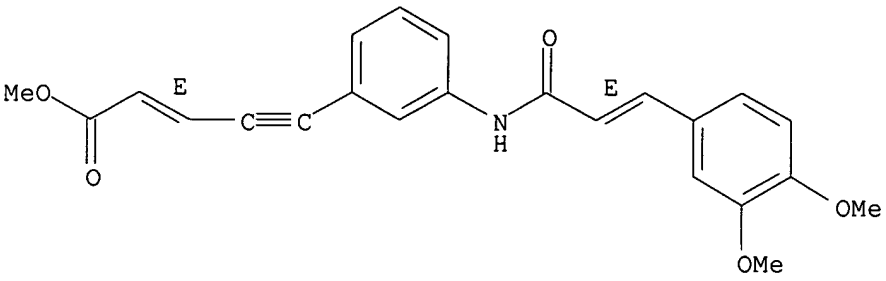
IT **151721-30-1P 151721-31-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of inflammation and **tumor** inhibitor)

IT **151720-61-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as inflammation and **tumor** inhibitor)

IT **151721-30-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation and reaction of, in preparation of inflammation and **tumor** inhibitor)
RN 151721-30-1 HCAPLUS
CN 2-Penten-4-ynoic acid, 5-[3-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]phenyl]-, methyl ester, (E,E)- (9CI) (CA INDEX NAME)

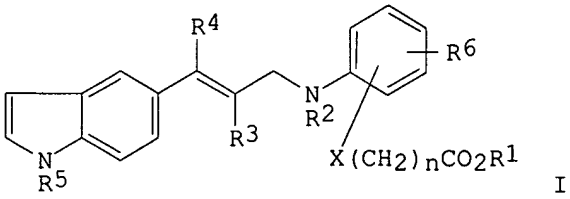
Double bond geometry as shown.



L104 ANSWER 61 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1993:124392 HCAPLUS
DN 118:124392
TI preparation of indole derivatives as steroid 5α-reductase inhibitors
IN Kumazawa, Yoshiaki; Takami, Hitoshi; Obase, Hiroyuki; Kishibayashi, Nobuyuki; Ishii, Akio
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO Eur. Pat. Appl., 59 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 511477	A1	19921104	EP 1992-104088	19920310 <--
	EP 511477	B1	19960710		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
	JP 05078315	A2	19930330	JP 1992-50671	19920309 <--
	CA 2062587	AA	19920912	CA 1992-2062587	19920310 <--
	US 5239083	A	19930824	US 1992-850334	19920310 <--
PRAI	JP 1991-44941	A	19910311 <--		

OS CASREACT 118:124392; MARPAT 118:124392
GI



I

AB A process for the preparation of indole derivs. I (R1, R2, R3 = H or lower alkyl, R4 = H, lower alkyl or cycloalkyl, R5 = H, cycloalkyl, cycloalkenyl, R6 = H, lower alkyl, alkoxy or halo, X = O or S, SO or SO2,

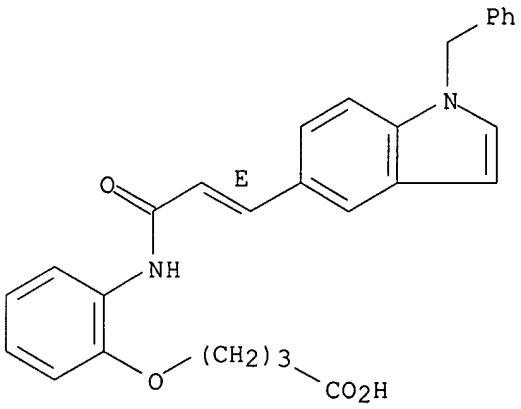
n = 1-6) comprises the condensation of Et 4-(2-aminophenoxy)butyrate (II) with (indolyl)isocrotonic acid derivs. E.g., 0.46 g of II, 2.25 mL Bu3N, 1.20 g of 2-chloro-1-methylpyridinium iodide and 1.04 g of 3-(1-methylindol-5-yl)isocrotonic acid in 10 mL of CH2Cl2 were refluxed to give 4-{2-[3-(1-methylindol-5-yl)isocrotonylamino]phenoxy}butyric acid. I showed 66-97% inhibition of steroid 5α-reductase activity at 10-7 M and are useful in treating benign prostatic hypertrophy, prostate **cancer**, baldness and acne.

IT **146326-53-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and inhibition by, on steroid 5α-reductase activity)

IT **146326-53-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and inhibition by, on steroid 5α-reductase activity)

RN 146326-53-6 HCAPLUS
CN Butanoic acid, 4-[2-[[1-oxo-3-[1-(phenylmethyl)-1H-indol-5-yl]-2-propenyl]amino]phenoxy]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L104 ANSWER 62 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1992:41102 HCAPLUS
DN 116:41102
TI Preparation of arylcarboxylic-acid and sulfonic-acid amides as drugs
IN Alig, Leo; Edenhofer, Albrecht; Mueller, Marcel; Trzeciak, Arnold; Weller, Thomas
PA Hoffmann-La Roche, F., und Co. A.-G., Switz.
SO Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 381033	A1	19900808	EP 1990-101404	19900124 <--
	EP 381033	B1	19940323		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL				
	US 5084466	A	19920128	US 1990-465858	19900116 <--
	HU 53070	A2	19900928	HU 1990-218	19900122 <--
	HU 206193	B	19920928		
	CA 2008311	AA	19900731	CA 1990-2008311	19900123 <--
	ZA 9000510	A	19901031	ZA 1990-510	19900124 <--

	AT 103273	E	19940415	AT 1990-101404	19900124 <--
	ES 2050851	T3	19940601	ES 1990-101404	19900124 <--
	AU 9048817	A1	19900809	AU 1990-48817	19900125 <--
	AU 632086	B2	19921217		
	CZ 277999	B6	19930317	CZ 1990-354	19900125 <--
	IL 93170	A1	19940530	IL 1990-93170	19900125 <--
	SK 277762	B6	19941207	SK 1990-354	19900125 <--
	NO 9000418	A	19900801	NO 1990-418	19900130 <--
	NO 172536	B	19930426		
	NO 172536	C	19930804		
	RU 2072986	C1	19970210	RU 1990-4742946	19900130 <--
	JP 02235853	A2	19900918	JP 1990-19361	19900131 <--
	JP 08005848	B4	19960124		
	US 5256812	A	19931026	US 1991-755960	19910906 <--
	US 5399585	A	19950321	US 1993-114415	19930830 <--
PRAI	CH 1989-326	A	19890131	<--	
	CH 1989-4069	A	19891113	<--	
	US 1990-465858	A3	19900116	<--	
	EP 1990-101404	A	19900124	<--	
	US 1991-755960	A3	19910906	<--	

OS MARPAT 116:41102

AB R1AWaX(CH2)bYcBZCO2R [R1 = amidino, guanidino; A, B = (substituted) phenylene, pyridinylene, thienylene; W = CH2, CH2CH2, CH:CH, CH:CHCH2, (CH2)3, CH2CHMe, COCH2, CH(OH)CH2, CH2COCH2; X = CONR2, SO2NR2; Y = CH2CH2, CH2CH2O, OCH2, CH:CH, CH2CH:CH, CH2, CH2COCH2, etc.; Z = OCH2, NR3CH2, CH2CH2, CHMeCH2, CH2, CH:CH, CMe:CH; R = H, alkyl, Ph, phenylalkyl; R2 = H, alkyl, (substituted) phenylalkyl, CH2CO2R, YBZCO2R; R3 = H, alkyl, PhCH2; a,b,c = 0-1] were prepared Thus, a mixture of 4-NCC6H4CO2H, 2-chloro-4,6-dimethoxy-1,3,5-triazine, N-methylmorpholine, and CH2Cl2 was stirred 3 h at room temperature; the mixture was cooled to 0° and Me 4-(2-aminoethyl)phenoxyacetate and N-methylmorpholine in CH2Cl2 were added. The mixture was stirred overnight at room temperature to give
Me 4-[2-(p-cyanobenzamido)ethyl]phenoxyacetate. This was treated successively with H2S in pyridine/Et3N, MeI in acetone, NH4OAc in MeOH, aqueous NaOH, and 4-MeC6H4SO3H in H2O to give [p-[2-(p-amidinobenzamido)ethyl]phenoxy]acetic acid toluenesulfonate. The latter inhibited binding of fibrinogen to glycoprotein IIb/IIIa with an IC50 of 0.04 μm.

IT **132223-91-7P 132224-79-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cardiovascular agent and **neoplasm** inhibitor)

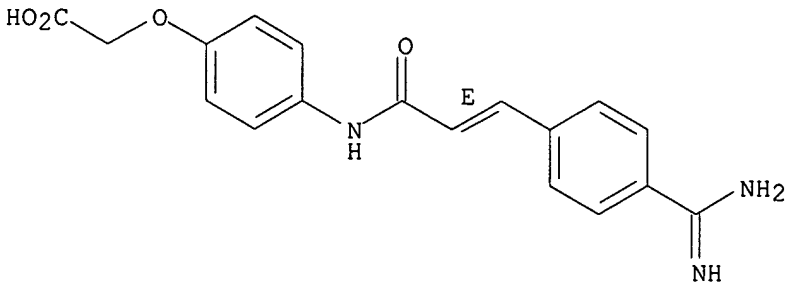
IT **132225-24-2P 132225-49-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for cardiovascular agents and **neoplasm** inhibitors)

IT **132223-91-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cardiovascular agent and **neoplasm** inhibitor)

RN 132223-91-7 HCAPLUS

CN Acetic acid, [4-[[3-[4-(aminoiminomethyl)phenyl]-1-oxo-2-propenyl]amino]phenoxy]-, monohydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

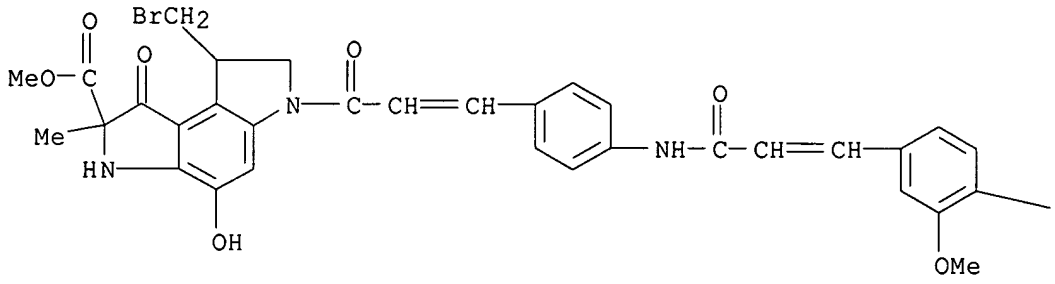
L104 ANSWER 63 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1990:552150 HCAPLUS
DN 113:152150
TI Preparation of DC-88A derivatives as **antitumor** agents
IN Saito, Hiromitsu; Kasai, Masaji; Morimoto, Makoto; Kobayashi, Eiji; Uosaki, Yoichi; Kanda, Yutaka; Sano, Hiroshi
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO Eur. Pat. Appl., 45 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 354583	A1	19900214	EP 1989-114896	19890811 <--
	EP 354583	B1	19931103		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 02288879	A2	19901128	JP 1989-206170	19890809 <--
	US 5084468	A	19920128	US 1989-392271	19890810 <--
	AT 96797	E	19931115	AT 1989-114896	19890811 <--
	US 5117006	A	19920526	US 1991-700507	19910515 <--
PRAI	JP 1988-200352	A	19880811 <--		
	JP 1988-265581	A	19881021 <--		
	JP 1989-34482	A	19890214 <--		
	US 1989-392271	A3	19890810 <--		
	EP 1989-114896	A	19890811 <--		

OS MARPAT 113:152150
GI For diagram(s), see printed CA Issue.
AB The title compds. [I and II; R = H, (un)substituted (phenyl)alkanoyl, heterocyclylcarbonyl, etc.; X = Cl, Br, iodo; when m = 0, n = 0] were prepared Thus, DC-88A (I; R = 5,6,7-trimethoxyindolylcarbonyl) was deacylated with NaOMe in MeOH and the product condensed with 4-nitrophenyl 5-methoxyindole-2-carboxylate to give I (R = 5-methoxyindolylcarbonyl) which had IC50 of 0.0034 nM against HeLa S3 cells in vitro.
IT **128438-57-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as **antitumor** agent)
IT **128438-57-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)
(preparation of, as **antitumor** agent)
RN 128438-57-3 HCAPLUS
CN Benzo[1,2-b:4,3-b']dipyrrole-2-carboxylic acid, 8-(bromomethyl)-6-[3-[4-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]phenyl]-1-oxo-2-propenyl]-1,2,3,6,7,8-hexahydro-6-hydroxy-2-methyl-1-oxo-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



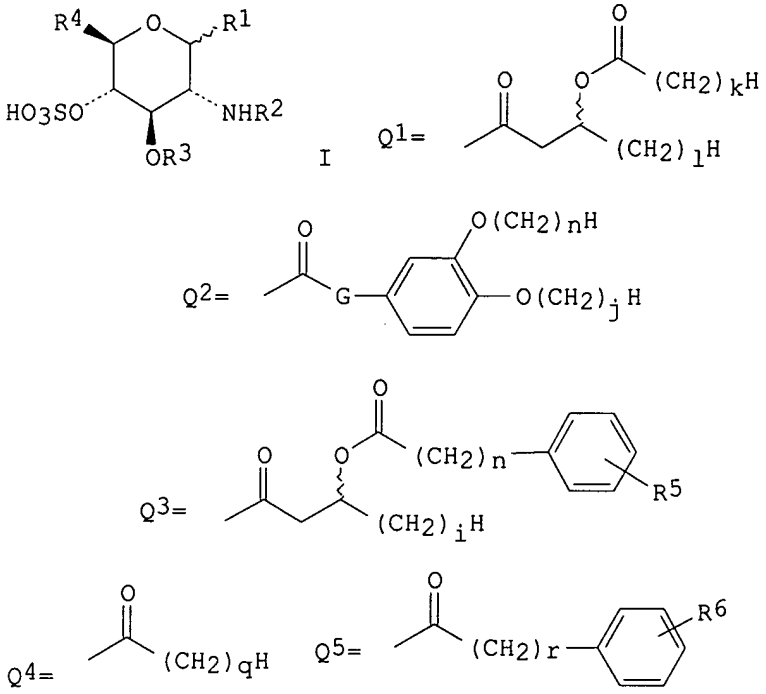
PAGE 1-B

OMe

L104 ANSWER 64 OF 64 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1989:407751 HCAPLUS
DN 111:7751
TI Preparation and testing of 2-deoxy-2-acylaminoglucopyranose 4-sulfates as immunostimulants
IN Toda, Masaaki; Sasaki, Yutaro; Shimoji, Katsuichi
PA Ono Pharmaceutical Co., Ltd., Japan
SO Eur. Pat. Appl., 66 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 288888	A2	19881102	EP 1988-106313	19880420 <--
	EP 288888	A3	19900816		
	EP 288888	B1	19931208		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AT 98250	E	19931215	AT 1988-106313	19880420 <--
	ES 2061544	T3	19941216	ES 1988-106313	19880420 <--
	JP 01052793	A2	19890228	JP 1988-107702	19880502 <--
	JP 2514070	B2	19960710		
	US 4925929	A	19900515	US 1989-338090	19890414 <--
	US 36385	E	19991109	US 1993-64549	19930520 <--

PRAI JP 1987-106298 A 19870501 <--
JP 1985-273440 A 19851206 <--
JP 1986-210379 A 19860906 <--
US 1986-938308 B2 19861205 <--
EP 1988-106313 A 19880420 <--
US 1988-188873 B2 19880502 <--
US 1989-338090 A5 19890414 <--
OS MARPAT 111:7751
GI



AB The title compds. [I; R1 = H, OH, C1-4 alkoxy; R2 = Q1-Q4; R3 = Q2,Q4,Q5; R4 = H, HOCH2, sulfoxymethyl; R5,R6 = H, halo, C1-7 alkyl, alkoxy; G = bond C1-4 alkylene; i, l = 9-13; j,n = 6-12; k,q = 11.apprx.15; n,r = 6.apprx.10), useful as immunostimulants and **neoplasm** inhibitors, were prepared 2,2'-Dipyridyl disulfide in EtOAc was added to 2-deoxy-2-amino-4-O-benzyl-1,5-anhydro-D-xylitol, Ph3P, and 3-hydroxymyristic acid and the mixture was stirred 5 h at room temperature to give
2-deoxy-2-(3-hydroxytetradecanoyl)amino-4-O-benzyl-1,5-anhydro-D-xylitol. The latter was O-acylated with Ph(CH2)8CO2H, in CH2Cl2 containing Et3N, 2-chloro-1-methylpyridinium iodide, and DMAP to give 2-deoxy-2-[3-(9-phenylnononoyl)oxytetradecanoyl]amino-3-O-(9-phenylnonanoyl)-4-O-benzyl-1,5-anhydro-D-xylitol as a mixture of isomers. The more polar isomer was hydrogenolyzed in THF over 10% Pd/C at 60-70° and the product was stirred with SO3.pyridine in pyridine for 3 h to give 2-deoxy-2-[3-(9-phenylnonanoyl)oxytetradecenoyl)amino-3-O-(9-phenylnonanoyl)-4-O-sulfo-1,5-anhydro-D-xylitol. At 1 μg/mL, I increased thymidine uptake into mouse lymphocytes by 13.7-27.7 times as much as that of controls.

IT **120878-09-3P 120878-12-8P 120878-17-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for immunostimulant)

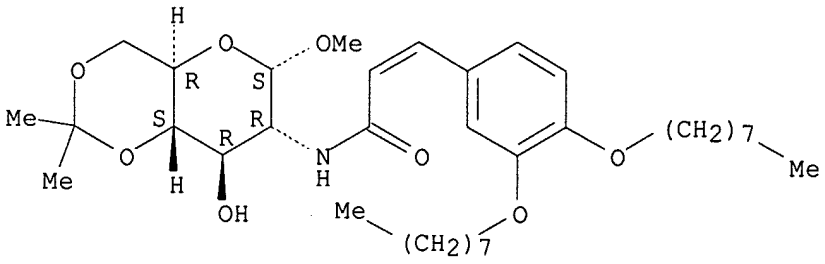
IT **120878-09-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for immunostimulant)

RN 120878-09-3 HCAPLUS

CN α-D-Glucopyranoside, methyl 2-[[3-[3,4-bis(octyloxy)phenyl]-1-oxo-2-propenyl]amino]-2-deoxy-4,6-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



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